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=> d que stat l9
L7              STR
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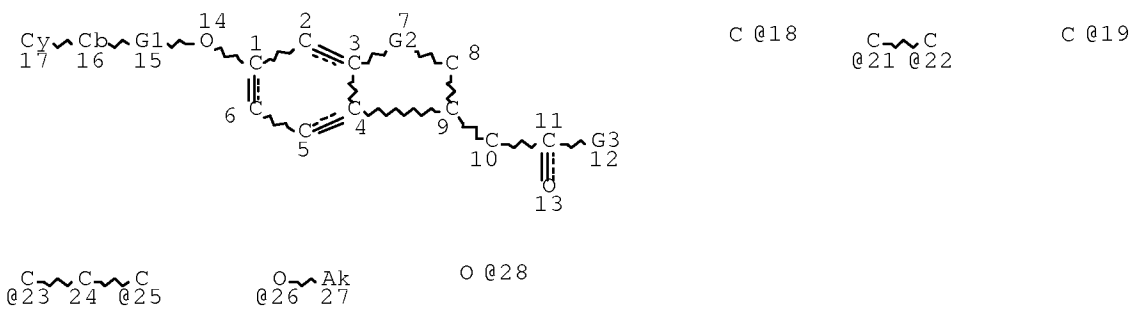


```
REP G1=(1-2) 18
VAR G2=O/19/21-3 22-8/23-3 25-8
VAR G3=28/26
NODE ATTRIBUTES:
CONNECT IS E2  RC AT  10
CONNECT IS E2  RC AT  18
CONNECT IS E1  RC AT  28
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  UNS  AT  16
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E6 C  AT  16
ECOUNT  IS X10 C  AT  27

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  27

STEREO ATTRIBUTES: NONE
L9          117 SEA FILE=REGISTRY SSS FUL L7

100.0% PROCESSED  119454 ITERATIONS                          117 ANSWERS
SEARCH TIME: 00.00.03



=> d que nos l20
L7              STR
L9          117 SEA FILE=REGISTRY SSS FUL L7
L20             ANALYZE  PLU=ON  L9 1- LC :       5 TERMS


=> d l20 1-
L20         ANALYZE L9 1- LC :       5 TERMS

TERM #   # OCC  # DOC  % DOC LC
------ ------- ------ ------ ---------------
     1     115    115  98.29 CAPLUS
     2     111    111  94.87 CA
     3      37     37  31.62 CASREACT
     4      27     27  23.08 TOXCENTER
     5      27     27  23.08 USPATFULL
*********  END OF L20***
```

```
=> d que nos l19
L7              STR
L9          117 SEA FILE=REGISTRY SSS FUL L7
L12             QUE  SPE=ON  ABB=ON  PLU=ON  YASUMA, T?/AU,AUTH
L13             QUE  SPE=ON  ABB=ON  PLU=ON  NEGORO, N?/AU,AUTH
L14             QUE  SPE=ON  ABB=ON  PLU=ON  FUKATSU, K?/AU,AUTH
L15             QUE  SPE=ON  ABB=ON  PLU=ON  TAKEDA/CS,SO,PA
L16           5 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L9
L17           2 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L16 AND (L12 OR L13
                OR L14 OR L15)
L19           3 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L16 NOT L17


=> d que nos l23
L7              STR
L9          117 SEA FILE=REGISTRY SSS FUL L7
L12             QUE  SPE=ON  ABB=ON  PLU=ON  YASUMA, T?/AU,AUTH
L13             QUE  SPE=ON  ABB=ON  PLU=ON  NEGORO, N?/AU,AUTH
L14             QUE  SPE=ON  ABB=ON  PLU=ON  FUKATSU, K?/AU,AUTH
L15             QUE  SPE=ON  ABB=ON  PLU=ON  TAKEDA/CS,SO,PA
L21           2 SEA FILE=USPATFULL SPE=ON  ABB=ON  PLU=ON  L9
L22           0 SEA FILE=USPATFULL SPE=ON  ABB=ON  PLU=ON  L21 AND (L12 OR L13
                OR L14 OR L15)
L23           2 SEA FILE=USPATFULL SPE=ON  ABB=ON  PLU=ON  L21 NOT L22


=> d his l26

     (FILE 'CASREACT, TOXCENTER' ENTERED AT 10:48:54 ON 05 OCT 2009)
L26           2 S L24 NOT L25

=> d que nos l26
L7              STR
L9          117 SEA FILE=REGISTRY SSS FUL L7
L12             QUE  SPE=ON  ABB=ON  PLU=ON  YASUMA, T?/AU,AUTH
L13             QUE  SPE=ON  ABB=ON  PLU=ON  NEGORO, N?/AU,AUTH
L14             QUE  SPE=ON  ABB=ON  PLU=ON  FUKATSU, K?/AU,AUTH
L24           3 SEA L9
L25           1 SEA L24 AND (L12 OR L13 OR L14)
L26           2 SEA L24 NOT L25


=> d que stat l28
L7              STR
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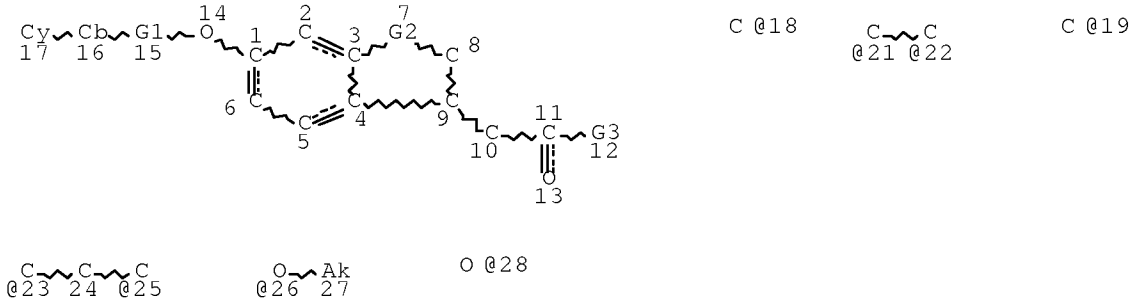

```
REP G1=(1-2) 18
VAR G2=O/19/21-3 22-8/23-3 25-8
VAR G3=28/26
NODE ATTRIBUTES:
CONNECT IS E2  RC AT  10
CONNECT IS E2  RC AT  18
CONNECT IS E1  RC AT  28
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  UNS  AT  16
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E6 C  AT  16
ECOUNT  IS X10 C  AT  27

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  27

STEREO ATTRIBUTES: NONE
L28           9 SEA FILE=WPIX SSS FUL L7

100.0% PROCESSED    6313 ITERATIONS                              9 ANSWERS
SEARCH TIME: 00.00.13



=> d que nos l31
L7              STR
L12             QUE  SPE=ON  ABB=ON  PLU=ON  YASUMA, T?/AU,AUTH
L13             QUE  SPE=ON  ABB=ON  PLU=ON  NEGORO, N?/AU,AUTH
L14             QUE  SPE=ON  ABB=ON  PLU=ON  FUKATSU, K?/AU,AUTH
L15             QUE  SPE=ON  ABB=ON  PLU=ON  TAKEDA/CS,SO,PA
L28           9 SEA FILE=WPIX SSS FUL L7
L29           3 SEA FILE=WPIX SPE=ON  ABB=ON  PLU=ON  (RAVAQA/DCN OR RAVAQ6/DCN
                 OR RAVAQ7/DCN OR RAVAQ8/DCN OR RAVAQ9/DCN OR RB1JGT/DCN OR
                RB1JH3/DCN OR RB457W/DCN OR RB457X/DCN) OR L28/DCR
L30           1 SEA FILE=WPIX SPE=ON  ABB=ON  PLU=ON  L29 AND (L12 OR L13 OR
                L14 OR L15)
L31           2 SEA FILE=WPIX SPE=ON  ABB=ON  PLU=ON  L29 NOT L30


=> d que stat l33
L7              STR
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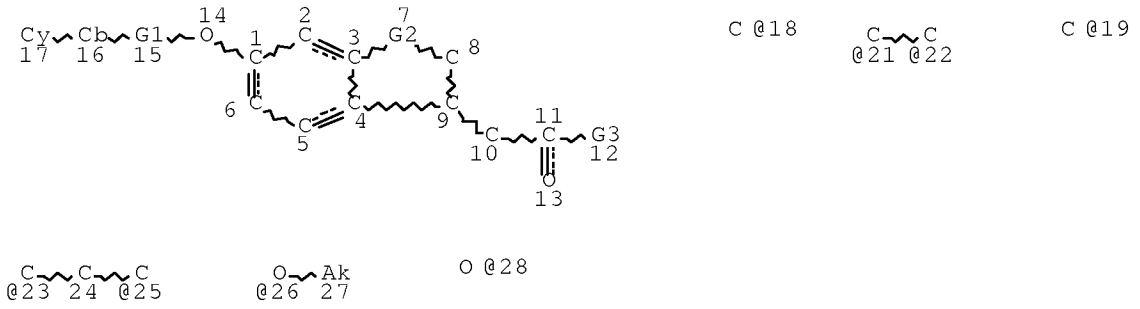



```
REP G1=(1-2) 18
VAR G2=O/19/21-3 22-8/23-3 25-8
```

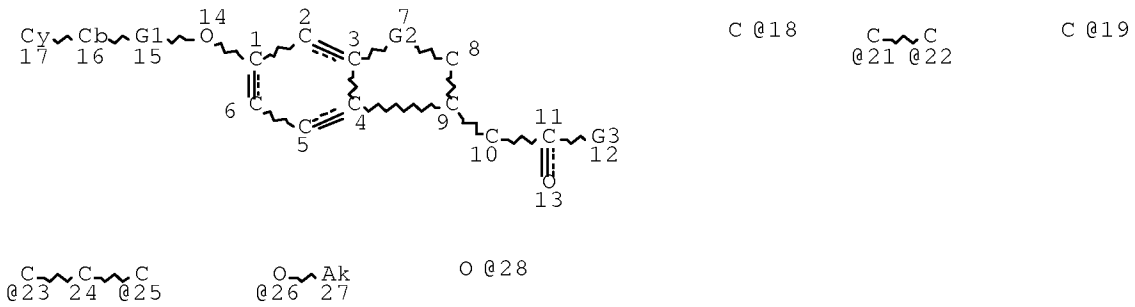
VAR G3=28/26
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 10
CONNECT IS E2 RC AT 18
CONNECT IS E1 RC AT 28
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 16
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E6 C AT 16
ECOUNT IS X10 C AT 27

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE
L33 0 SEA FILE=BEILSTEIN SSS FUL L7

100.0% PROCESSED 41694 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.18

=> d que stat l35
L7 STR



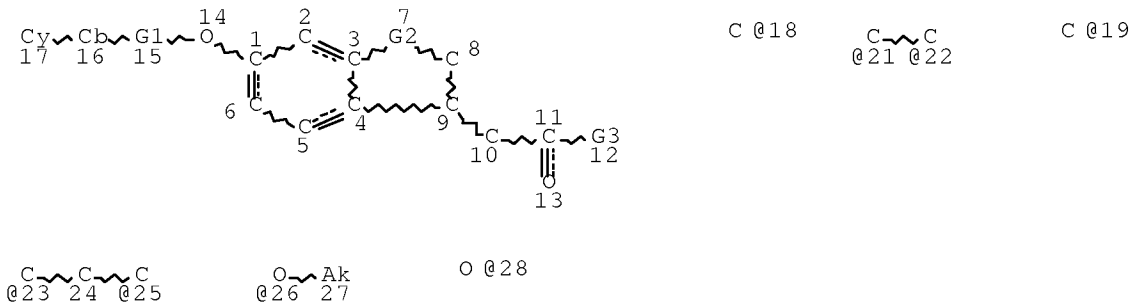
REP G1=(1-2) 18
VAR G2=O/19/21-3 22-8/23-3 25-8
VAR G3=28/26
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 10
CONNECT IS E2 RC AT 18
CONNECT IS E1 RC AT 28
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 16
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E6 C AT 16
ECOUNT IS X10 C AT 27

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE
L35 0 SEA FILE=CHEMINFORMRX SSS FUL L7 (0 REACTIONS)

100.0% DONE 4431 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.33

=> d que stat l38
L36 STR



REP G1=(1-2) 18
VAR G2=O/19/21-3 22-8/23-3 25-8
VAR G3=28/26
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 10
CONNECT IS E2 RC AT 18
CONNECT IS E1 RC AT 28
DEFAULT MLEVEL IS ATOM
MLEVEL IS ANY AT 16 17 27
GGCAT IS MCY UNS AT 16
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E6 C AT 16
ECOUNT IS X10 C AT 27

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE
L38 18 SEA FILE=MARPAT SSS FUL L36

100.0% PROCESSED 72620 ITERATIONS 18 ANSWERS
SEARCH TIME: 00.00.25

=> d que nos l44
L12 QUE SPE=ON ABB=ON PLU=ON YASUMA, T?/AU,AUTH
L13 QUE SPE=ON ABB=ON PLU=ON NEGORO, N?/AU,AUTH
L14 QUE SPE=ON ABB=ON PLU=ON FUKATSU, K?/AU,AUTH
L15 QUE SPE=ON ABB=ON PLU=ON TAKEDA/CS,SO,PA
L36 STR
L38 18 SEA FILE=MARPAT SSS FUL L36
L39 18 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L38
L40 4 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L39 AND (L12 OR L13 OR L14 OR L15)
L41 14 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L39 NOT L40
L43 14 SEA FILE=MARPAT SPE=ON ABB=ON PLU=ON L41

```
L44          14 SEA FILE=MARPAT SPE=ON  ABB=ON  PLU=ON  L43 AND L38


=> dup rem l19 l23 l26 l31 l33 l35 l44
L33 HAS NO ANSWERS
L35 HAS NO ANSWERS
DUPLICATE IS NOT AVAILABLE IN 'BEILSTEIN, CHEMINFORMRX'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
FILE 'HCAPLUS' ENTERED AT 13:48:50 ON 05 OCT 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE 'USPATFULL' ENTERED AT 13:48:50 ON 05 OCT 2009
CA INDEXING COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'TOXCENTER' ENTERED AT 13:48:50 ON 05 OCT 2009
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'WPIX' ENTERED AT 13:48:50 ON 05 OCT 2009
COPYRIGHT (C) 2009 THOMSON REUTERS

FILE 'MARPAT' ENTERED AT 13:48:50 ON 05 OCT 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 American Chemical Society (ACS)
PROCESSING COMPLETED FOR L19
PROCESSING COMPLETED FOR L23
PROCESSING COMPLETED FOR L26
PROCESSING COMPLETED FOR L31
PROCESSING COMPLETED FOR L33
PROCESSING COMPLETED FOR L35
PROCESSING COMPLETED FOR L44
L49          16 DUP REM L19 L23 L26 L31 L33 L35 L44 (7 DUPLICATES REMOVED)
                ANSWERS '1-3' FROM FILE HCAPLUS
                ANSWER '4' FROM FILE USPATFULL
                ANSWERS '5-16' FROM FILE MARPAT

=> file stnguide
FILE 'STNGUIDE' ENTERED AT 13:49:07 ON 05 OCT 2009
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct  2, 2009 (20091002/UP).
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=> d ibib ed abs hitind hitstr 1-3
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, MARPAT' - CONTINUE? (Y)/N:y
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L49 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2009:1108141 HCAPLUS Full-text

TITLE: Preparation of conformationally constrained cyclic carboxylic acid derivatives useful as GPR40 modulators for treating metabolic disorders

INVENTOR(S): Brown, Sean P.; Dransfield, Paul J.; Houze, Jonathan; Kohn, Todd J.; Liu, Jiwen; Medina, Julio; Pattaropong, Vatee; Shen, Wang; Vimolratana, Marc; Wang, Yingcai; Yu, Ming; Zhu, Liusheng

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 426pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009111056	A1	20090911	WO 2009-US1435	20090304

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2008-68733P P 20080306
US 2008-196249P P 20081015

ED Entered STN: 11 Sep 2009

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to compds. capable of modulating the G-protein-coupled receptor GPR40, compns. comprising the compds., and methods for their use for controlling insulin levels in vivo and for the treatment of conditions such as type II diabetes, hypertension, ketoacidosis, obesity, glucose intolerance, and hypercholesterolemia and related disorders associated with abnormally high or low plasma lipoprotein, triglyceride or glucose levels. Such compds. have general formula I or II (wherein G, J, K, W, Y and Z are N or substituted C, with certain provisos; A is (C1-C12)alkyl, (C2-C12)alkenyl, etc.; X is O or S; R1 is H, (C1-C6)alkyl, etc.; R1a is H and (C1-C4)alkyl; R2 is H, F, etc.; R3 is H, OH, etc.; R7, R8, R9, R10, R14, and R15 are independently H and (C1-C4) alkyl; each of R12a, R12b, and R12c is

independently H, F, etc.; q = 0-1; and p = 1-4). Synthetic procedures for preparing I are exemplified. Example compound III was prepared by reacting (R)-Me 2-(6-hydroxy-2,3-dihydro-1H-inden-1-yl)acetate with 4-(chloromethyl)-2-(1,1-dimethylethyl)-2'-fluoro-5'-(methyloxy)-1,1'- biphenyl and conversion of the intermediate ester formed to III. III had EC50 between 1μM and 10 μM in a cell-based aequorin assay that characterized the modulatory activity of compds. on the GPR40 signaling pathway.

CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 27

IT 1187197-96-1P 1187197-97-2P 1187198-00-0P 1187198-01-1P
1187198-09-9P 1187198-10-2P 1187198-18-0P
1187198-19-1P 1187198-30-6P 1187198-31-7P 1187198-34-0P
1187198-35-1P 1187198-43-1P 1187198-44-2P 1187198-47-5P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of conformationally constrained cyclic carboxylic acid derivs. useful as GPR40 modulators for treating metabolic disorders)

IT 176240-84-9P 1142235-10-6P 1142235-13-9P 1142235-15-1P
1142235-26-4P 1142235-27-5P 1142235-31-1P 1142235-32-2P
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1187198-89-5P 1187198-97-5P 1187198-98-6P 1187199-54-7P
1187199-55-8P 1187199-59-2P

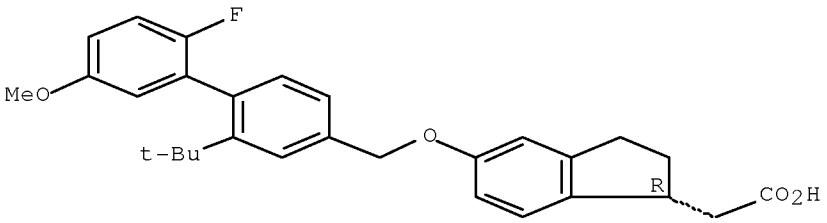
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of conformationally constrained cyclic carboxylic acid derivs. useful as GPR40 modulators for treating metabolic disorders)

IT 1187198-18-0P 1187198-19-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of conformationally constrained cyclic carboxylic acid derivs. useful as GPR40 modulators for treating metabolic disorders)

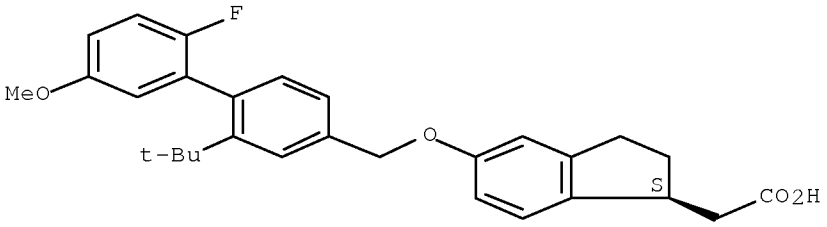
RN 1187198-18-0 HCAPLUS
CN 1H-Indene-1-acetic acid, 5-[[2-(1,1-dimethylethyl)-2'-fluoro-5'-methoxy[1,1'-biphenyl]-4-yl]methoxy]-2,3-dihydro-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1187198-19-1 HCAPLUS
CN 1H-Indene-1-acetic acid, 5-[[2-(1,1-dimethylethyl)-2'-fluoro-5'-methoxy[1,1'-biphenyl]-4-yl]methoxy]-2,3-dihydro-, (1S)- (CA INDEX NAME)

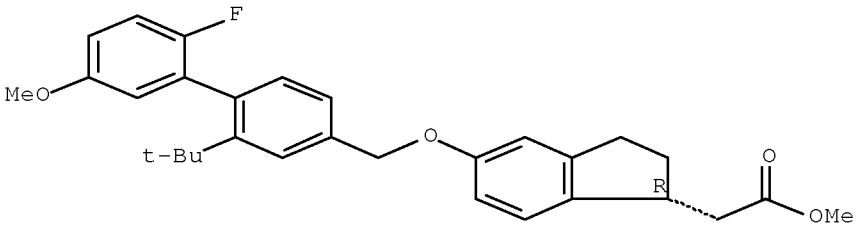
Absolute stereochemistry.



IT 1187198-16-8P 1187198-17-9P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of conformationally constrained cyclic carboxylic acid derivs. useful as GPR40 modulators for treating metabolic disorders)

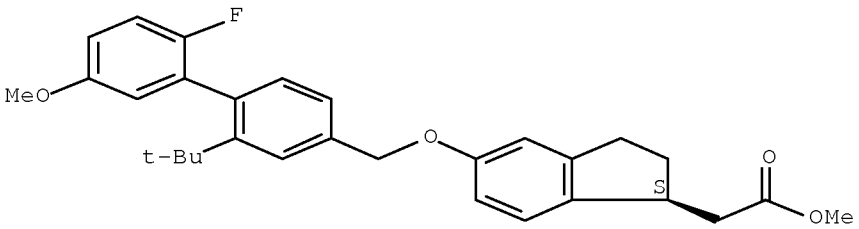
RN 1187198-16-8 HCAPLUS
CN 1H-Indene-1-acetic acid, 5-[[2-(1,1-dimethylethyl)-2'-fluoro-5'-methoxy[1,1'-biphenyl]-4-yl]methoxy]-2,3-dihydro-, methyl ester, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1187198-17-9 HCAPLUS
CN 1H-Indene-1-acetic acid, 5-[[2-(1,1-dimethylethyl)-2'-fluoro-5'-methoxy[1,1'-biphenyl]-4-yl]methoxy]-2,3-dihydro-, methyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2009:294167 HCAPLUS Full-text
DOCUMENT NUMBER: 150:329631
TITLE: Preparation of quinoline as modulators of Liver X receptors (LXRs)
INVENTOR(S): Wrobel, Jay E.; Hu, Baihua; Collini, Michael David; Jetter, James Winfield; Bernotas, Ronald Charles; Kaufman, David Harry; Singhaus, Robert Ray, Jr.; Ullrich, John William; Morris, Robert Lester; Unwalla, Rayomand J.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 44pp.
CODEN: USXXCO
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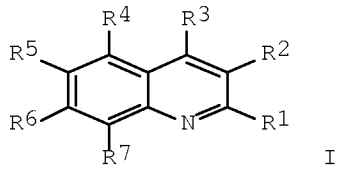
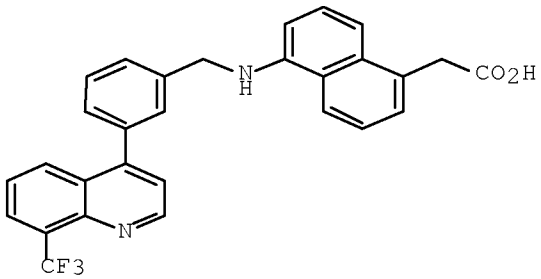
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20090069373	A1	20090312	US 2008-39347		20080228
PRIORITY APPLN. INFO.:			US 2007-903942P	P	20070228

OTHER SOURCE(S): MARPAT 150:329631
ED Entered STN: 12 Mar 2009
GI



I



II

AB Title compds. I [R1 = H or alkyl; R2 = H, (un)substituted alkyl, haloalkyl, aralkyl, heteroaralkyl, etc.; R3 = aryl, heteroaryl, arylcycloalkyl, heteroarylcycloalkyl, arylcycloalkenyl, etc.; R4, R5, R6 and R7 independently = H, (un)substituted alkyl, haloalkyl, alkenyl, alkynyl, etc.], and their N-oxides and/or pharmaceutically acceptable salts, are prepared and disclosed as modulators of Liver X receptors (LXRs). Thus, e.g., II was prepared by reductive amination of 3-[8-(trifluoromethyl)quinolin-4-yl]benzaldehyde (preparation given) with (5-amino-1-naphthyl)acetic acid (preparation given). The invention compds. were evaluated for their affinity to bind to LXR, e.g., II exhibited IC50 value of 0.015 μM and 0.745 μM to bind to human LXRβ and LXRα, resp.

INCL 514313000; 546152000; 546167000; 514311000

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63

IT 912553-40-3P, [4-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]benzyl]amino]phenyl]acetic acid 1009031-29-1P, [4-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]phenoxy]methyl]-2,5-dimethylphenyl]acetic acid 1009031-30-4P, [4-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]benzyl]oxy]-2,5-dimethylphenyl]acetic acid 1009031-31-5P, [4-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]benzyl]amino]-2,5-dimethylphenyl]acetic acid 1009031-32-6P, [4-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]benzyl]amino]-2,3-dimethylphenyl]acetic acid 1009031-33-7P, [5-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]benzyl]amino]-1-naphthyl]acetic acid 1009031-34-8P, [5-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]benzyl]oxy]-1-naphthyl]acetic acid 1009031-35-9P, [4-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]benzyl]amino]-1-naphthyl]acetic acid 1009031-36-0P, 5-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]benzyl]amino]-1-naphthoic acid 1009031-37-1P, [5-[[3-[3-Methyl-8-(trifluoromethyl)quinolin-4-yl]benzyl]amino]-1-naphthyl]acetic acid 1009031-38-2P,

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline acids as modulators of Liver X receptors (LXRs))

IT 1127736-65-5P, [6-[[3-[3-Benzyl-8-(trifluoromethyl)quinolin-4-yl]benzyl]oxy]-1-naphthyl]acetic acid 1127736-76-8P, [6-[[3-[8-(Trifluoromethyl)quinolin-4-yl]benzyl]oxy]-1-naphthyl]acetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline acids as modulators of Liver X receptors (LXRs))

RN 1127736-65-5 HCAPLUS
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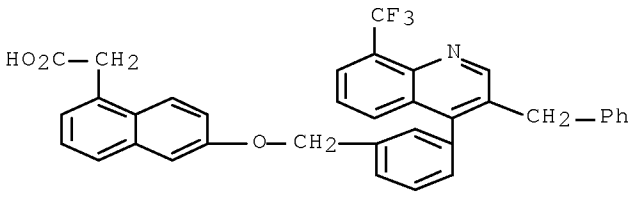

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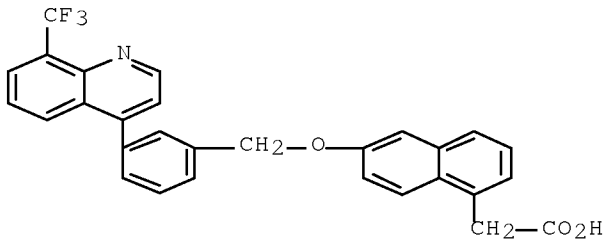

L49 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 2007:1064386 HCAPLUS Full-text
DOCUMENT NUMBER: 147:385839
TITLE: Preparation of coumarin and related carbocycle and heterocyclic analogs useful for treating metabolic disorders
INVENTOR(S): Sharma, Rajiv; Akerman, Michelle; Cardozo, Mario G.; Houze, Jonathan B.; Li, An-Rong; Liu, Jinqian; Liu, Jiwen; Ma, Zhihua; Medina, Julio C.; Schmitt, Michael J.; Sun, Ying; Wang, Yingcai; Wang, Zhongyu; Zhu, Liusheng
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007106469	A2	20070920	WO 2007-US6279	20070312
WO 2007106469	A3	20071221		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
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CA 2646430	A1	20070920	CA 2007-2646430	20070312
EP 2001844	A2	20081217	EP 2007-752941	20070312
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009530281	T	20090827	JP 2009-500426	20070312
US 20070244155	A1	20071018	US 2007-717945	20070313
MX 2008011615	A	20080922	MX 2008-11615	20080910
PRIORITY APPLN. INFO.:			US 2006-782706P P	20060314
			US 2007-905207P P	20070305

WO 2007-US6279 W 20070312

OTHER SOURCE(S): MARPAT 147:385839
ED Entered STN: 21 Sep 2007
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = aryl or heterocyclic group; B = 5-7 membered carbocycle or heterocycle; R1 = halo, CN, alkyl, etc.; R2 = halo, OH, alkoxy, etc.; n = 0-2; p = 0-2; q = 0-2 ;X = CRaRb wherein Ra and Rb independently = H or halo; wherein each alkyl, aryl, and heterocycle or carbocycle in I is optionally substituted], and their pharmaceutically acceptable salts, are prepared and disclosed for treating metabolic disorders. Thus, e.g., II was prepared in a multistep synthesis starting from 6-hydroxy-1-tetralone. I were evaluated in insulin secretion assays, e.g, II demonstrated an EC50 value of < 1 μM and greater or equal to 0.1 μM. Compns. and methods for using the compds. for preparing medicaments and for treating metabolic disorders such as, for instance, type II diabetes are disclosed.

CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 63

IT 445492-18-2P 950504-09-3P 950504-11-7P
950504-13-9P 950504-15-1P 950504-17-3P
950504-19-5P 950504-21-9P 950504-23-1P
950504-25-3P 950504-27-5P 950504-29-7P
950504-30-0P 950504-32-2P 950504-34-4P
950504-36-6P 950504-38-8P 950504-40-2P
950504-42-4P 950504-44-6P 950504-46-8P 950504-48-0P
950504-50-4P 950504-52-6P 950504-54-8P
950504-56-0P 950504-58-2P 950504-59-3P
950504-61-7P 950504-63-9P 950504-64-0P 950504-65-1P 950504-66-2P
950504-67-3P 950504-68-4P 950504-72-0P 950504-74-2P 950504-75-3P
950504-77-5P 950504-79-7P 950504-80-0P 950504-81-1P 950504-82-2P
950504-83-3P 950504-84-4P 950504-85-5P 950504-86-6P 950504-87-7P
950504-88-8P 950504-89-9P 950504-90-2P 950504-92-4P
950504-93-5P 950504-94-6P 950504-95-7P
950504-96-8P 950504-97-9P 950504-99-1P
950505-00-7P 950505-02-9P 950505-04-1P 950505-06-3P 950505-07-4P
950505-08-5P 950505-09-6P 950505-10-9P 950505-12-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of coumarin and related carbocycle and heterocyclic analogs useful for treating metabolic disorders)

IT 6093-71-6P 52727-29-4P 126485-55-0P 139149-06-7P 199528-28-4P
202208-73-9P 319916-38-6P 613240-28-1P 805250-08-2P 805250-09-3P
912283-13-7P 929713-42-8P 950505-18-7P 950505-20-1P
950505-23-4P 950505-25-6P 950505-27-8P 950505-29-0P
950505-31-4P 950505-33-6P 950505-35-8P 950505-37-0P 950505-39-2P
950505-42-7P 950505-46-1P 950505-48-3P 950505-50-7P
950505-52-9P 950505-54-1P 950505-56-3P 950505-58-5P
950505-60-9P 950505-62-1P 950505-64-3P 950505-66-5P 950505-68-7P
950505-70-1P 950505-72-3P 950505-74-5P 950505-75-6P 950505-76-7P
950505-77-8P 950505-78-9P 950505-81-4P 950505-82-5P 950505-83-6P
950505-84-7P 950505-85-8P 950505-86-9P 950505-87-0P 950505-88-1P
950505-89-2P 950505-90-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of coumarin and related carbocycle and heterocyclic analogs useful for treating metabolic disorders)

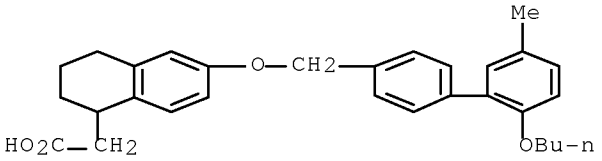
IT 950504-09-3P 950504-11-7P 950504-13-9P
950504-15-1P 950504-19-5P 950504-21-9P
950504-23-1P 950504-29-7P 950504-30-0P
950504-32-2P 950504-36-6P 950504-38-8P
950504-50-4P 950504-52-6P 950504-56-0P
950504-58-2P 950504-59-3P 950504-92-4P
950504-94-6P 950504-95-7P 950504-96-8P
950504-97-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of coumarin and related carbocycle and heterocyclic analogs useful for treating metabolic disorders)

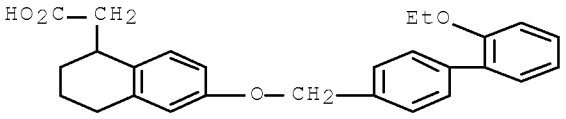
RN 950504-09-3 HCAPLUS

CN 1-Naphthaleneacetic acid, 6-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro- (CA INDEX NAME)



RN 950504-11-7 HCAPLUS

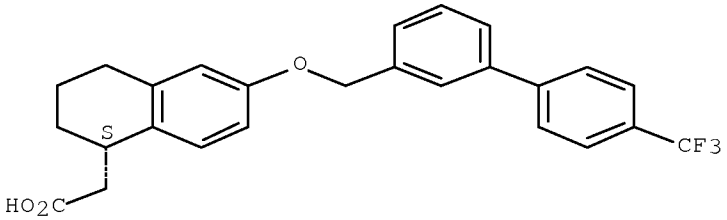
CN 1-Naphthaleneacetic acid, 6-[(2'-ethoxy[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro- (CA INDEX NAME)



RN 950504-13-9 HCAPLUS

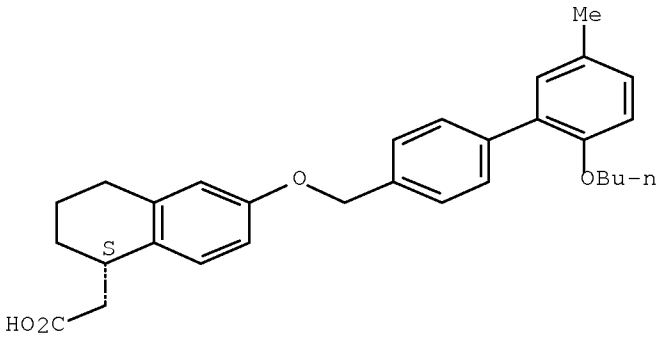
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



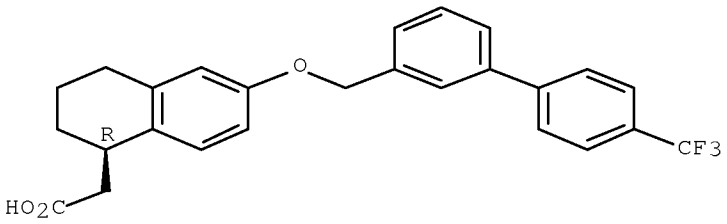
RN 950504-15-1 HCAPLUS
CN 1-Naphthaleneacetic acid, 6-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

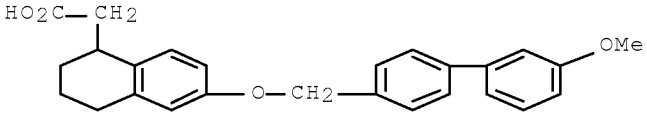


RN 950504-19-5 HCAPLUS
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-, (1R)- (CA INDEX NAME)

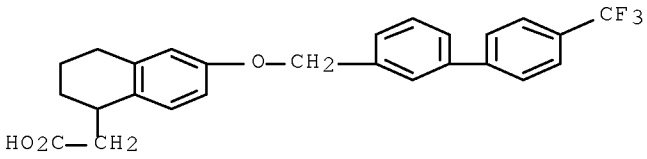
Absolute stereochemistry.



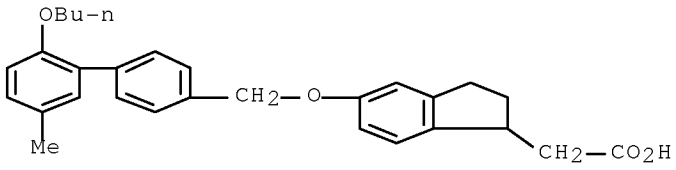
RN 950504-21-9 HCAPLUS
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[(3'-methoxy[1,1'-biphenyl]-4-yl)methoxy]- (CA INDEX NAME)



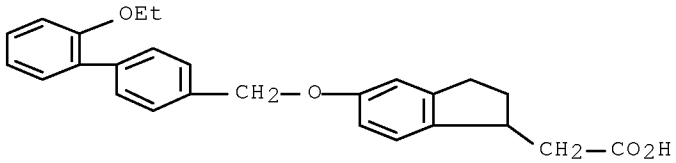
RN 950504-23-1 HCAPLUS
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]- (CA INDEX NAME)



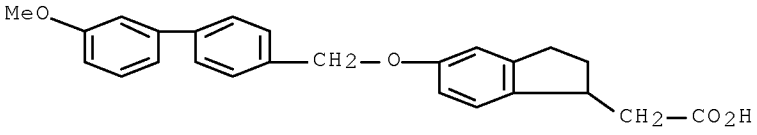
RN 950504-29-7 HCAPLUS
CN 1H-Indene-1-acetic acid, 5-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-2,3-dihydro- (CA INDEX NAME)



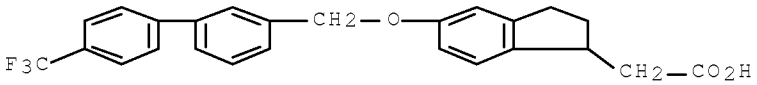
RN 950504-30-0 HCAPLUS
CN 1H-Indene-1-acetic acid, 5-[(2'-ethoxy[1,1'-biphenyl]-4-yl)methoxy]-2,3-dihydro- (CA INDEX NAME)



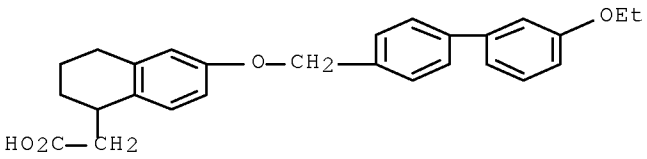
RN 950504-32-2 HCAPLUS
CN 1H-Indene-1-acetic acid, 2,3-dihydro-5-[(3'-methoxy[1,1'-biphenyl]-4-yl)methoxy]- (CA INDEX NAME)



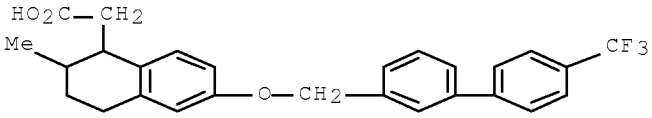
RN 950504-36-6 HCAPLUS
CN 1H-Indene-1-acetic acid, 2,3-dihydro-5-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]- (CA INDEX NAME)



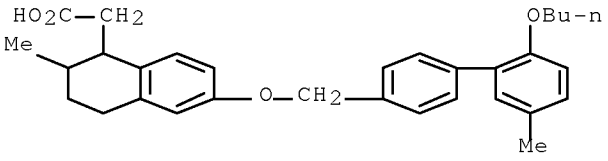
RN 950504-38-8 HCAPLUS
CN 1-Naphthaleneacetic acid, 6-[(3'-ethoxy[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro- (CA INDEX NAME)



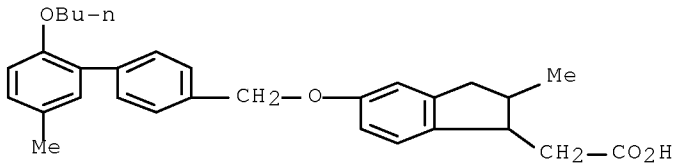
RN 950504-50-4 HCAPLUS
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-2-methyl-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]- (CA INDEX NAME)



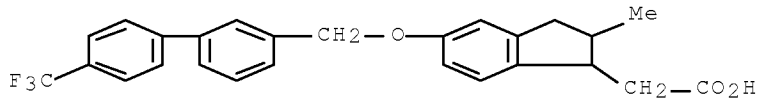
RN 950504-52-6 HCAPLUS
CN 1-Naphthaleneacetic acid, 6-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro-2-methyl- (CA INDEX NAME)



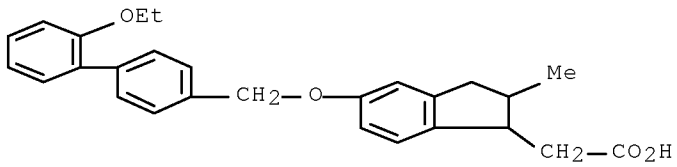
RN 950504-56-0 HCAPLUS
CN 1H-Indene-1-acetic acid, 5-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-2,3-dihydro-2-methyl- (CA INDEX NAME)



RN 950504-58-2 HCAPLUS
CN 1H-Indene-1-acetic acid, 2,3-dihydro-2-methyl-5-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]- (CA INDEX NAME)

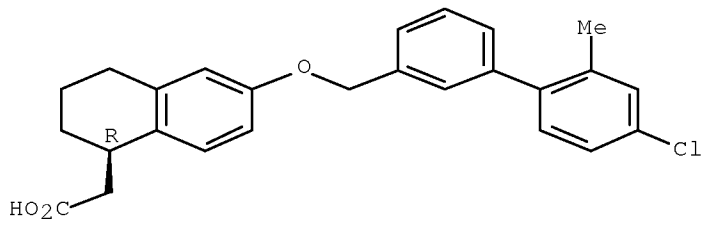


RN 950504-59-3 HCAPLUS
CN 1H-Indene-1-acetic acid, 5-[(2'-ethoxy[1,1'-biphenyl]-4-yl)methoxy]-2,3-dihydro-2-methyl- (CA INDEX NAME)



RN 950504-92-4 HCAPLUS
CN 1-Naphthaleneacetic acid, 6-[(4'-chloro-2'-methyl[1,1'-biphenyl]-3-yl)methoxy]-1,2,3,4-tetrahydro-, (1R)- (CA INDEX NAME)

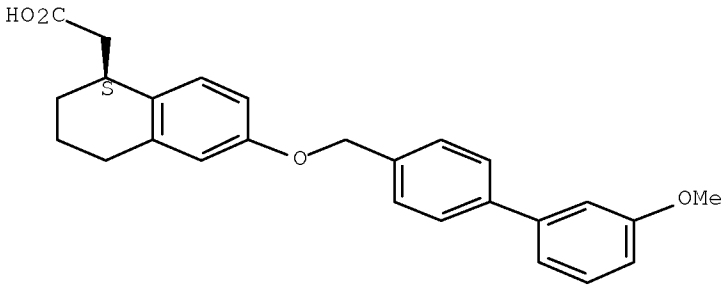
Absolute stereochemistry.



RN 950504-94-6 HCAPLUS
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[(3'-methoxy[1,1'-biphenyl]-

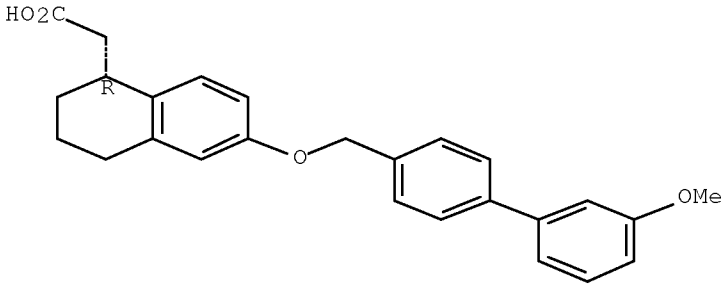
4-yl)methoxy]-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



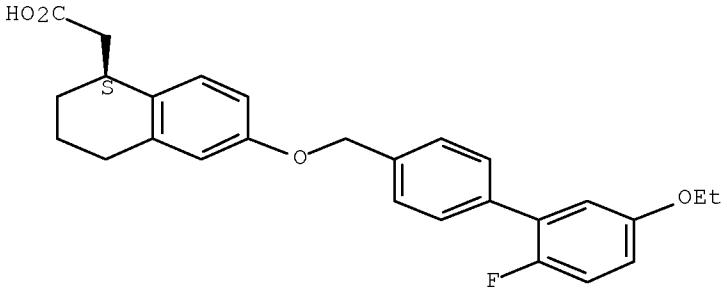
RN 950504-95-7 HCAPLUS
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[(3'-methoxy[1,1'-biphenyl]-4-yl)methoxy]-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 950504-96-8 HCAPLUS
CN 1-Naphthaleneacetic acid, 6-[(5'-ethoxy-2'-fluoro[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro-, (1S)- (CA INDEX NAME)

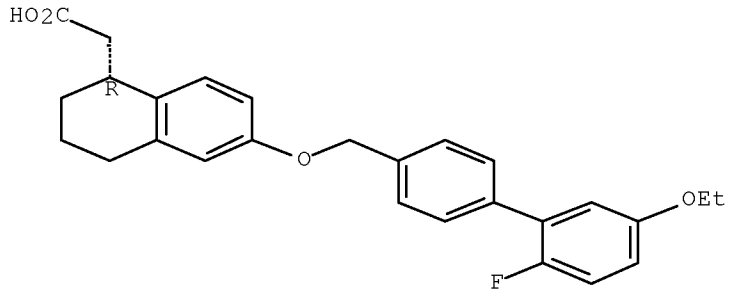
Absolute stereochemistry.



RN 950504-97-9 HCAPLUS
CN 1-Naphthaleneacetic acid, 6-[(5'-ethoxy-2'-fluoro[1,1'-biphenyl]-4-

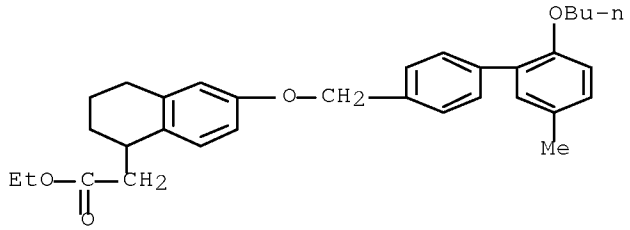
yl)methoxy]-1,2,3,4-tetrahydro-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

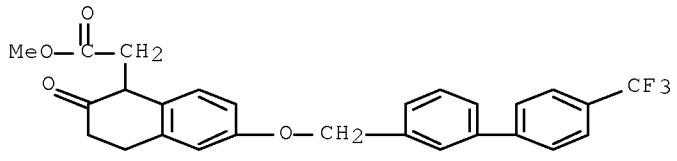


IT 950505-23-4P 950505-50-7P 950505-52-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of coumarin and related carbocycle and heterocyclic analogs useful for treating metabolic disorders)

RN 950505-23-4 HCAPLUS
CN 1-Naphthaleneacetic acid, 6-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro-, ethyl ester (CA INDEX NAME)

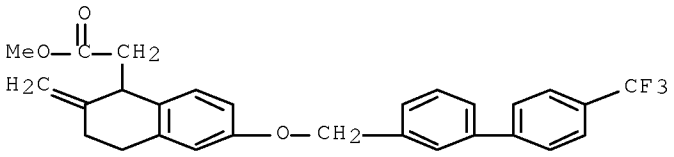


RN 950505-50-7 HCAPLUS
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-2-oxo-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-, methyl ester (CA INDEX NAME)



RN 950505-52-9 HCAPLUS
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-2-methylene-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-, methyl ester (CA INDEX

NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, MARPAT' - CONTINUE? (Y)/N:y

L49 ANSWER 4 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2007:278721 USPATFULL Full-text
TITLE: Bicyclic carboxylic acid derivatives useful for treating metabolic disorders
INVENTOR(S): Sharma, Rajiv, Fremont, CA, UNITED STATES
Akerman, Michelle, San Francisco, CA, UNITED STATES
Cardozo, Mario G., San Francisco, CA, UNITED STATES
Houze, Jonathan B., San Mateo, CA, UNITED STATES
Li, An-Rong, South San Francisco, CA, UNITED STATES
Liu, Jinquian, Palo Alto, CA, UNITED STATES
Liu, Jiwen, Foster City, CA, UNITED STATES
Ma, Zhihua, San Mateo, CA, UNITED STATES
Medina, Julio C., San Carlos, CA, UNITED STATES
Schmitt, Michael J., Oakland, CA, UNITED STATES
Sun, Ying, Albany, CA, UNITED STATES
Wang, Yingcai, Fremont, CA, UNITED STATES
Wang, Zhongyu, San Mateo, CA, UNITED STATES
Zhu, Liusheng, Burlingame, CA, UNITED STATES
PATENT ASSIGNEE(S): AMGEN INC., Thousand Oaks, CA, UNITED STATES (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20070244155	A1	20071018
APPLICATION INFO.:	US 2007-717945	A1	20070313 (11)

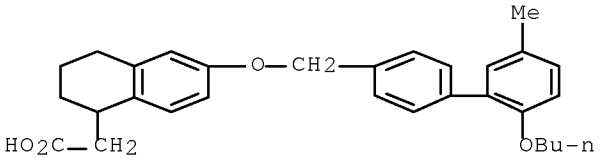
	NUMBER	DATE
PRIORITY INFORMATION:	US 2006-782706P	20060314 (60)
	US 2007-905207P	20070305 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: AMGEN INC., MAIL STOP 28-2-C, ONE AMGEN CENTER DRIVE, THOUSAND OAKS, CA, 91320-1799, US
NUMBER OF CLAIMS: 61
EXEMPLARY CLAIM: 1
LINE COUNT: 3374
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

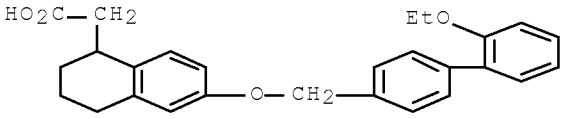
AB Compounds having the general formula I and/or the general formula II are useful, for example, for treating metabolic disorders in a subject ##STR1## where the variables are provided herein. Compositions and methods for using the compounds for preparing medicaments and for treating metabolic disorders such as, for instance, type II diabetes are disclosed.

IT 950504-09-3P 950504-11-7P 950504-13-9P
950504-15-1P 950504-19-5P 950504-21-9P
950504-23-1P 950504-29-7P 950504-30-0P
950504-32-2P 950504-36-6P 950504-38-8P
950504-50-4P 950504-52-6P 950504-56-0P
950504-58-2P 950504-59-3P 950504-92-4P
950504-94-6P 950504-95-7P 950504-96-8P
950504-97-9P
(preparation of coumarin and related carbocycle and heterocyclic analogs useful for treating metabolic disorders)

RN 950504-09-3 USPATFULL
CN 1-Naphthaleneacetic acid, 6-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro- (CA INDEX NAME)

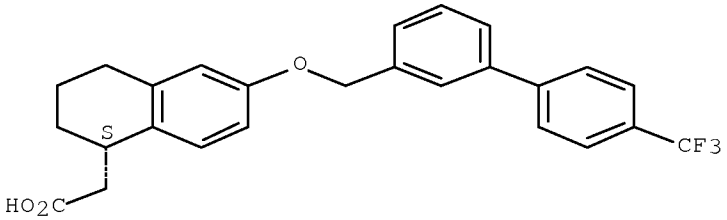


RN 950504-11-7 USPATFULL
CN 1-Naphthaleneacetic acid, 6-[(2'-ethoxy[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro- (CA INDEX NAME)



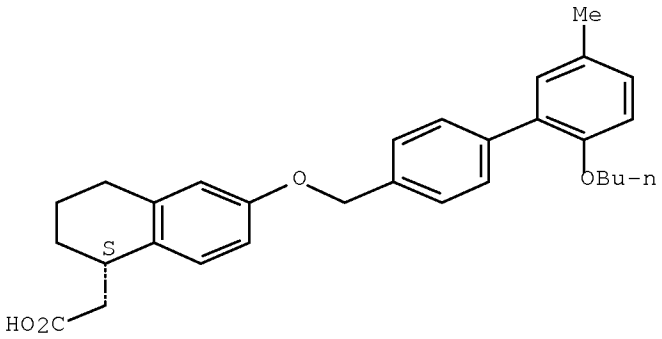
RN 950504-13-9 USPATFULL
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



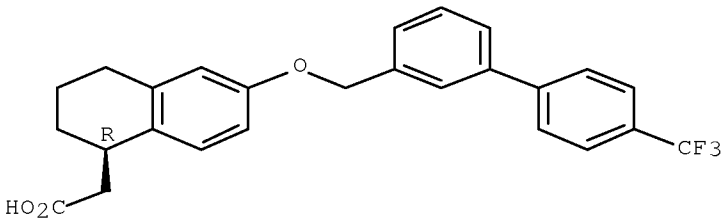
RN 950504-15-1 USPATFULL
CN 1-Naphthaleneacetic acid, 6-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

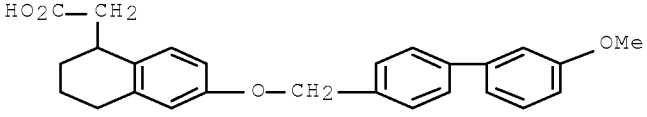


RN 950504-19-5 USPATFULL
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-, (1R)- (CA INDEX NAME)

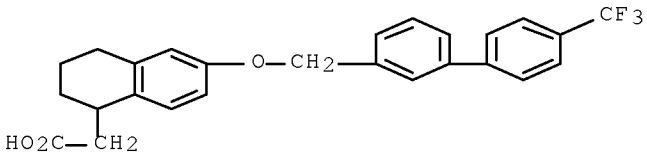
Absolute stereochemistry.



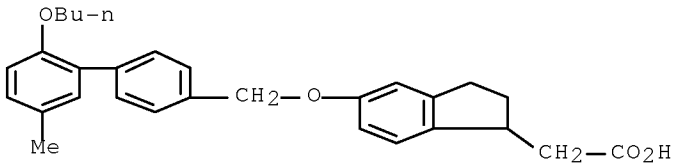
RN 950504-21-9 USPATFULL
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[(3'-methoxy[1,1'-biphenyl]-4-yl)methoxy]- (CA INDEX NAME)



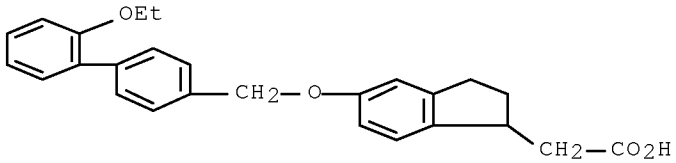
RN 950504-23-1 USPATFULL
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]- (CA INDEX NAME)



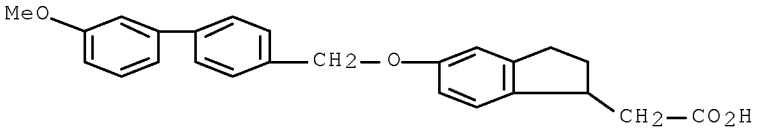
RN 950504-29-7 USPATFULL
CN 1H-Indene-1-acetic acid, 5-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-2,3-dihydro- (CA INDEX NAME)



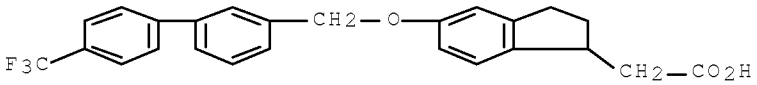
RN 950504-30-0 USPATFULL
CN 1H-Indene-1-acetic acid, 5-[(2'-ethoxy[1,1'-biphenyl]-4-yl)methoxy]-2,3-dihydro- (CA INDEX NAME)



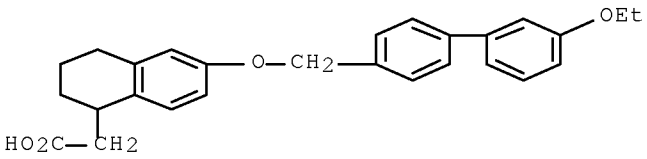
RN 950504-32-2 USPATFULL
CN 1H-Indene-1-acetic acid, 2,3-dihydro-5-[(3'-methoxy[1,1'-biphenyl]-4-yl)methoxy]- (CA INDEX NAME)



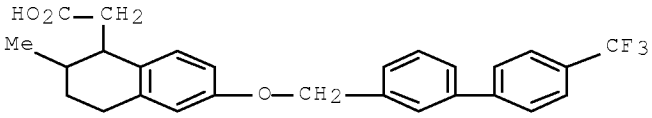
RN 950504-36-6 USPATFULL
CN 1H-Indene-1-acetic acid, 2,3-dihydro-5-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]- (CA INDEX NAME)



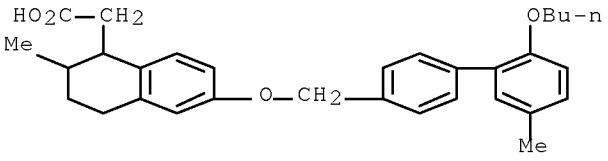
RN 950504-38-8 USPATFULL
CN 1-Naphthaleneacetic acid, 6-[(3'-ethoxy[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro- (CA INDEX NAME)



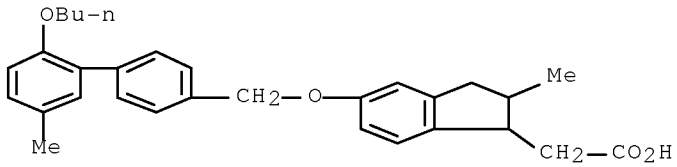
RN 950504-50-4 USPATFULL
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-2-methyl-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]- (CA INDEX NAME)



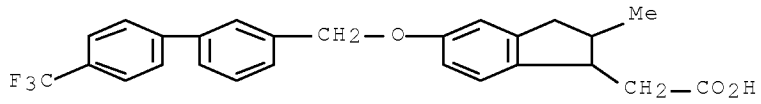
RN 950504-52-6 USPATFULL
CN 1-Naphthaleneacetic acid, 6-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro-2-methyl- (CA INDEX NAME)



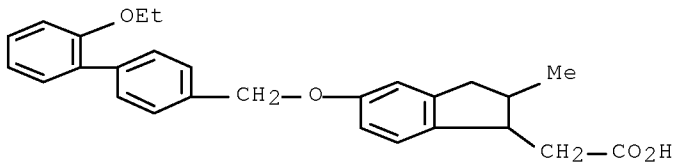
RN 950504-56-0 USPATFULL
CN 1H-Indene-1-acetic acid, 5-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-2,3-dihydro-2-methyl- (CA INDEX NAME)



RN 950504-58-2 USPATFULL
CN 1H-Indene-1-acetic acid, 2,3-dihydro-2-methyl-5-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]- (CA INDEX NAME)

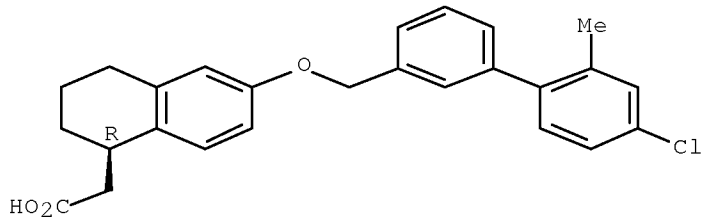


RN 950504-59-3 USPATFULL
CN 1H-Indene-1-acetic acid, 5-[(2'-ethoxy[1,1'-biphenyl]-4-yl)methoxy]-2,3-dihydro-2-methyl- (CA INDEX NAME)



RN 950504-92-4 USPATFULL
CN 1-Naphthaleneacetic acid, 6-[(4'-chloro-2'-methyl[1,1'-biphenyl]-3-yl)methoxy]-1,2,3,4-tetrahydro-, (1R)- (CA INDEX NAME)

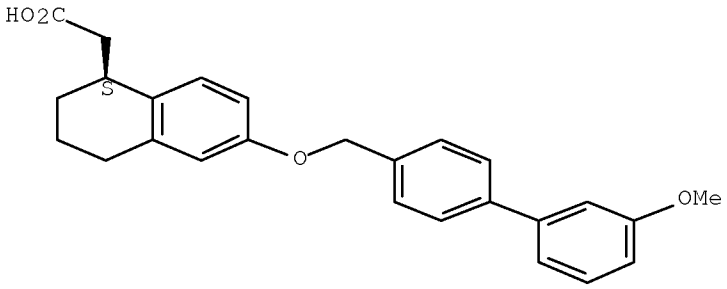
Absolute stereochemistry.



RN 950504-94-6 USPATFULL
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[(3'-methoxy[1,1'-biphenyl]-

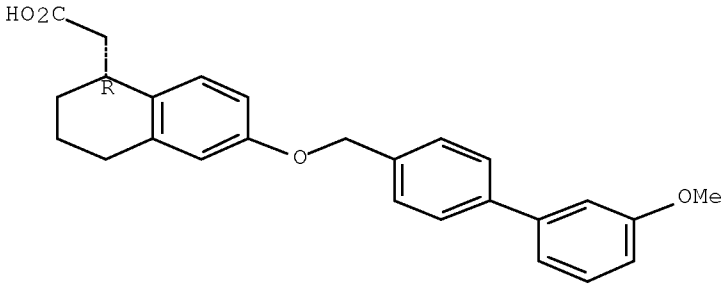
4-yl)methoxy]-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



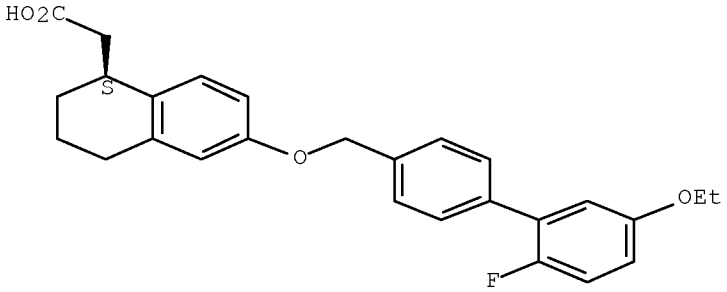
RN 950504-95-7 USPATFULL
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-6-[(3'-methoxy[1,1'-biphenyl]-4-yl)methoxy]-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 950504-96-8 USPATFULL
CN 1-Naphthaleneacetic acid, 6-[(5'-ethoxy-2'-fluoro[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro-, (1S)- (CA INDEX NAME)

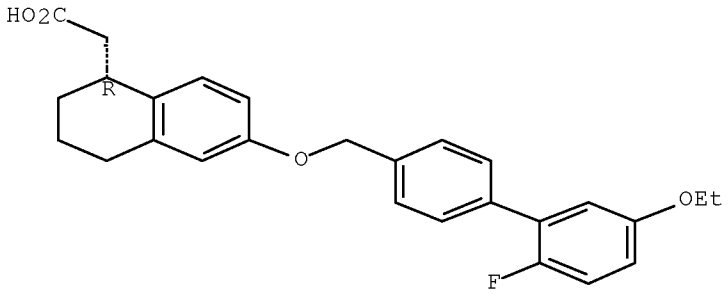
Absolute stereochemistry.



RN 950504-97-9 USPATFULL
CN 1-Naphthaleneacetic acid, 6-[(5'-ethoxy-2'-fluoro[1,1'-biphenyl]-4-

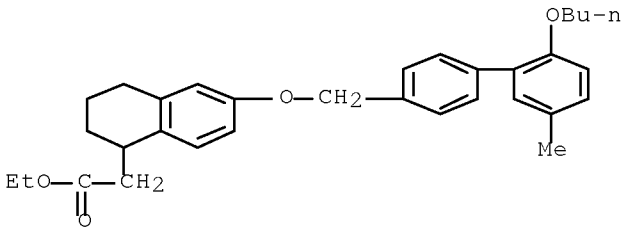
yl)methoxy]-1,2,3,4-tetrahydro-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

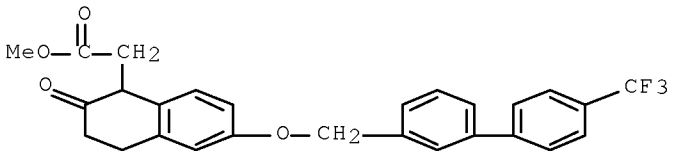


IT 950505-23-4P 950505-50-7P 950505-52-9P
(preparation of coumarin and related carbocycle and heterocyclic analogs useful for treating metabolic disorders)

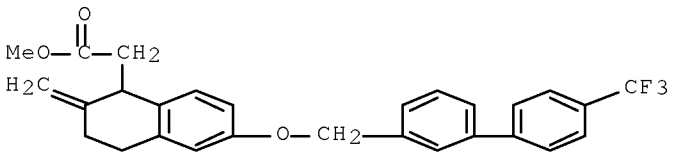
RN 950505-23-4 USPATFULL
CN 1-Naphthaleneacetic acid, 6-[(2'-butoxy-5'-methyl[1,1'-biphenyl]-4-yl)methoxy]-1,2,3,4-tetrahydro-, ethyl ester (CA INDEX NAME)



RN 950505-50-7 USPATFULL
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-2-oxo-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-, methyl ester (CA INDEX NAME)



RN 950505-52-9 USPATFULL
CN 1-Naphthaleneacetic acid, 1,2,3,4-tetrahydro-2-methylene-6-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]methoxy]-, methyl ester (CA INDEX NAME)



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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, MARPAT' - CONTINUE? (Y)/N:y

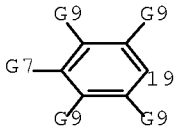
L49 ANSWER 5 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:269260 MARPAT Full-text
TITLE: Heterocyclic modulators of PPAR
INVENTOR(S): Bennett, Dennis A.; Severance, Daniel L.; Semple, J. Edward
PATENT ASSIGNEE(S): Kalypsys, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 74pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

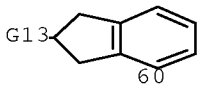
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070191371	A1	20070816	US 2007-675067	20070214
PRIORITY APPLN. INFO.:			US 2006-773289P	20060214

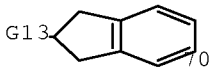
AB The present invention relates to compds. and methods useful as modulators of Peroxisome Proliferator-Activated Receptors (PPARs) for treatment or prevention of disease.

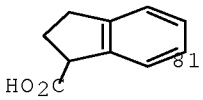
MSTR 1

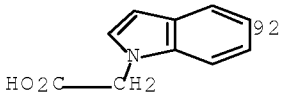
G1 = aryl <1-3 rings> (opt. substd.) /
heteroaryl <containing zero or more N, zero or more O, zero or more S (no other heteroatoms)> (opt. substd.) /
carbocycle <1-3 rings> (opt. substd.) /
heterocycle <containing zero or more N, zero or more O, zero or more S (no other heteroatoms), 1-3 rings> (opt. substd.) / (Specifically claimed: Ph (opt. substd.) / 205 / 19 / 60 / 70 / 81 / 92 / 103 / 113 / 123 / 134 / 148 / 157 / 168 / 178 / 191 / 201)

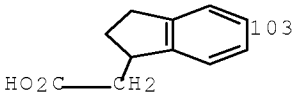


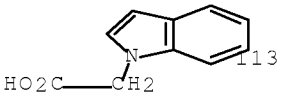


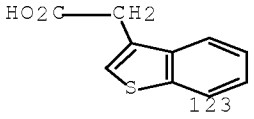


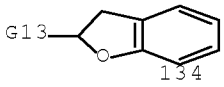


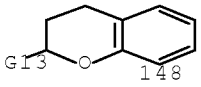


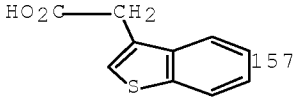


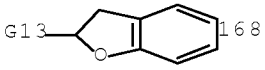


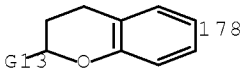


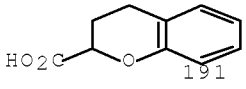


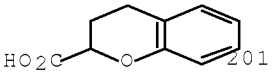


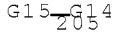




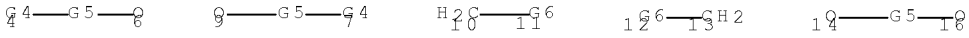








G2 = R <"linker"> / (Specifically claimed: S / S(O) / SO2 / 4-1 6-3 / 9-1 7-3 / 10-1 11-3 / 12-1 13-3 / 14-1 16-3)



G3 = Ph (opt. substd. by 1 or more G10) / heteroaryl <containing zero or more N, zero or more O, zero or more S, monocyclic> (opt. substd. by 1 or more G10) / (Specifically claimed: isothiazolyl / thienyl / furyl /

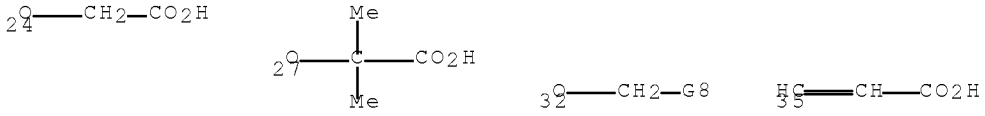
isoxazolyl / pyrrolyl / pyrazolyl / imidazolyl / triazolyl / pyridyl / pyridazinyl / pyrazinyl / pyrimidinyl / triazinyl)

G4 = S / S(O) / SO2

G5 = (1-4) CH2

G6 = S / S(O) / SO2 / O

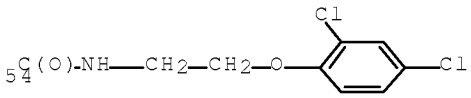
G7 = H / 24 / CH2CO2H / 27 / 35 / CH2CH2CO2H / 32



G8 = tetrazolyl

G9 = H / loweralkyl (opt. substd.) / loweralkoxy (opt. substd.) / F / Cl / Br / I

G10 = R / (Specifically claimed: Ph (opt. substd. by 1 or more G11) / pyridyl (opt. substd. by 1 or more G12) / 54)



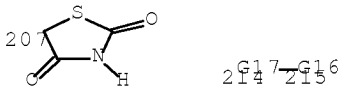
G11 = CF3 / OCF3 / OPr-i / OMe

G12 = OPr-i / OMe

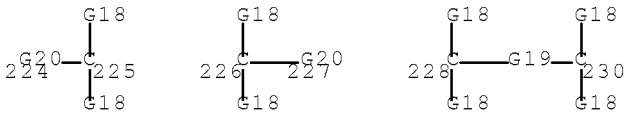
G13 = CO2H / CH2CO2H

G14 = arylene <bicyclic> (opt. substd.) / heteroarylene <containing zero or more N, zero or more O, zero or more S, bicyclic> (opt. substd.)

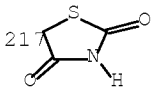
G15 = CO2H (opt. substd.) / CONH2 (opt. substd.) / tetrazolyl / 207 / 215



G16 = G20 / O / S / NH (opt. substd.) / 224-205 225-214 / 226-205 227-214 / 228-205 230-214



G17 = CO2H (opt. substd.) / CONH2 (opt. substd.) / tetrazolyl / 217



G18 = H / R
G19 = O / S / NH (opt. substd.)
G20 = (1-2) CH2 (opt. substd.)
G21 = 2 / Ph (opt. substd. by 1 or more G10) /
heteroaryl <containing zero or more N, zero or more O,
zero or more S, monocyclic> (opt. substd. by 1 or more G10) /
(Specifically claimed: isothiazolyl / thienyl / furyl /
isoxazolyl / pyrrolyl / pyrazolyl / imidazolyl / triazolyl /
pyridyl / pyridazinyl / pyrazinyl / pyrimidinyl / triazinyl)

Patent location: claim 1
Note: or salts, esters, or prodrugs

AN 147:269260 MARPAT Full-text
ANPL 2007:907204

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, USPATFULL, MARPAT' - CONTINUE? (Y)/N:y

L49 ANSWER 6 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:411233 MARPAT Full-text
TITLE: Substituted photochromic phenanthropyrans for plastics and ophthalmic purposes
INVENTOR(S): Mann, Claudia; Melzig, Manfred; Weigand, Udo
PATENT ASSIGNEE(S): Rodenstock G.m.b.H., Germany
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035529	A1	20050421	WO 2004-EP9369	20040820

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1664034	A1	20060607	EP 2004-764351	20040820
R: DE, ES, FR, GB, IT				
JP 2007505842	T	20070315	JP 2006-526535	20040820
US 20060219990	A1	20061005	US 2006-377357	20060317
US 7229576	B2	20070612		
PRIORITY APPLN. INFO.:			DE 2003-10343579	20030918
			WO 2004-EP9369	20040820

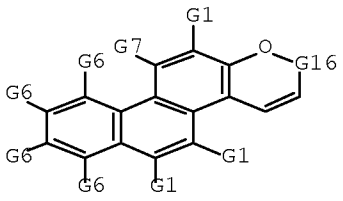
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to specific photochromic phenanthropyrans and the use thereof in all types of plastics, particularly for ophthalmic purposes. The invention especially relates to photochromic compds. which are derived from 2H-phenanthro[2,1-b]pyrans I [Z1 = (R5)m; m = 0 - 3; R1, R3, R4, R5 = H, F, Cl, Br, OH, silyloxy, (un)branched C1-6-alkyl, C3-7-cycloalkyl, C1-6-alkoxy, Ph, OPh, CH2Ph,OCH2Ph, naphthyl, naphthoxy, phenanthryl, pyridyl; R2 = H, NR6R7, quinolinyl, isoquinolinyl, thienyl, benzothienyl, dibenzothienyl, carbazolyl, phenothiazinyl, oxazolyl, benzoxazolyl, oxadiazolyl, thiazolyl, benzothiazolyl, thiadiazolyl, imidazolyl, pyrazolyl, triazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, Ac, COPh, CHO, CN, etc.; R6, R7 = H, (un)branched C1-6-alkyl, C3-7-cycloalkyl, Ph, CH2Ph; B, B' = un-, mono- or disubstituted Ph, CH:CH2, C.tplbond.CH, naphthyl, furanyl, benzofuranyl, thienyl, benzothienyl, julodinyl; BB' = un-, mono- or disubstituted spirofluorene; CBB' = saturated C3-12-spiromonocycle, C7-12-spirobicycle, C7-12-spirotricycle] and 3H-phenanthro[3,4-b]pyrans II [Z2 = (R5)m] and are provided with particularly long wavelength absorption maxima in the open form while being colorless in the non-excited state. The long wavelength absorption maxima of pyrenopyrans III [Z3 = (R5)m; R9 = C1-6-alkyl, Ph, C6H4OMe-4, C6H4(NMe2)-4, CH:CH2, C.tplbond.CH, CH:CH-(C1-6-alkyl), C.tplbond.C-(C1-6-alkyl), CH:CHPh, C.tplbond.CPh; R10 = H] were also determined

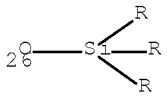
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

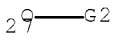
MSTR 1

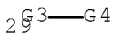


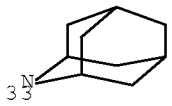
G1 = H / F / Cl / Br / OH / 26 / alkyl <containing 1-6 C> / cycloalkyl <containing 3-7 C> / alkoxy <containing 1-6 C> / Ph (opt. substd.) / 27 / CH2Ph (opt. substd.) / naphthyl (opt. substd.) / phenanthryl (opt. substd.) / pyridyl (opt. substd.) / NH2 / 29 / 33 / heterocycle <containing 3-10 atoms, 1 or more N,

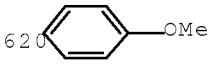
zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N> (opt. substd. by 1 or more G5) / (Specifically claimed: 620 / 627 / 634 / 637)

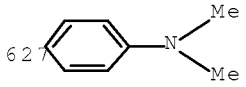


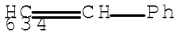


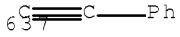




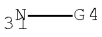




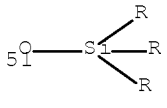


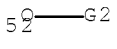


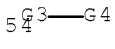
G2 = Ph (opt. substd.) / CH2Ph (opt. substd.) / naphthyl (opt. substd.)
G3 = NH / 31

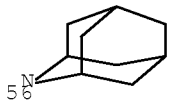


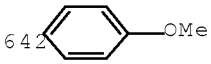
G4 = alkyl <containing 1-6 C> / cycloalkyl <containing 3-7 C> / Ph (opt. substd.) / CH2Ph (opt. substd.)
G5 = alkyl <containing 1-6 C> / R
G6 = 1 or more H / F / Cl / Br / OH / 51 / alkyl <containing 1-6 C> / cycloalkyl <containing 3-7 C> / alkoxy <containing 1-6 C> / Ph (opt. substd.) / 52 / CH2Ph (opt. substd.) / naphthyl (opt. substd.) / phenanthryl (opt. substd.) / pyridyl (opt. substd.) / NH2 / 54 / 56 / heterocycle <containing 3-10 atoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N> (opt. substd. by 1 or more G5) / (Specifically claimed: 642 / 649 / 656 / 659)

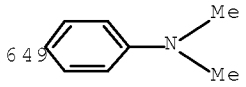


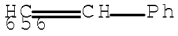


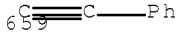




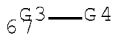


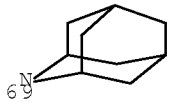


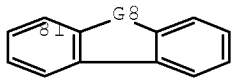


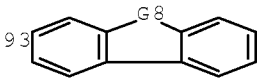


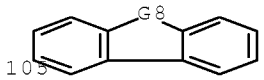
G7 = H / NH2 / 67 / 69 / heterocycle <containing 3-10 atoms, 1 or more N, zero or more O, zero or more S (no other heteroatoms), attached through 1 or more N> (opt. substd. by 1 or more G5) / quinolinyl / isoquinolinyl / thienyl / benzothienyl / 81 / 93 / 105 / 123 / 137 / furyl / benzofuranyl / 146 / 160 / 174 / 194 / 213 / oxazolyl / benzoxazolyl / oxadiazolyl / thiazolyl / benzothiazolyl / thiadiazolyl / imidazolyl / pyrazolyl / triazolyl / tetrazolyl / pyrimidinyl / pyrazinyl / COMe / COPh / CN / CHO / 218 / 220 / 223 / 226 / 228 / CH2CN / 231 / CO2H / CH2CO2H / 233 / alkoxycarbonyl <containing 1-6 C> / 237 / CO2Ph / CO2CH2Ph / NO2 / 241 / CONH2 / CH=CH2 / 246 / ethynyl / 254 / 287 / (Specifically claimed: 598 / 604 / 612 / 615)

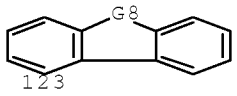


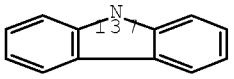


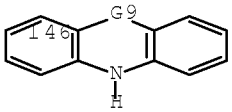


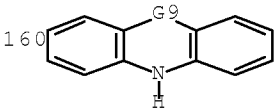


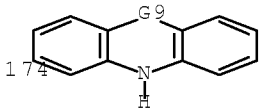


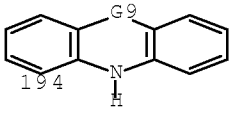


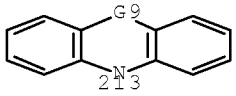


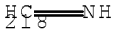


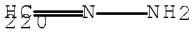


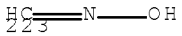


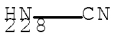


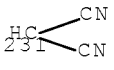


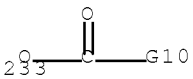


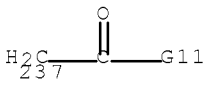


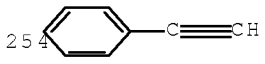




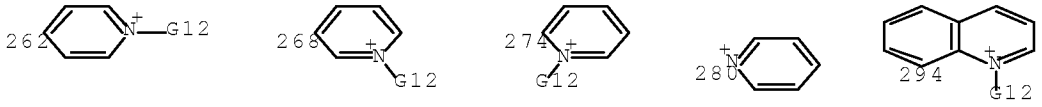


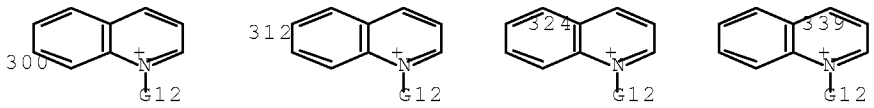


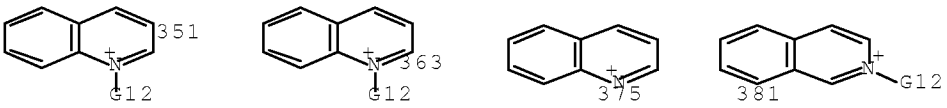


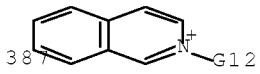


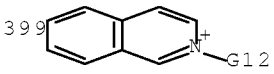
G8 = S / O / NH
G9 = O / S
G10 = H / carbon chain <containing 1-5 C> / R
G11 = alkoxy <containing 1-6 C>
G12 = alkyl <containing 1-6 C>
G13 = 262 / 268 / 274 / 280 / 294 / 300 / 312 / 324 / 339 / 351 / 363 / 375 / 381 / 387 / 399 / 411 / 426 / 438 / 450 / 462

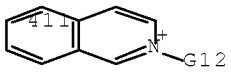


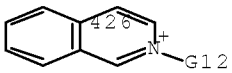


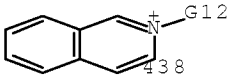


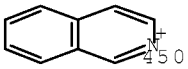


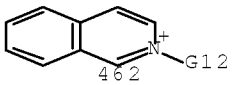




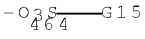




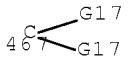


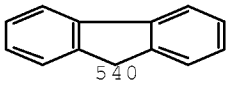


G14 = chloride / bromide / sulfate / 464 /
tetrafluoroborate / hexafluorophosphate

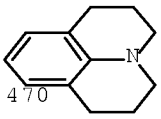


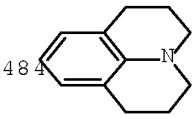
G15 = OH / Me / p-C6H4Me / CF3
G16 = 467 / 540 / any ring <containing 3-12 C, 1-3 rings>

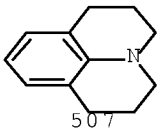


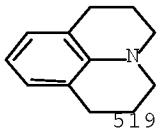


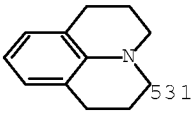
G17 = Ph / ethynyl / CH=CH2 / naphthyl / furyl /
benzofuranyl / thienyl / benzothienyl / 470 / 484 / 507 /
519 / 531 / (Specifically claimed: 549)

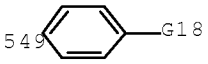






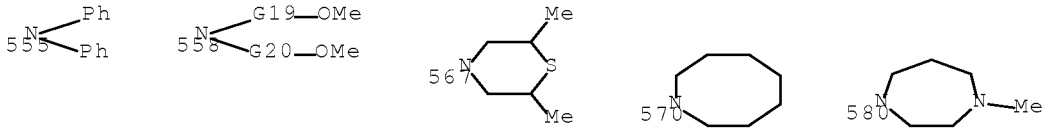


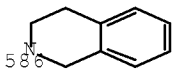




G18 = 555 / 558 / morpholino / thiomorpholino / 567 /
piperidino / hexahydroazepino / 570 / 580 / piperazino /

pyrrolidino / 586





G19 = phenylene
G20 = phenylene
Patent location: claim 1
Note: additional ring formation also claimed

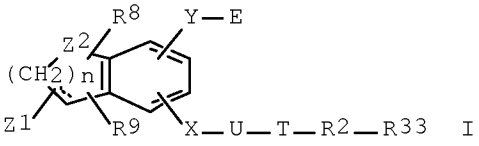
AN 142:411233 MARPAT Full-text
ANPL 2005:347013

L49 ANSWER 7 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:140430 MARPAT Full-text
TITLE: Preparation of fused heterocyclic derivatives as PPAR modulators for treatment of diabetes mellitus, syndrome X, and atherosclerosis
INVENTOR(S): Conner, Scott Eugene; Knobelsdorg, James Allen; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Wang, Xiaodong; Zhu, Guoxin; Schkeryantz, Jeffrey Michael
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 234 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063190	A1	20040729	WO 2003-US41690	20031231
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2510516	A1	20040729	CA 2003-2510516	20031231
AU 2003303681	A1	20040810	AU 2003-303681	20031231
EP 1581521	A1	20051005	EP 2003-808624	20031231
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2006514069 T 20060427 JP 2004-566653 20031231
US 20060217374 A1 20060928 US 2005-541502 20051223
US 7528160 B2 20090505
PRIORITY APPLN. INFO.: US 2003-438541P 20030106
WO 2003-US41690 20031231

GI



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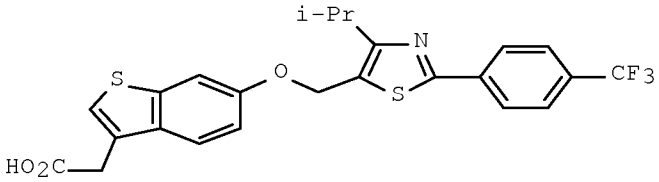
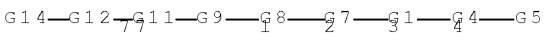


II

AB Title compds. I [wherein A = carboxy(alkyl), tetrazolyl(alkyl), nitrilo(alkyl), carboxamido(alkyl), sulfonamido(alkyl); E = (un)substituted (CH2)0-1A; T = (un)substituted specified heterocyclyl, (hetero)aryl; U = (un)substituted aliphatic linker wherein one C of the linker may be replaced with O, NH, or S; X = a bond, O, S, SO2, NH; Y = a bond, CH2, O, S, NH; Z1 = H, Z3(alkyl)Z4; Z2 = NH, S, O, with provisos; Z3 = a bond, CO, CO2, CONZ5, SO2; Z4 = (un)substituted (hetero)aryl; Z5 = H, (un)substituted (hetero)aryl; R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, oxo, sulfo, halo; R9 = H, alkyl, alkylenyl, halo, allyl, oxo, sulfo, OH, alkoxy, (un)substituted aryl(alkyl), heteroaryl; or R8 and R9 may combine to form a fused ring; R33 = alkyl, (un)substituted alkoxy, Ph, thienyl, pyridyl, piperidinyl, morpholinyl, tetrahydropyranyl; n = 1-3; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 5-chloromethyl-4-isopropyl-2-(4-trifluoromethylphenyl)thiazole was coupled with (6-hydroxybenzo[b]thiophen-3-yl)acetic acid Et ester in the presence of Cs2CO3 in acetonitrile to give II. I and their pharmaceutical compns. are expected to be effective in treating and preventing Syndrome X, Type II diabetes, and atherosclerosis (no data).

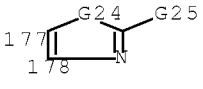
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

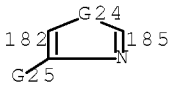
MSTR 1A

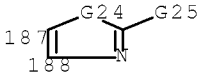


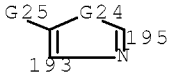
G1 = heterocycle <containing 1 heteroatom,
1 N (no other heteroatoms), 5 or more C,
1 or more double bonds, mono- or bicyclic, (0-1) 3-membered,

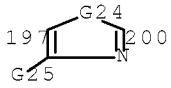
(0-1) 4-membered, (1-2) 5-membered, (0-1) 6-membered,
(0-1) 7-membered, (0-1) 8-membered rings only>
(opt. substd.) / heterocycle <containing 2 heteroatoms,
zero or more O, zero or more S, 1 N (no other heteroatoms),
4 or more C, 1 or more double bonds, mono- or bicyclic,
(0-1) 3-membered, (0-1) 4-membered, (1-2) 5-membered,
(0-1) 6-membered, (0-1) 7-membered,
(0-1) 8-membered rings only> (opt. substd.) /
heterocycle <containing 5 atoms, 2 heteroatoms,
2 N (no other heteroatoms), 3 C, aromatic, 2 double bonds,
5-membered monocyclic ring> (opt. substd.) /
heterocycle <containing 5 atoms, 2-3 heteroatoms,
1 or more N, 0-1 O (no other heteroatoms), 2 or more C,
0-2 double bonds, 5-membered monocyclic ring>
(opt. substd.) / heterocycle <containing 5 atoms,
1 heteroatom, 1 S (no other heteroatoms), 4 C, aromatic,
2 double bonds, 5-membered monocyclic ring> (opt. substd.) /
heterocycle <containing 2 heteroatoms, 1 N, zero or more O,
zero or more S (no other heteroatoms), 3 C, aromatic,
2 double bonds, 5-membered monocyclic ring> (opt. substd.) /
6 / phenylene (opt. substd.) / (Specifically claimed: 177-2
178-4 / 182-2 185-4 / 188-2 187-4 / 193-2 195-4 /
200-2 197-4 / 205-2 203-4 / 245-2 243-4 / 250-2 249-4 /
255-2 257-4 / 258-2 260-4 / 264-2 265-4 / 272-2 270-4 /
334-2 332-4 / 337-2 343-4 / 350-2 346-4)

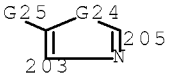


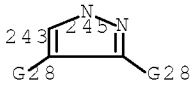


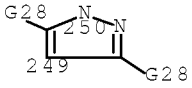


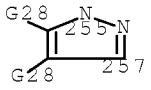


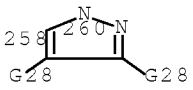


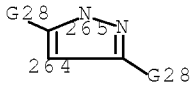


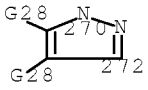


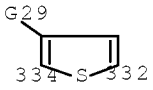


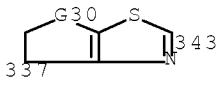


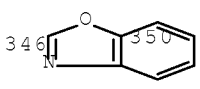






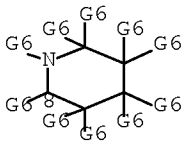
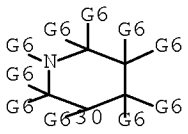
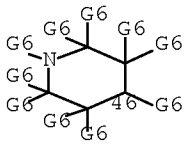
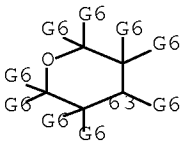




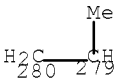


G2 = heterocycle <containing 5 atoms, 2-3 heteroatoms,
2-3 N, 0-1 O (no other heteroatoms), 2-3 C,

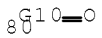
attached through 1 or more C, 1 double bond,
5-membered monocyclic ring> (opt. substd.)
G3 = O / S
G4 = bond / alkylene <containing 1-8 C> (opt. substd.) /
R <containing 1 or more heteroatoms, zero or more N,
zero or more O, zero or more S, 1-6 C>
G5 = alkyl <containing 2 or more C> (opt. substd.) /
alkoxy <containing 1 or more C> (opt. substd.) /
Ph (opt. substd. by 1 or more G22) / thienyl (opt. substd.) /
pyridyl (opt. substd.) / piperidino (opt. substd.) / 8 / 30 /
46 / morpholino (opt. substd.) / 63

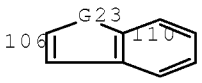





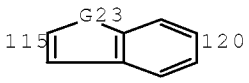
G6 = H / R
G7 = carbon chain <containing 1 or more C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / carbocycle <containing 3 or more C,
non-aromatic, 0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / heterocycle <containing 3 or more atoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), non-aromatic,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / R <containing 1 or more heteroatoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), 1 or more C> /
(Specifically claimed: CH2 / 280-1 279-3 / CHMe / CH2CH2 /
CMe2)

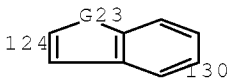


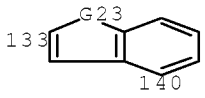
G8 = bond / O / S / SO2 / NH
G9 = heterocycle <containing 1 heteroatom,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), 8-10 C, aromatic,
6 normalized bonds, up to 1 double bond, 2 C fusion atoms,
bicyclic, (0-1) 5-membered, (1-2) 6-membered,
(0-1) 7-membered rings only> (opt. substd.) / 80 /
(Specifically claimed: 106-77 110-1 / 115-77 120-1 /
124-77 130-1 / 133-77 140-1 / 141-77 146-1 /
150-77 156-1 / 159-77 166-1 / 168-77 176-1 /
209-77 212-1 / 218-77 222-1 / 227-77 232-1 /
236-77 242-1 / 288-77 282-1 / 303-77 297-1 /
314-77 309-1)

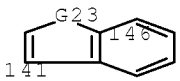


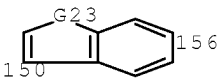


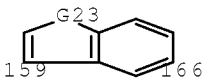


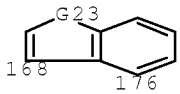


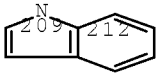


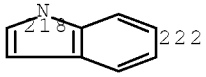


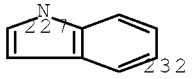


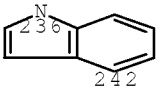


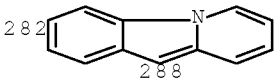


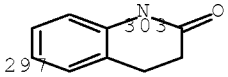


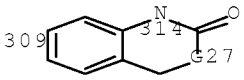












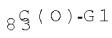
G10 = heterocycle <containing 1 heteroatom, zero or more N, zero or more O, zero or more S (no other heteroatoms), 8-10 C, aromatic, 6 normalized bonds, up to 1 double bond, 2 C fusion atoms, bicyclic, (0-1) 5-membered, (1-2) 6-membered, (0-1) 7-membered rings only> (opt. substd.)

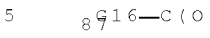
G11 = CH2 / O / S / NH

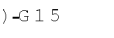
G12 = bond / alkylidene <containing 1 or more C> (opt. substd. by G13) / CH2 / cycloalkylene <containing 3-4 C> (opt. substd.) / (Specifically claimed: CHMe / CMe2)

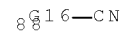
G13 = R / alkoxy <containing 1-5 C> (opt. substd.) / aryloxy (opt. substd.) / cycloalkyl <containing 3-6 C> (opt. substd.) / aryl (opt. substd.)

G14 = 83 / tetrazolyl (opt. substd. by (1) G18) / 87 / 88 / 93 / 95

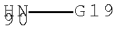




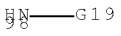




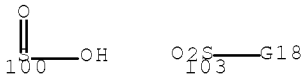
G15 = OH / NH2 / 90 / (Specifically claimed: OMe)



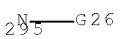
G16 = alkylene <containing 1-6 C>
G17 = tetrazolyl (opt. substd. by (1) G18) / 98



G18 = alkyl <containing 1 or more C>
(opt. substd. by 1 or more G21) /
aryl (opt. substd. by 1 or more G20)
G19 = 100 / 103

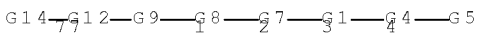


G20 = F / Cl / Br / I
G21 = F / Cl / Br / I / aryl (opt. substd. by 1 or more G20)
G22 = R / (Specifically claimed: alkyl (substd. by 1 or more G20) / CF3)
G23 = O / S / 295



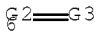
G24 = O / S
G25 = H / R / Me / Pr-i / Ph / Bu-t
G26 = H / R / Me
G27 = (1-2) CH2
G28 = H / R / Me / Ph
G29 = H / Me / Bu-i
G30 = (1-3) CH2
Patent location: claim 1
Note: substitution is restricted
Note: and pharmaceutically acceptable salts, solvates and hydrates
Stereochemistry: and stereoisomers

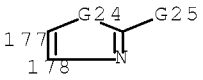
MSTR 1B

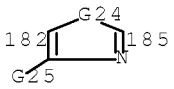


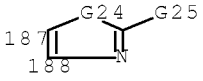
G1 = heterocycle <containing 1 heteroatom,
1 N (no other heteroatoms), 5 or more C,
1 or more double bonds, mono- or bicyclic, (0-1) 3-membered,
(0-1) 4-membered, (1-2) 5-membered, (0-1) 6-membered,
(0-1) 7-membered, (0-1) 8-membered rings only>

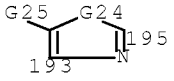
(opt. substd.) / heterocycle <containing 2 heteroatoms, zero or more O, zero or more S, 1 N (no other heteroatoms), 4 or more C, 1 or more double bonds, mono- or bicyclic, (0-1) 3-membered, (0-1) 4-membered, (1-2) 5-membered, (0-1) 6-membered, (0-1) 7-membered, (0-1) 8-membered rings only> (opt. substd.) / heterocycle <containing 5 atoms, 2 heteroatoms, 2 N (no other heteroatoms), 3 C, aromatic, 2 double bonds, 5-membered monocyclic ring> (opt. substd.) / heterocycle <containing 5 atoms, 2-3 heteroatoms, 1 or more N, 0-1 O (no other heteroatoms), 2 or more C, 0-2 double bonds, 5-membered monocyclic ring> (opt. substd.) / heterocycle <containing 5 atoms, 1 heteroatom, 1 S (no other heteroatoms), 4 C, aromatic, 2 double bonds, 5-membered monocyclic ring> (opt. substd.) / heterocycle <containing 2 heteroatoms, 1 N, zero or more O, zero or more S (no other heteroatoms), 3 C, aromatic, 2 double bonds, 5-membered monocyclic ring> (opt. substd.) / 6 / phenylene (opt. substd.) / (Specifically claimed: 177-2 178-4 / 182-2 185-4 / 188-2 187-4 / 193-2 195-4 / 200-2 197-4 / 205-2 203-4 / 245-2 243-4 / 250-2 249-4 / 255-2 257-4 / 258-2 260-4 / 264-2 265-4 / 272-2 270-4 / 334-2 332-4 / 337-2 343-4 / 350-2 346-4)

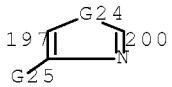


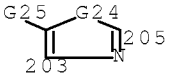


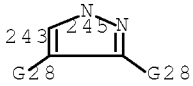


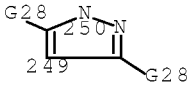


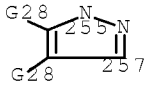


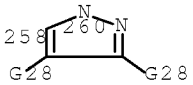


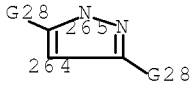


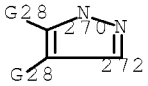


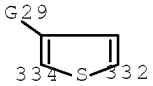


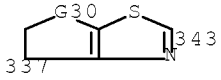


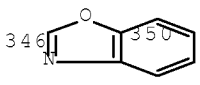






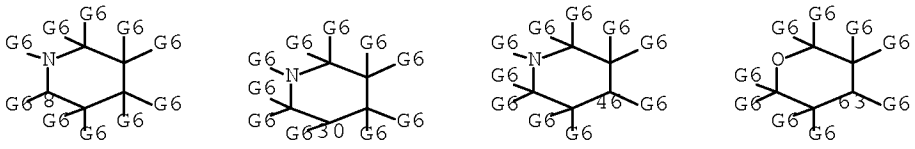




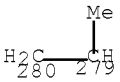


G2 = heterocycle <containing 5 atoms, 2-3 heteroatoms, 2-3 N, 0-1 O (no other heteroatoms), 2-3 C, attached through 1 or more C, 1 double bond, 5-membered monocyclic ring> (opt. substd.)

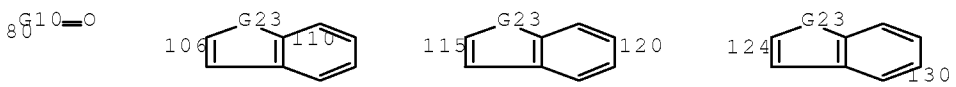
G3 = O / S
G4 = bond / alkylene <containing 1-8 C> (opt. substd.) /
R <containing 1 or more heteroatoms, zero or more N,
zero or more O, zero or more S, 1-6 C>
G5 = alkyl <containing 2 or more C> (opt. substd.) /
alkoxy <containing 1 or more C> (opt. substd.) /
Ph (opt. substd. by 1 or more G22) / thienyl (opt. substd.) /
pyridyl (opt. substd.) / piperidino (opt. substd.) / 8 / 30 /
46 / morpholino (opt. substd.) / 63

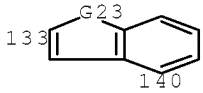


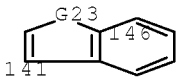
G6 = H / R
G7 = carbon chain <containing 1 or more C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / carbocycle <containing 3 or more C,
non-aromatic, 0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / heterocycle <containing 3 or more atoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), non-aromatic,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.) / R <containing 1 or more heteroatoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), 1 or more C> /
(Specifically claimed: CH2 / 280-1 279-3 / CHMe / CH2CH2 /
CMe2)

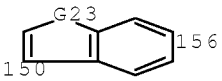


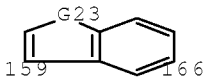
G8 = bond / O / S / SO2 / NH
G9 = heterocycle <containing 1 heteroatom,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), 8-10 C, aromatic,
6 normalized bonds, up to 1 double bond, 2 C fusion atoms,
bicyclic, (0-1) 5-membered, (1-2) 6-membered,
(0-1) 7-membered rings only> (opt. substd.) / 80 /
(Specifically claimed: 106-77 110-1 / 115-77 120-1 /
124-77 130-1 / 133-77 140-1 / 141-77 146-1 /
150-77 156-1 / 159-77 166-1 / 168-77 176-1 /
209-77 212-1 / 218-77 222-1 / 227-77 232-1 /
236-77 242-1 / 288-77 282-1 / 303-77 297-1 /
314-77 309-1)

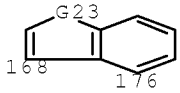


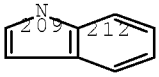


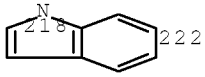


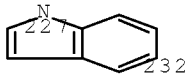


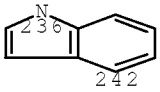


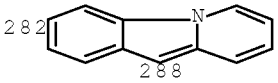


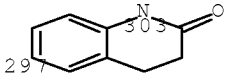


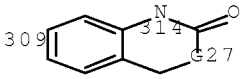










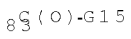


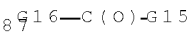
G10 = heterocycle <containing 1 heteroatom,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), 8-10 C, aromatic,
6 normalized bonds, up to 1 double bond, 2 C fusion atoms,
bicyclic, (0-1) 5-membered, (1-2) 6-membered,
(0-1) 7-membered rings only> (opt. substd.)

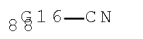
G12 = bond / alkylidene <containing 1 or more C>
(opt. substd. by G13) / CH2 / cycloalkylene <containing 3-4
C> (opt. substd.) / (Specifically claimed: CHMe / CMe2)

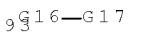
G13 = R / alkoxy <containing 1-5 C> (opt. substd.) /
aryloxy (opt. substd.) / cycloalkyl <containing 3-6 C>
(opt. substd.) / aryl (opt. substd.)

G14 = 83 / tetrazolyl (opt. substd. by (1) G18) / 87 /
88 / 93 / 95

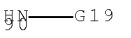






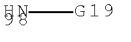


G15 = OR / NH2 / 90 / (Specifically claimed: OMe)

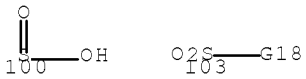


G16 = alkylene <containing 1-6 C>

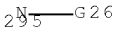
G17 = tetrazolyl (opt. substd. by (1) G18) / 98



G18 = alkyl <containing 1 or more C>
(opt. substd. by 1 or more G21) /
aryl (opt. substd. by 1 or more G20)
G19 = 100 / 103



G20 = F / Cl / Br / I
G21 = F / Cl / Br / I / aryl (opt. substd. by 1 or more G20)
G22 = R / (Specifically claimed: alkyl (substd. by 1 or more G20) / CF3)
G23 = O / S / 295



G24 = O / S
G25 = H / R / Me / Pr-i / Ph / Bu-t
G26 = H / R / Me
G27 = (1-2) CH2
G28 = H / R / Me / Ph
G29 = H / Me / Bu-i
G30 = (1-3) CH2
Patent location: claim 1
Note: substitution is restricted
Note: and pharmaceutically acceptable salts, solvates and hydrates
Stereochemistry: and stereoisomers

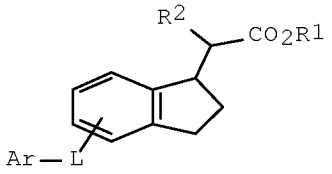
AN 141:140430 MARPAT Full-text
ANPL 2004:606464

L49 ANSWER 8 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 141:123483 MARPAT Full-text
TITLE: Preparation of indaneacetic acid derivatives and their use as pharmaceutical agents
INVENTOR(S): Cantin, Louis-David; Choi, Soongyu; Clark, Roger B.; Hentemann, Martin F.; Ma, Xin; Rudolph, Joachim; Liang, Sidney X.; Akuche, Christiana; Lavoie, Rico C.; Chen, Libing; Majumdar, Dyuti; Wickens, Philip L.
PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

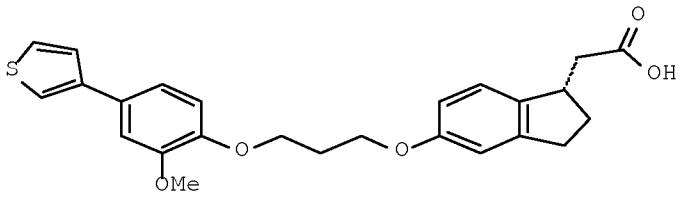
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004058174	A2	20040715	WO 2003-US40842	20031219
WO 2004058174	A3	20041202		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2510793	A1	20040715	CA 2003-2510793	20031219
AU 2003299790	A1	20040722	AU 2003-299790	20031219
EP 1578715	A2	20050928	EP 2003-800063	20031219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006516251	T	20060629	JP 2004-563903	20031219
US 20060084680	A1	20060420	US 2005-537630	20050603
PRIORITY APPLN. INFO.:			US 2002-435310P	20021220
			WO 2003-US40842	20031219

GI



I

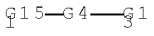


II

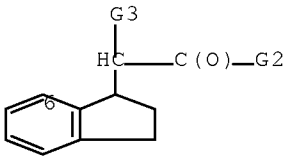
AB The title compds. [I; R1, R2 = H, alkyl, cycloalkyl; L = (CH2)mX, Y(CH2)nX, etc.; X = O, S, SO, SO2, Y = O, S, SO, SO2, (un)substituted NH; m = 1-3; n = 2-4; Ar = (un)substituted Ph, 5-6 membered heteroaryl containing up to there N atoms] which are useful in the treatment of diseases such as diabetes, obesity, hyperlipidemia, and atherosclerotic diseases, were prepared and formulated. Thus, coupling Et {(1S)-5-[3-(4-bromo-2-methoxyphenoxy)propoxy]-2,3-dihydro-1H-inden-1- yl}acetate (preparation given) with 3-thiopheneboronic acid in the presence of PdCl2(dppf).CH2Cl2, NaHCO3 in DME/H2O followed by treatment of the resulting ester with LiOH afforded (1S)-II.

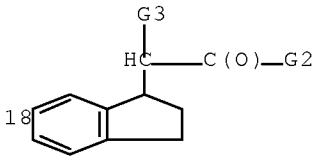
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

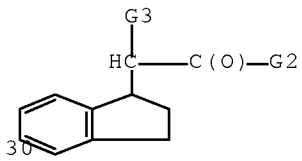
MSTR 1

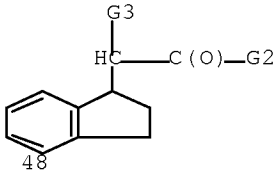


G1 = 6 / 18 / 30 / 48

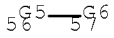


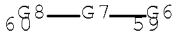


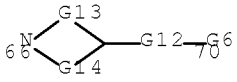




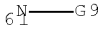
G2 = OH / alkoxy <containing 1-6 C> /
cycloalkyloxy <containing 3-6 C>
G3 = H / alkyl <containing 1-6 C> /
cycloalkyl <containing 3-6 C>
G4 = 56-1 57-3 / 60-1 59-3 / 66-1 70-3



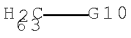




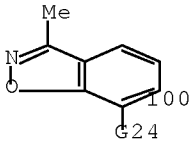
G5 = (1-3) CH2
G6 = O / S / S(O) / SO2
G7 = (2-4) CH2
G8 = O / NH / S / S(O) / SO2 / 61 /
(Specifically claimed: NMe)

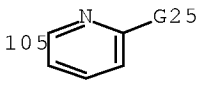


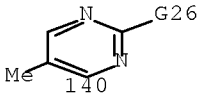
G9 = alkyl <containing 1-6 C>
(opt. substd. by cycloalkyl <containing 3-6 C>) /
alkylcarbonyl <containing 1-6 C> / 63 /
cycloalkyl <containing 3-6 C> /
alkoxycarbonyl <containing 1-6 C>

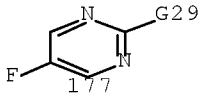


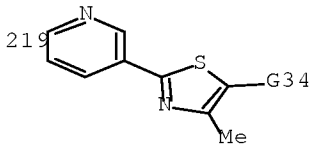
G10 = Ph (opt. substd. by 1 or more G11)
G11 = F / Cl / Br / I / alkoxy <containing 1-6 C> /
alkyl <containing 1-6 C> / CN / NH2 /
dialkylamino <each alkyl containing 1-3 C> / NO2 / CF3
G12 = bond / CH2
G13 = (0-3) CH2
G14 = (1-4) CH2
G15 = carbocycle <containing 6 C, aromatic,
6 normalized bonds, 6-membered monocyclic ring>
(opt. substd. by 1 or more G16) /
Ph (opt. substd. by 1 or more G27) /
heterocycle <containing 6 atoms, 1-3 heteroatoms,
1-3 N (no other heteroatoms), aromatic, 6 normalized bonds,
6-membered monocyclic ring> (opt. substd. by 1 or more G16) /
carbocycle <containing 9-10 C, aromatic,
6 or more normalized bonds, bicyclic, (0-1) 5-membered,
(1-2) 6-membered rings only> (opt. substd. by (1-4) G17) /
heterocycle <containing 9-10 atoms, 1-6 heteroatoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), aromatic,
6 or more normalized bonds, bicyclic, (0-1) 5-membered,
(1-2) 6-membered rings only> (opt. substd. by (1-4) G17) /
(Specifically claimed: 100 / 105 / 140 / 177 / 219 / 232 /
258)

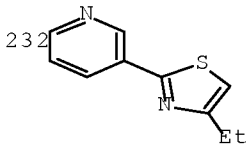


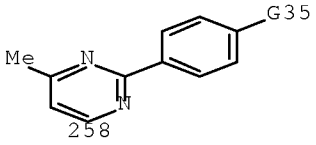












G16 = OH / SH / F / Cl / Br / I / CN / NO2 / CO2H /
alkoxycarbonyl <containing 1-6 C> /
cycloalkyloxycarbonyl <containing 3-6 C> / NH2 / 71 / 74 /
heterocycle <containing 5-6 atoms, 1 or more N,
zero or more O (no other heteroatoms),
attached through 1 or more N, 5- to 6-membered monocyclic
ring> (opt. substd. by G9) / 78 /
alkyl <containing 1-6 C> (opt. substd. by 1 or more G21) /
alkoxy <containing 1-6 C> (opt. substd. by 1 or more G22) /
alkylthio <containing 1-6 C> / alkenyl <containing 2-6 C> /

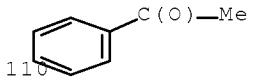
cycloalkyl <containing 3-8 C> /
cycloalkyloxy <containing 3-8 C> / OPh (opt. substd.) /
Ph (opt. substd.) / heterocycle <containing 5-6 atoms,
1-4 heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms),
5- to 6-membered monocyclic ring> (opt. substd.) /
carbocycle <containing 9-10 C, aromatic,
6 or more normalized bonds, bicyclic, (0-1) 5-membered,
(1-2) 6-membered rings only> (opt. substd.) /
heterocycle <containing 8-10 atoms, 1-7 heteroatoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), bicyclic,
(0-2) 5-membered, (0-2) 6-membered rings only>
(opt. substd.) / 86

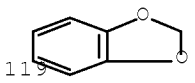
G17 = OH / F / Cl / Br / I / CN / NH2 / 88 / 91 /
heterocycle <containing 5-6 atoms, 1 or more N,
zero or more O (no other heteroatoms),
attached through 1 or more N, 5- to 6-membered monocyclic
ring> (opt. substd. by G9) / alkyl <containing 1-6 C>
(opt. substd. by 1 or more G21) /
alkoxy <containing 1-6 C> (opt. substd. by 1 or more G22) /
alkylthio <containing 1-6 C> / cycloalkyl <containing 3-8 C>
/ cycloalkyloxy <containing 3-8 C>

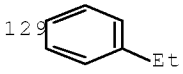
G18 = alkyl <containing 1-6 C>
(opt. substd. by cycloalkyl <containing 3-6 C>) /
alkylcarbonyl <containing 1-6 C> / 76 /
cycloalkyl <containing 3-6 C> /
Ph (opt. substd. by 1 or more G11)

G19 = NH2 / 81 / 84 / heterocycle <containing 5-6 atoms,
1 or more N, zero or more O (no other heteroatoms),
attached through 1 or more N, 5- to 6-membered monocyclic
ring> (opt. substd. by G9)

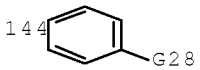
G20 = O / S
G21 = R / F / Cl / Br / I
G22 = F / Cl / Br / I
G23 = heterocycle <containing 5-6 atoms, 1-4 heteroatoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms),
5- to 6-membered monocyclic ring> (opt. substd.) /
carbocycle <containing 9-10 C, aromatic,
6 or more normalized bonds, bicyclic, (0-1) 5-membered,
(1-2) 6-membered rings only> (opt. substd.) /
heterocycle <containing 8-10 atoms, 1-7 heteroatoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), bicyclic,
(0-2) 5-membered, (0-2) 6-membered rings only>
(opt. substd.)
G24 = Pr-n / Me
G25 = 110 / 119 / 129

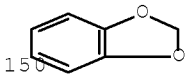




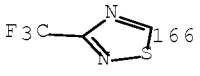


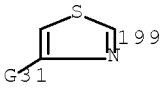
G26 = 144 / 150

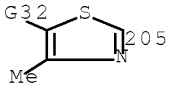


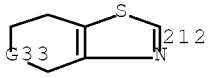


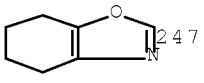
G27 = R / (Specifically claimed: Me / 166 / CF3 / Pr-n /
199 / 205 / 212 / OMe / 247 / 270 / 281 / 290 / 296 / OPh /
304 / 316 / OEt / OPr-n / 325)

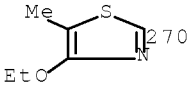


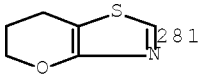


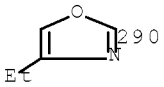


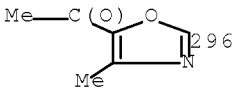


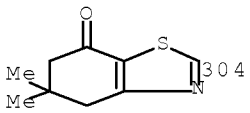


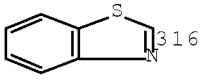


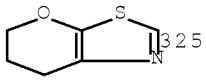




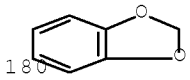


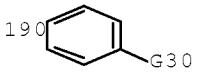




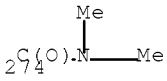


G28 = Et / Bu-t / OEt / Pr-i
G29 = 190 / 180





G30 = OMe / Pr-i
G31 = Et / Bu-t / CF3 / OMe / OEt / OPr-i / Et / CH2CO2H / H
G32 = COMe / CO2H / Me / 274



G33 = bond / CH2
G34 = Me / COMe
G35 = F / cyclohexyl
Patent location: claim 1
Note: sum of G13 and G14 is 1-4
Note: and pharmacologically acceptable esters and salts
Note: substitution is restricted

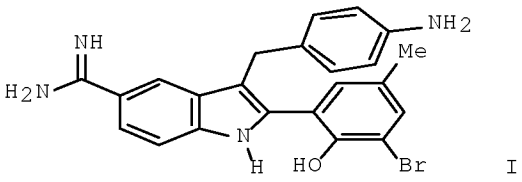
AN 141:123483 MARPAT Full-text
ANPL 2004:565052

L49 ANSWER 9 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 133:58803 MARPAT Full-text
TITLE: Preparation of 2-arylindole- or -benzimidazolecarboxamidines and analogs as serine protease inhibitors
INVENTOR(S): Allen, Darin Arthur; Hataye, Jason M.; Hruzewicz, Witold N.; Kolesnikov, Aleksandr; Mackman, Richard Laurence; Rai, Roopa; Spencer, Jeffrey R.; Verner, Erik J.; Young, Wendy B.
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000035886	A2	20000622	WO 1999-US30302	19991217
WO 2000035886	A3	20001026		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2355249	A1	20000622	CA 1999-2355249	19991217
EP 1140859	A2	20011010	EP 1999-968917	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916363	A	20011211	BR 1999-16363	19991217
HU 2001004987	A2	20020729	HU 2001-4987	19991217
HU 2001004987	A3	20020930		
EE 200100323	A	20020815	EE 2001-323	19991217
JP 2002532479	T	20021002	JP 2000-588148	19991217
NZ 512375	A	20031128	NZ 1999-512375	19991217
AU 779117	B2	20050106	AU 2000-27115	19991217
TR 200102533	T2	20060621	TR 2001-2533	19991217
NO 2001002980	A	20010801	NO 2001-2980	20010615
MX 2001006070	A	20010911	MX 2001-6070	20010615
US 6867200	B1	20050315	US 2002-868276	20020118
PRIORITY APPLN. INFO.:			US 1998-113007P	19981218
			WO 1999-US30302	19991217

GI

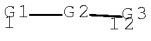


I

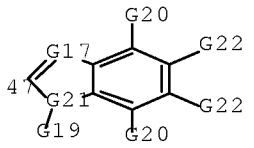
AB R1Z1Z2R2 [I; R1 = H2NC(:NH), etc.; R2 = halo, OH, CO2H, phenyl(alkyl)oxy, etc.; Z1 = (un)substituted indolylene, -benzimidazolylene, etc.; Z2 = (un)substituted phenylene, pyridinediyl, etc.] were prepared Thus, 1-(3-bromo-2-hydroxy-5-methylphenyl)-3-(4-nitrophenyl)-1-propanone was condensed with 4-(H2NHN)C6H4C(:NH)NH2 and the product cyclized to give, after reduction, title compound II. Data for biol. activity of I were given.

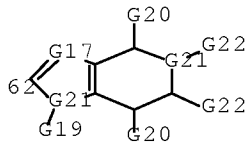
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

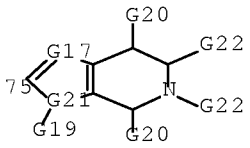
MSTR 1

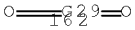


G1 = heterocycle <containing 7-10 atoms,
1-3 heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms), bicyclic>
(opt. substd.) / 159 / 162 / (Specifically claimed: 47 / 62
/
75)

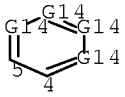


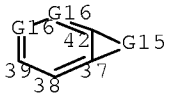


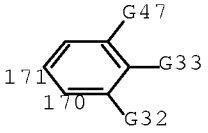




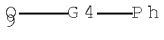
G2 = 5-1 4-12 / 39-1 38-12 /
(Specifically claimed: 171-1 170-12)

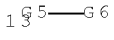


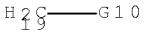


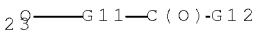


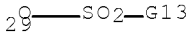
G3 = OH / F / Cl / Br / I / CO2H /
alkoxycarbonyl <containing 1-4 C> / 9 / NH2 / 13 /
heterocycle <containing 5-10 atoms, 1 or more heteroatoms,
1 or more N, attached through 1 or more N> (opt. substd.) /
19 / alkyl <containing 1-6 C> (substd. by 1 or more G9) /
23 / alkylthio <containing 1-4 C> /
alkylsulfonylamino <containing 1-4 C> / SO3H / 29 / 36

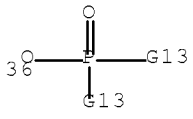




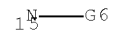




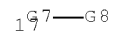




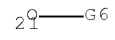
G4 = (0-1) CH2
G5 = NH / 15



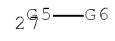
G6 = aryl <containing 6-14 C, 1-3 rings> (opt. substd.) /
17 / alkyl <containing 1-4 C> (substd. by 1 or more G9) /
alkyl <containing 1-14 C> / cycloalkyl <containing 3-14 C>



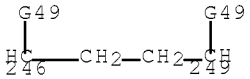
G7 = (1-2) CH2
G8 = aryl <containing 6-14 C, 1-3 rings> (opt. substd.)
G9 = F / Cl / Br / I
G10 = OH / 21



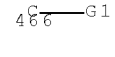
G11 = (1-4) CH2
G12 = NH2 / 27 / heterocycle <containing 5-10 atoms,
1 or more heteroatoms, 1 or more N,
attached through 1 or more N> (opt. substd.)



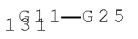
G13 = OH / alkoxy <containing 1-4 C>
G14 = CH (opt. substd.) / (up to 2) N
G15 = carbon chain <containing 4 C, up to 1 double bond>
(substd. by (1) alkyl <containing 1-3 C>) / OCH2O /
OCH2CH2O / CH=CHCH=CH / (Specifically claimed: 246-42 249-37
)

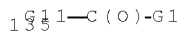


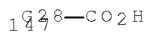
G16 = CH (opt. substd.) / N
G17 = N / 466



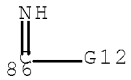
G19 = H / R / alkyl <containing 1-2 C> / 131 / 135 / 147
/
CH2Ph

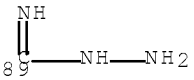


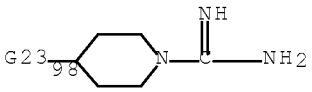


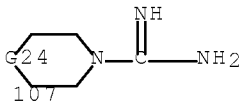


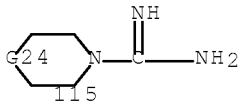
G20 = H / F / Cl / Br / I / CN /
alkyl <containing 1-4 C> (opt. substd. by 1 or more G9) /
NO2 / aryloxy <containing 6-14 C, 1-3 rings> (opt. substd.) /
OH / alkoxy <containing 1-4 C>
G21 = N / CH
G22 = OH / CF3 / H / NO2 / alkyl <containing 1-4 C> /
alkoxy <containing 1-4 C> / aryloxy <containing 6-14 C,
1-3 rings> (opt. substd.) / F / Cl / Br / I / CN /
NHC(NH)NH2 / 86 / 89 / CONH2 / heterocycle <containing 2
heteroatoms, 2 N, non-aromatic, 1 double bond,
5- to 6-membered monocyclic ring> / 98 / 107 / 115 / 129 /
244 / CF3 / OMe

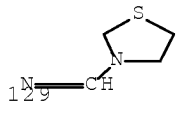


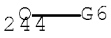




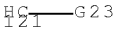




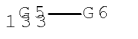


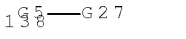


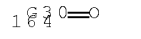
G23 = H / OH
G24 = O / 121 / bond

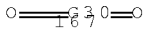


G25 = aryl <containing 6-14 C, 1-3 rings> (opt. substd.) /
heterocycle <containing 5-10 atoms, 1-4 heteroatoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms), mono- or bicyclic>
(opt. substd.) / 164 / 167 / NH2 / 133 /
heterocycle <containing 5-10 atoms, 1 or more heteroatoms,
1 or more N, attached through 1 or more N> (opt. substd.) /
138



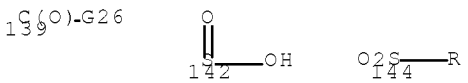




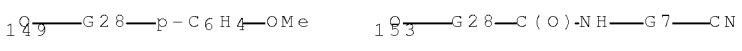


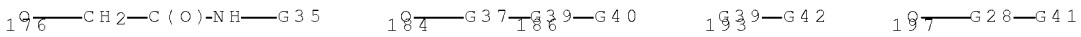
G26 = H / R

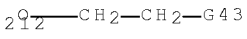
G27 = 139 / 142 / 144



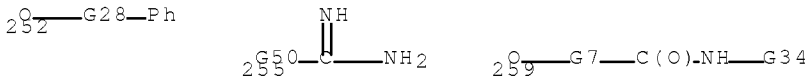
G28 = (1-3) CH2
G29 = heterocycle <containing 7-10 atoms, 1-3 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), bicyclic> (opt. substd.)
G30 = heterocycle <containing 5-10 atoms, 1-4 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), mono- or bicyclic> (opt. substd.)
G31 = F / Cl / Br / I / alkyl <containing 1-4 C> / Ph / 193 / OH / 153 / 149 / 176 / alkoxy <containing 1-3 C> / 184 / 197 / 212 / OPh / thienyl / pyridyl



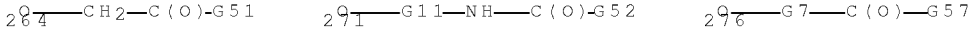


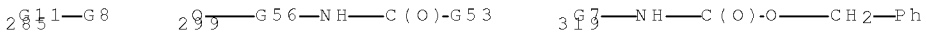


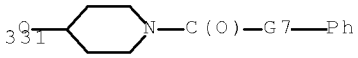
G32 = H / R / (Specifically claimed: G31 / 252 / imidazolyl / 255 / 259)



G33 = H / R / (Specifically claimed: G31 / 264 / 271 / CONH2 / 276 / aryl <containing 6-14 C, 1-3 rings> (opt. substd.) / 285 / 299 / 319 / 331)

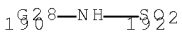




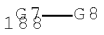


G34 = alkyl <containing 1-6 C>
G35 = Bu-i / CH2CH2CHMe2 / 181 / Et / 209

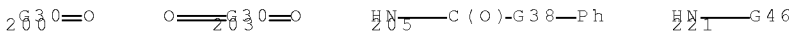
G36 = H / Me
G37 = G38 / 190-184 192-186



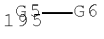
G38 = (0-2) CH2
G39 = carbocycle <containing 6 C, aromatic, bonds all normalized, 6-membered monocyclic ring>
G40 = H / aryl <containing 6-14 C, 1-3 rings> (opt. substd.) / 188 / alkyl <containing 1-4 C> (substd. by 1 or more G9) / alkyl <containing 1-14 C> / cycloalkyl <containing 3-14 C>



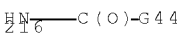
G41 = carbocycle <containing 6 C, aromatic, bonds all normalized, 6-membered monocyclic ring> (substd. by 1 or more G9) / heterocycle <containing 5-10 atoms, 1-4 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), mono- or bicyclic> (opt. substd.) / 200 / 203 / 205 / 221



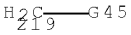
G42 = Me / alkyl <containing 1-3 C> / NH2 / 195 / heterocycle <containing 5-10 atoms, 1 or more heteroatoms, 1 or more N, attached through 1 or more N> (opt. substd.)



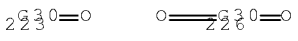
G43 = 216 / cyclohexyl / pyridyl



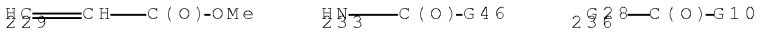
G44 = 219 / pyridyl / NHCH2Ph / CH2CH2CONH2

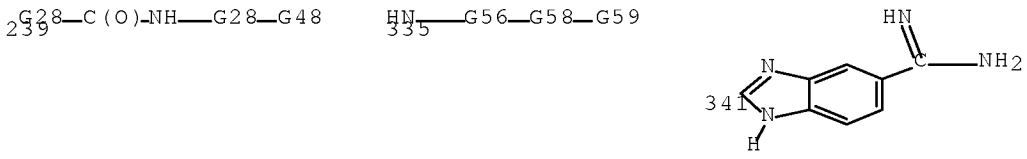


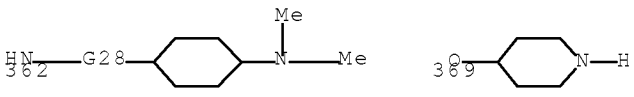
G45 = NH2 / OMe
G46 = heterocycle <containing 5-10 atoms, 1-4 heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), mono- or bicyclic> (opt. substd.) / 223 / 226

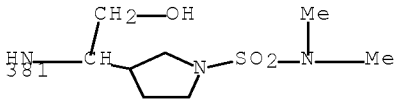


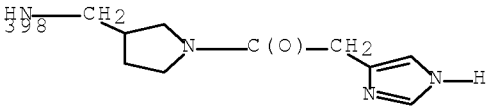
G47 = H / R / (Specifically claimed: F / Cl / Br / I / NO2 / alkyl <containing 1-2 C> / 229 / alkylsulfonylamino <containing 1-2 C> / 233 / 236 / 239 / Me / OMe / CO2H / OH / aryl <containing 6-14 C, 1-3 rings> (opt. substd.) / 335 / 341 / 362 / 369 / 381 / 398)



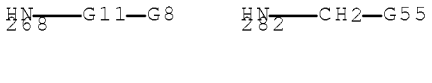


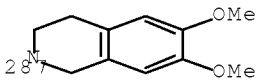




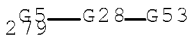


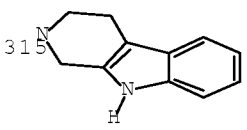
G48 = pyridyl / carbocycle <containing 6 C, aromatic, bonds all normalized, 6-membered monocyclic ring> (substd. by (2) Cl)
G49 = H / (1) alkyl <containing 1-2 C>
G50 = heterocycle <containing 2 heteroatoms, 2 N, 7 C, aromatic, 6 normalized bonds, 1 double bond, bicyclic, (1) 5-membered ring, (1) 6-membered ring>
G51 = OH / OEt / 268 / 282 / 287



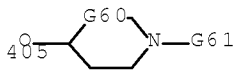


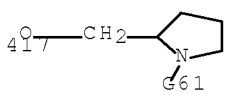
G52 = naphthyl
G53 = carbocycle <containing 6 C, aromatic, bonds all normalized, 6-membered monocyclic ring> (opt. substd. by (1-2) G54)
G54 = F / Cl / Br / I / alkoxy <containing 1-2 C> / OH / CF3 / alkyl <containing 1-4 C>
G55 = indolyl
G56 = (0-4) CH2
G57 = 279 / 315

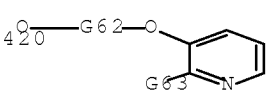


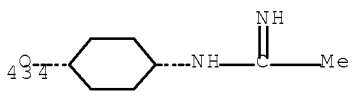


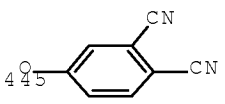
G58 = carbocycle <containing 6 C, aromatic, bonds all normalized, 6-membered monocyclic ring> (opt. substd. by (1) G54)
G59 = H / 405 / 420 / 417 / 434 / 445 / 455 / 465

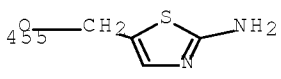


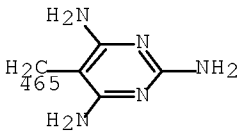




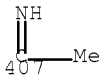








G60 = (0-1) CH2
G61 = H / 407



G62 = (2-4) CH2
G63 = NHOH / NH2
Derivative: or prodrugs or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted

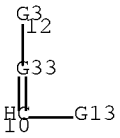
AN 133:58803 MARPAT Full-text
ANPL 2000:421114

L49 ANSWER 10 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 131:267041 MARPAT Full-text
TITLE: Method for treating patients having precancerous lesions with substituted indene derivatives, and indene derivative preparation
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary A.; Gross, Paul; Sperl, Gerhard; Brendel, Klaus
PATENT ASSIGNEE(S): Cell Pathways Inc., USA
SOURCE: U.S., 20 pp., Cont. of U.S. Ser. No. 662,458, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

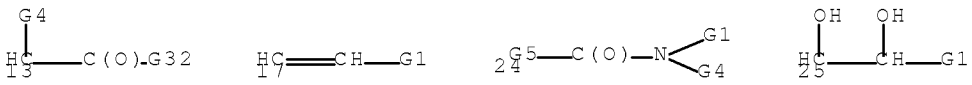
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5965619	A	19991012	US 1997-996944	19971223
PRIORITY APPLN. INFO.:			US 1996-662458	19960613

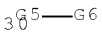
AB Substituted indene derivs. are disclosed which are useful for treating patients having precancerous lesions and for inhibiting the growth of neoplastic cells. Preparation of the indene derivs. is described.
REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

MSTR 1

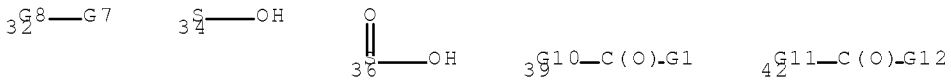


G1 = H / alkyl <containing 1-8 C> / alkyl (substd. by (3) G2) / cycloalkyl (opt. substd. by (3) G2)
G2 = F / Cl / Br / I
G3 = 13 / 17 / 24 / 25 / 30





G4 = H / OH / alkyl <containing 1-8 C> / cycloalkyl <containing 3-8 C> / NH2 / alkylamino / NHCH2Ph
G5 = alkylene <containing 1-4 C, unbranched>
G6 = H / alkyl <containing 1-8 C> / alkyl (substd. by (3) G2) / cycloalkyl (opt. substd. by (3) G2) / OH / 32 / SH / 34 / 36 / SPh (opt. substd. by (1-4) G9) / CN / 39 / 42 / 54 / F / Cl / Br / I / pyrimidinyl / pyridyl / imidazolyl / tetrazolyl / isothiazolyl / morpholinyl

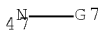


G7 = alkyl <containing 1-8 C> / alkyl (substd. by (3) G2) / cycloalkyl (opt. substd. by (3) G2)
G8 = O / S / S(O) / SO2
G9 = alkyl <containing 1-8 C>

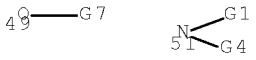
(opt. substd. by 1 or more G2) / cycloalkyl <containing 3-8 C> (opt. substd. by 1 or more G2) / alkoxy <containing 1-8 C> / NH2 / alkylamino <containing 1-8 C> / dialkylamino <each alkyl containing 1-8 C> / F / Cl / Br / I / CN

G10 = O / NH

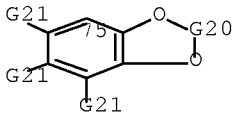
G11 = NH / 47

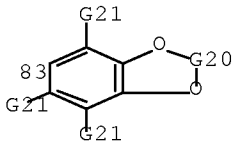


G12 = OH / 49 / 51

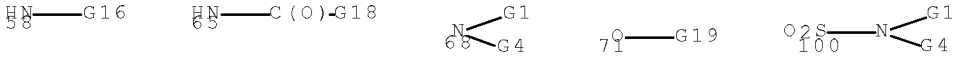


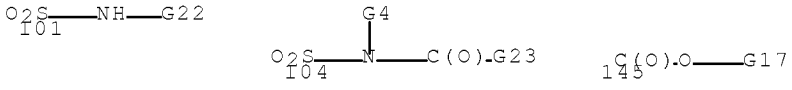
G13 = Ph (opt. substd. by (1-3) G15) / 75 / 83



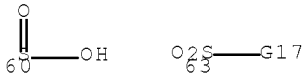


G15 = 58 / alkyl <containing 1-8 C> / cycloalkyl <containing 3-8 C> / 65 / 68 / OH / 71 / F / Cl / Br / I / alkyl (substd. by (3) G2) / cycloalkyl (substd. by (3) G2) / CF3 / 100 / 101 / SO2CF3 / CN / 104 / CO2H / 145

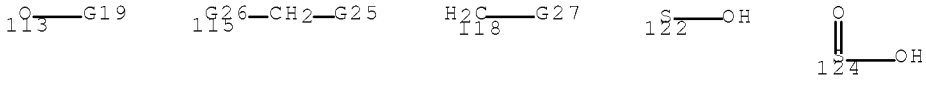


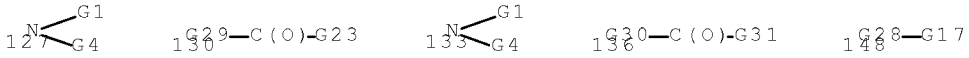


G16 = 60 / 63

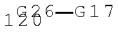


G17 = alkyl <containing 1-8 C> / alkyl (substd. by (3) G2) / cycloalkyl (opt. substd. by (3) G2) / CF3 / Ph (opt. substd.)
G18 = H / alkyl <containing 1-8 C> / alkyl (substd. by (3) G2) / cycloalkyl / CF3 / Ph (opt. substd. by 1 or more G9)
G19 = alkyl <containing 1-8 C> / alkyl (substd. by (3) G2) / cycloalkyl (opt. substd. by (3) G2) / alkenyl <containing 2-8 C> / alkynyl <containing 2-8 C>
G20 = (1-3) CH2
G21 = H / R
G22 = pyrimidinyl / pyridyl / imidazolyl / tetrazolyl / isothiazolyl / morpholinyl / CONH2 / CSNH2 / C(NH)NH2
G23 = H / alkyl <containing 1-8 C> / alkyl (substd. by (3) G2) / cycloalkyl (opt. substd. by (3) G2) / CF3 / Ph (opt. substd. by 1 or more G9)
G24 = H / OH / alkyl <containing 1-8 C> / cycloalkyl <containing 3-8 C> / alkoxy <containing 1-8 C> / OH / 113 / F / Cl / Br / I / 148 / 115 / 118 / SH / 122 / 124 / 127 / Ph (opt. substd. by 1 or more G9) / 130 / 133 / 136

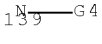




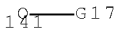
G25 = Ph (opt. substd. by 1 or more G9)
G26 = O / S
G27 = OH / SH / 120



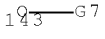
G28 = S / S(O) / SO2
G29 = O / NH
G30 = O / 139



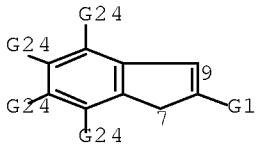
G31 = OH / 141

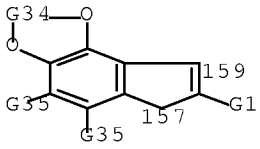


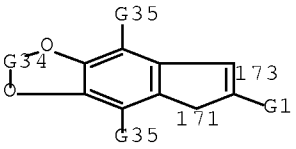
G32 = ON / 143

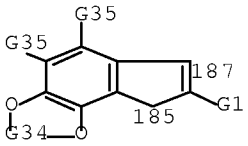


G33 = 7-10 9-12 / 157-10 159-12 / 171-10 173-12 / 185-10 187-12









G34 = (1-3) CH2
G35 = H / R
Patent location: claim 1

AN 131:267041 MARPAT Full-text
ANPL 1999:655960

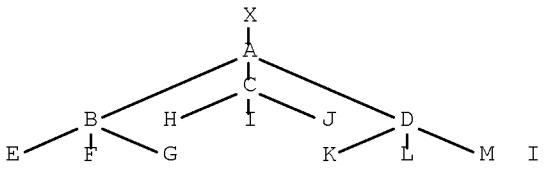
L49 ANSWER 11 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 128:192445 MARPAT Full-text
TITLE: Low molecular weight dendritic compounds as pharmaceutical agents
INVENTOR(S): Horwell, David Christopher; Ratcliffe, Giles Stuart
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Horwell, David Christopher; Ratcliffe, Giles Stuart
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806691	A2	19980219	WO 1997-US11556	19970812

WO 9806691 A3 19980514
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9738800	A	19980306	AU 1997-38800	19970812
ZA 9707262	A	19980220	ZA 1997-7262	19970813
US 6225352	B1	20010501	US 1999-230988	19990204
PRIORITY APPLN. INFO.:			US 1996-23693P	19960814
			US 1997-55101P	19970806
			WO 1997-US11556	19970812

GI



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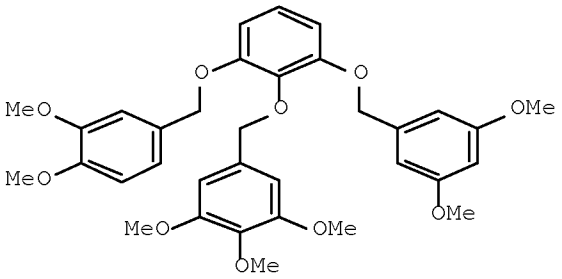


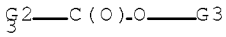
II

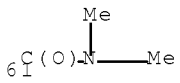
AB Low mol. weight dendritic compds. (dendroids) I and their pharmaceutically acceptable salts are claimed [wherein A = certain tetra- or trisubstituted benzene, thiophene, or pyridine rings, tri- or disubstituted naphthalenes, small cyclic hydrocarbons, spiro carbon atom, or N; B, C, and D = Y-Z; Y = (CH2)nO, O(CH2)n, NHCO(CH2)n, (CH2)nNHCO, CONH(CH2)n, (CH2)nCONH, (CH2)n, or bond; n = 0-3; Z = di-, tri-, or tetrasubstituted benzene, or as defined for A, or a substituted amine, amide, or carbamate, or a bond; E, F, G, H, I, J, K, L, and M = groups B, C, and D above; X = H, (CH2)nCO2R (R = esterifying group), N, or a functional group attached to the monomer A located above it]. The compds. are said to be useful (no data) as agents in the treatment of cancer, Alzheimer's disease, thrombosis, inflammatory diseases, and bacterial resistance, and their use in treatment of bacterial infections is specifically claimed. For example, pyrogallol (1,2,3-benzenetriol) underwent a sequence of protective cyclization with HC(OEt)3 (92%), monoetherification with BrCH2C6H3(OMe)2-3,5 (92%), deprotection with p-MeC6H4SO3H (90%), a second etherification with BrCH2C6H3(OMe)2-3,4 (31%), and a third etherification with BrCH2C6H2(OMe)3-3,4,5 (46%), to give the dendroid product II.

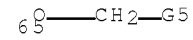
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

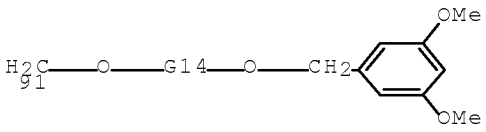
MSTR 1

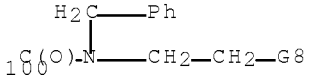
G1 = R <"dendridic branch"> / H / 3 /
(Specifically claimed: 61 / 65 / OMe / 91 / OH / 100 / 121 / 155)

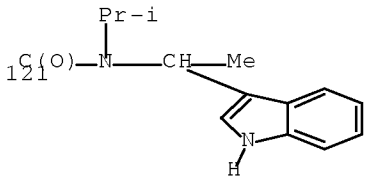


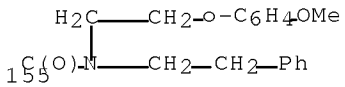




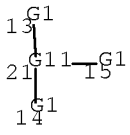


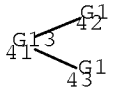


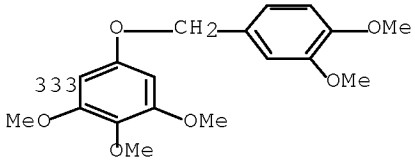




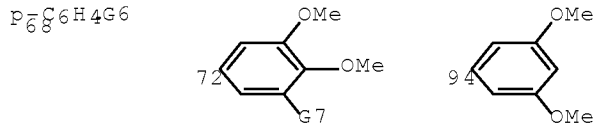
G2 = (0~3) CH2
G3 = R <"ester"> / (Examples: Me / Et)
G4 = 21 / 41 / (Specifically claimed: 333)



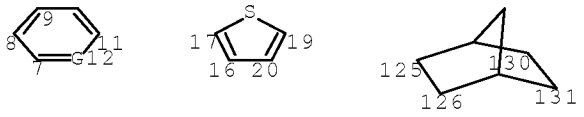




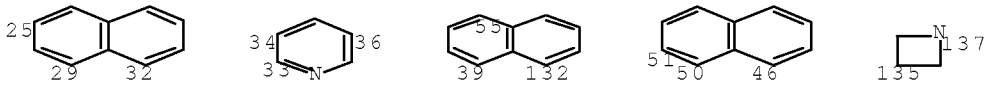
G5 = 68 / 72 / 94



G6 = Br / OMe / Ph / OCH2Ph / CH=CHPh
G7 = H / OMe
G8 = Ph / H
G11 = 8-1 7-14 9-13 11-15 / 17-1 16-13 20-14 19-15 / carbocycle / heterocycle / (Example: 125-1 126-13 130-14 131-15)



G12 = CH / N
G13 = 33-1 34-42 36-43 / 51-1 50-42 46-43 / 25-1 29-42 32-43 / 39-1 55-42 132-43 / carbocycle / heterocycle / N / (Example: 135-1 137-42 135-43)



G14 = o-C6H4 / m-C6H4
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted

AN 128:192445 MARPAT Full-text
ANPL 1998:126231

L49 ANSWER 12 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 125:81302 MARPAT Full-text
TITLE: Release tag compounds producing ketone signal groups
INVENTOR(S): Giese, Roger W.; Abdel-Baky, Samy; Xu, Linxiao
PATENT ASSIGNEE(S): Northeastern University, USA
SOURCE: U.S., 22 pp., Cont.-in-part of U.S. 5,360,819.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

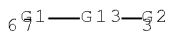
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5516931	A	19960514	US 1993-53608	19930422
US 4709016	A	19871124	US 1982-344394	19820201

US 5360819	A	19941101	US 1985-710318	19850311
US 5602273	A	19970211	US 1996-598468	19960208
US 5604104	A	19970218	US 1996-598691	19960208
US 5610020	A	19970311	US 1996-598439	19960208
PRIORITY APPLN. INFO.:			US 1982-344394	19820201
			US 1985-710318	19850311
			US 1993-53608	19930422

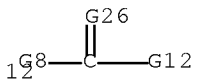
AB A release tag reagent suitable for use in the chemical anal. of a substance to be detected comprises signal, release, and reactivity groups. Disclosed is a class of release tag compds. that are cleaved to release as signal groups very stable electrophoric ketones which are sufficiently volatile for determination in the gas phase of an anal. reaction mixture The release tags can be used to detect, e.g., DNA sequences, proteins, enzymes, tumor antigens, haptens, antibodies, receptors, peptides, amino acids, genes, nucleotides, etc. either indirectly (by serving as labels for binding partners or binding competitors of these substances), or directly (by reacting directly and covalently with the analytes).

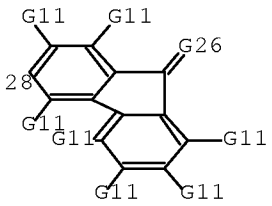
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

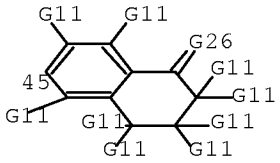
MSTR 1



G1 = Ph (substd. by G3)
G2 = 12 / 28 / 45



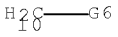




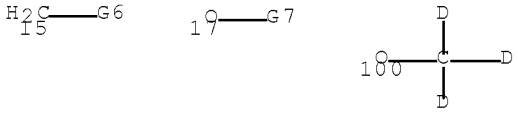
G3 = (3-5) F / (up to 1) G4
G4 = CF3 / alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 6 /
Ph (opt. substd. by 1 or more G5) / 8 /
(Specifically claimed: OMe)

G5 = D / F
G6 = Ph / carbocycle <containing 6 C, aromatic, bonds all normalized, 6-membered monocyclic ring>
(opt. substd. by 1 or more G5)
G7 = alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 10 /

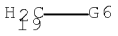
Ph (opt. substd. by 1 or more G5)



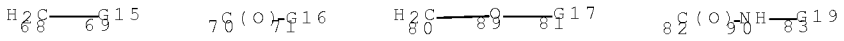
G8 = phenylene (substd. by (1-4) G9) /
phenylene (opt. substd. by (1-2) G10)
G9 = D / F
G10 = alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 15 /
Ph (opt. substd. by 1 or more G5) / 17 /
(Specifically claimed: Me / OMe / OEt / 100)



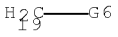
G11 = H / R
G12 = alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 19 /
Ph (opt. substd. by 1 or more G5) /
(Specifically claimed: Me / Et)



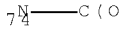
G13 = 68-67 69-3 / 70-67 71-3 / 80-67 81-3 /
82-67 83-3



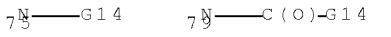
G14 = alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 19 /
Ph (opt. substd. by 1 or more G5) /
(Specifically claimed: Me)



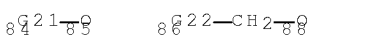
G15 = O / 74



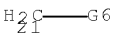
G16 = NH / 75 / 79



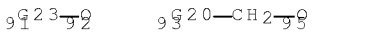
G17 = phenylene (opt. substd. by 1 or more G18) /
84-89 85-3 / 86-89 88-3



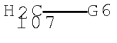
G18 = D / F / alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 21 /
Ph (opt. substd. by 1 or more G5)



G19 = phenylene (opt. substd. by 1 or more G18) /
91-90 92-3 / 93-90 95-3



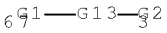
G20 = phenylene / carbocycle <containing 6 C, aromatic,
bonds all normalized, 6-membered monocyclic ring>
(opt. substd. by 1 or more G18)
G21 = phenylene / carbocycle <containing 6 C, aromatic,
bonds all normalized, 6-membered monocyclic ring>
(opt. substd. by 1 or more G18)
G22 = phenylene / carbocycle <containing 6 C, aromatic,
bonds all normalized, 6-membered monocyclic ring>
(opt. substd. by 1 or more G18)
G23 = phenylene / carbocycle <containing 6 C, aromatic,
bonds all normalized, 6-membered monocyclic ring>
(opt. substd. by 1 or more G18)
G24 = H / alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 107 /
Ph (opt. substd. by 1 or more G5) /
(Specifically claimed: Me)



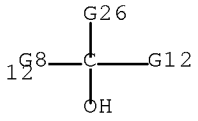
G25 = R <"reactivity group"> /
(Specifically claimed: CO2H)
G26 = O / 104

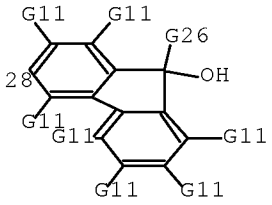
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claim 4

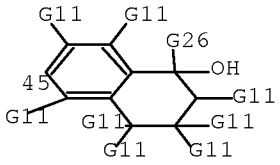
MSTR 3



G1 = Ph (substd. by G3)
G2 = 12 / 28 / 45

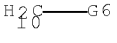






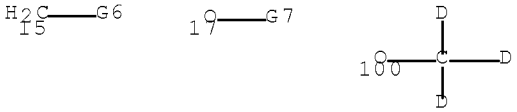
G3 = (3-5) F / (up to 1) G4
G4 = CF3 / alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 6 /
Ph (opt. substd. by 1 or more G5) / 8 /
(Specifically claimed: OMe)

G5 = D / F
G6 = Ph (opt. substd.) / carbocycle <containing 6 C,
aromatic, bonds all normalized, 6-membered monocyclic ring>
(opt. substd. by 1 or more G5)
G7 = alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 10 /
Ph (opt. substd. by 1 or more G5)

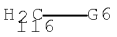


G8 = phenylene (substd. by (1-4) G9) /

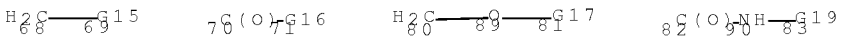
phenylene (opt. substd. by (1-2) G10)
G9 = D / F
G10 = alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 15 /
Ph (opt. substd. by 1 or more G5) / 17 /
(Specifically claimed: Me / OMe / OEt / 100)



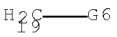
G11 = H / R
G12 = alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 116 /
Ph (opt. substd. by 1 or more G5)



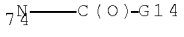
G13 = 68-67 69-3 / 70-67 71-3 / 80-67 81-3 /
82-67 83-3



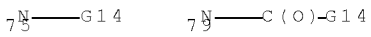
G14 = alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 19 /
Ph (opt. substd. by 1 or more G5) /
(Specifically claimed: Me)



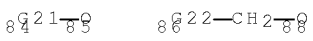
G15 = O / 74



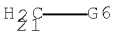
G16 = NH / 75 / 79



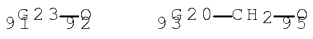
G17 = phenylene (opt. substd. by 1 or more G18) /
84-89 85-3 / 86-89 88-3



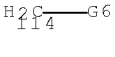
G18 = D / F / alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 21 /
Ph (opt. substd. by 1 or more G5)



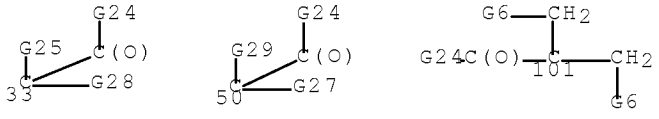
G19 = phenylene (opt. substd. by 1 or more G18) /
91-90 92-3 / 93-90 95-3



G20 = phenylene / carbocycle <containing 6 C, aromatic,
bonds all normalized, 6-membered monocyclic ring>
(opt. substd. by 1 or more G18)
G21 = phenylene / carbocycle <containing 6 C, aromatic,
bonds all normalized, 6-membered monocyclic ring>
(opt. substd. by 1 or more G18)
G22 = phenylene / carbocycle <containing 6 C, aromatic,
bonds all normalized, 6-membered monocyclic ring>
(opt. substd. by 1 or more G18)
G23 = phenylene / carbocycle <containing 6 C, aromatic,
bonds all normalized, 6-membered monocyclic ring>
(opt. substd. by 1 or more G18)
G24 = R <"reactivity group"> / (Specifically claimed: OH)
G25 = H / alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 114 /
Ph (opt. substd. by 1 or more G5) /
(Specifically claimed: Me)

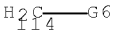


G26 = 33 / 50 / 101



G27 = alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / (Specifically claimed: Me)
G28 = H / Ph (opt. substd. by 1 or more G5)

G29 = alkyl <containing 1-8 C>
(opt. substd. by 1 or more G5) / 114 /
(Specifically claimed: Me)



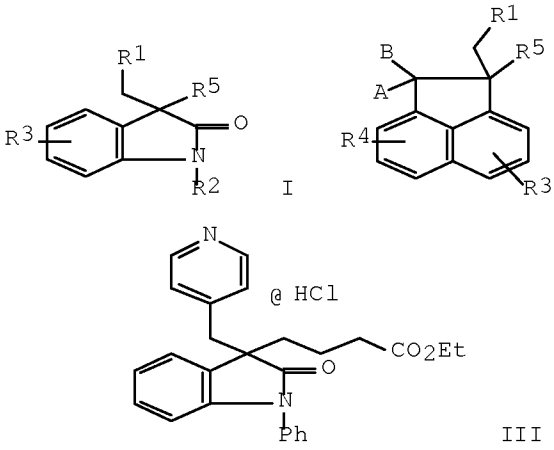
Patent location: claim 13
Note: substitution is restricted

AN 125:81302 MARPAT Full-text
ANPL 1996:350610

L49 ANSWER 13 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 119:249852 MARPAT Full-text
TITLE: Neurotransmitter release enhancers useful for treating cognitive and neurological dysfunction
INVENTOR(S): Wilkerson, Wendell Wilkie; Earl, Richard Alan; Voss, Matthew Ernst
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9314085	A1	19930722	WO 1992-US11292	19921230
W: AU, CA, CS, JP, KR, NZ, PL				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9334254	A	19930803	AU 1993-34254	19921230
EP 623127	A1	19941109	EP 1993-902813	19921230
EP 623127	B1	19970402		
R: DE, ES, FR, GB, IT				
JP 07503005	T	19950330	JP 1992-512479	19921230
ES 2100523	T3	19970616	ES 1993-902813	19921230
ZA 9300276	A	19940715	ZA 1993-276	19930115
US 5414004	A	19950509	US 1993-124523	19930920
US 5532247	A	19960702	US 1995-392648	19950223
PRIORITY APPLN. INFO.:			US 1992-821572	19920116
			WO 1992-US11292	19921230
			US 1993-124523	19930920

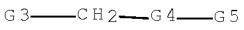
OTHER SOURCE(S): CASREACT 119:249852
GI



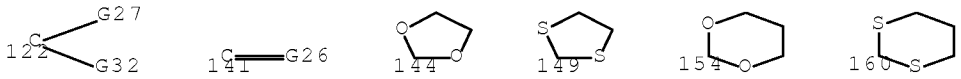
AB The title compds. I and II [A, B = H, R4, OH, O2CR4; R4 = C1-4 alkyl, (un)substituted phenylmethyl, (un)substituted Ph; R1 = pyridyl, pyrimidyl, pyrazinyl, 2-fluoro-4-pyridyl, 3-fluoro-4-pyridyl; R2 = C1-10 alkyl, C3-8 cycloalkyl, pyridyl, (un)substituted Ph R3 = H, F, Cl, Br, CN, OH, NO2, NH2, CF3, NHR4, R4, etc.; R5 = (CH2)nY, O2CR4; Y = H, OH, (un)substituted NH2, CO2H, CN, F, Cl, Br, etc.; n = 1-7; AB = O, S, CH2, CHR4, NOH, etc.], useful in the treatment of cognitive or neurol. dysfunction, are prepared Thus, the salt 2,3-dihydro-2-oxo-1-phenyl-3-(4-pyridinylmethyl)-1H-indole- 3-butanoic acid Et ester (-)-2,3-bis-(4-methylbenzoyloxy)butanedioate was reacted with HCl in Et2O, producing the (+)-indole derivative salt III, which demonstrated 587% acetylcholine release from prepared rat brain slices at 10 μM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

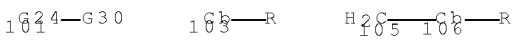
MSTR 1A



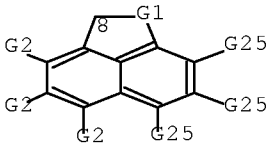
G1 = 122 / 141 / 144 / 149 / 154 / 160

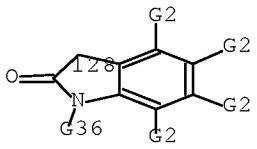


G2 = H / F / Cl / Br / CN / OH / NO2 / NH2 / CF3 / 101 / alkyl <containing 1-4 C> / 103 / 105

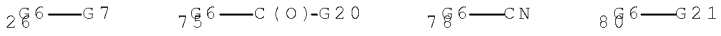


G3 = 4-pyridyl (opt. substd. by (1) F) / 3-pyridyl / 2-pyridyl / pyrimidinyl / pyrazinyl
G4 = 8 / 128

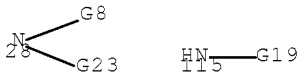




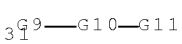
G5 = 26 / 75 / 78 / 80 / alkylcarbonyloxy <containing 1-4 C>



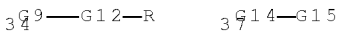
G6 = (1-7) CH2
G7 = H / OH / 28 / 115 / F / Cl / Br / alkoxy <containing 1-4 C> / alkylthio <containing 1-4 C> / alkylsulfinyl <containing 1-4 C> / alkylsulfonyl <containing 1-4 C> / alkylcarbonyloxy <containing 1-4 C> / Ph



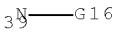
G8 = H / alkyl <containing 1-4 C> / 31



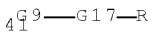
G9 = CH2 / bond
G10 = phenylene
G11 = F / Cl / Br / OH / alkyl <containing 1-4 C> / 34 / 37 / NO2 / NH2 / CN



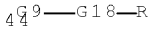
G12 = phenylene
G14 = O / 39 / S / S(O) / SO2



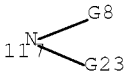
G15 = alkyl <containing 1-4 C> / 41



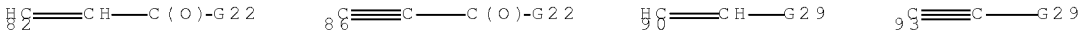
G16 = H / alkyl <containing 1-4 C> / 44



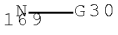
G17 = phenylene
G18 = phenylene
G19 = alkylcarbonyl <containing 1-4 C> /
alkoxycarbonyl <containing 1-4 C>
G20 = OR / alkoxy <containing 1-4 C> / 117



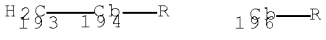
G21 = alkylcarbonyl <containing 1-4 C> / 82 / 86 / 90 /
93



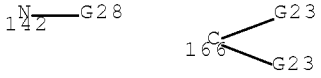
G22 = alkoxy <containing 1-4 C>
G23 = H / alkyl <containing 1-4 C>
G24 = NH / 169 / O / S / S(O) / SO2



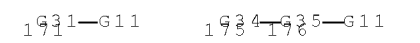
G25 = (2) H / alkyl <containing 1-4 C> / 193 / 196



G26 = O / S / 166 / 142

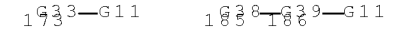


G27 = H / alkyl <containing 1-4 C> / 171 / OH /
alkylcarbonyloxy <containing 1-4 C> / 175



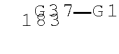
G28 = OH / alkoxy <containing 1-4 C>
G29 = alkyl <containing 1-4 C>
G30 = alkyl <containing 1-4 C> / 110 / 108

G31 = phenylene
G32 = H / alkyl <containing 1-4 C> / 173 / OH /
alkylcarbonyloxy <containing 1-4 C> / 185

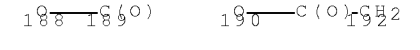


G33 = phenylene
G34 = CH2 / 178-122 179-176 / 180-122 182-176

G35 = phenylene
G36 = alkyl <containing 1-10 C> /
cycloalkyl <containing 3-8 C> / pyridyl / Ph / 183



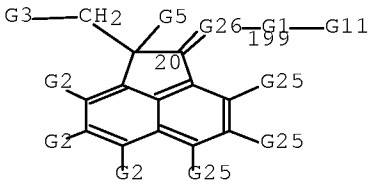
G37 = phenylene
G38 = CH2 / 188-122 189-186 / 190-122 192-186



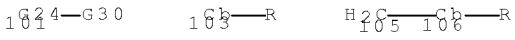
G39 = phenylene
Generic group attributes: 103 106 111 108 194 196 <containing 6 C,
aromatic, bonds all normalized,
6-membered monocyclic ring>
Conditional variable data: IF G4 = 128 AND G5 = 26 THEN NOT G7 =

OH
Derivative: and physiologically suitable salts
Patent location: claim 1

MSTR 1B

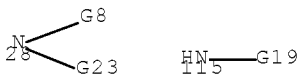


G1 = phenylene
G2 = H / F / Cl / Br / CN / OH / NO2 / NH2 / CF3 / 101 /
alkyl <containing 1-4 C> / 103 / 105

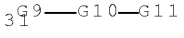


G3 = 4-pyridyl (opt. substd. by (1) F) / 3-pyridyl /
2-pyridyl / pyrimidinyl / pyrazinyl
G5 = 26 / 75 / 78 / 80 / alkylcarbonyloxy <containing
1-4 C>

G6 = (1-7) CH2
G7 = H / OH / 28 / 115 / F / Cl / Br /
alkoxy <containing 1-4 C> / alkylthio <containing 1-4 C> /
alkylsulfinyl <containing 1-4 C> /
alkylsulfonyl <containing 1-4 C> /
alkylcarbonyloxy <containing 1-4 C> / Ph



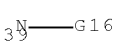
G8 = H / alkyl <containing 1-4 C> / 31



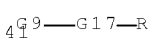
G9 = CH2 / bond

G10 = phenylene
G11 = F / Cl / Br / OH / alkyl <containing 1-4 C> / 34 / 37 / NO2 / NH2 / CN

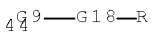
G12 = phenylene
G14 = O / 39 / S / S(O) / SO2



G15 = alkyl <containing 1-4 C> / 41

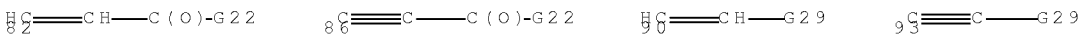


G16 = H / alkyl <containing 1-4 C> / 44

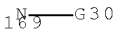


G17 = phenylene
G18 = phenylene
G19 = alkylcarbonyl <containing 1-4 C> / alkoxycarbonyl <containing 1-4 C>
G20 = ON / alkoxy <containing 1-4 C> / 117

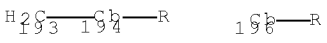
G21 = alkylcarbonyl <containing 1-4 C> / 82 / 86 / 90 / 93



G22 = alkoxy <containing 1-4 C>
G23 = H / alkyl <containing 1-4 C>
G24 = NH / 169 / O / S / S(O) / SO2

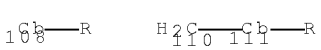


G25 = (2) H / alkyl <containing 1-4 C> / 193 / 196



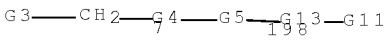
G26 = 141 / 142-20 143-199

G29 = alkyl <containing 1-4 C>
G30 = alkyl <containing 1-4 C> / 110 / 108

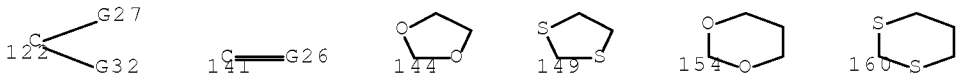


Generic group attributes: 103 106 111 108 194 196 <containing 6 C, aromatic, bonds all normalized, 6-membered monocyclic ring>
Derivative: and physiologically suitable salts
Patent location: claim 1

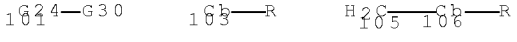
MSTR 1C



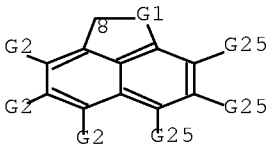
G1 = 122 / 141 / 144 / 149 / 154 / 160

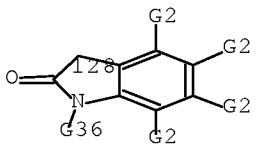


G2 = H / F / Cl / Br / CN / OH / NO2 / NH2 / CF3 / 101 / alkyl <containing 1-4 C> / 103 / 105

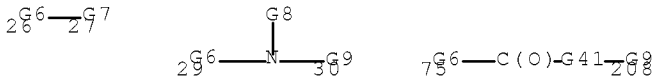


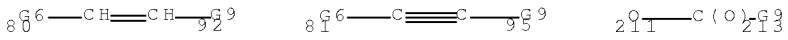
G3 = 4-pyridyl (opt. substd. by (1) F) / 3-pyridyl / 2-pyridyl / pyrimidinyl / pyrazinyl
G4 = 8 / 128





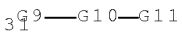
G5 = 29-7 30-198 / 26-7 27-198 / 75-7 208-198 / 209-7 78-198 / G6 / 210-7 79-198 / 80-7 92-198 / 81-7 95-198 / 211-7 213-198





G6 = (1-7) CH2
G7 = 115-26 116-198 / 206-26 207-198

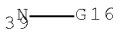
G8 = H / alkyl <containing 1-4 C> / 31



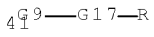
G9 = CH2 / bond
G10 = phenylene
G11 = F / Cl / Br / OH / alkyl <containing 1-4 C> / 34 / 37 / NO2 / NH2 / CN

G12 = phenylene

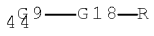
G13 = phenylene
G14 = O / 39 / S / S(O) / SO2



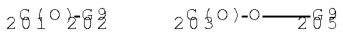
G15 = alkyl <containing 1-4 C> / 41



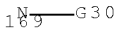
G16 = H / alkyl <containing 1-4 C> / 44



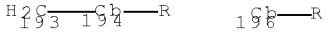
G17 = phenylene
G18 = phenylene
G19 = 201-115 202-198 / 203-115 205-198



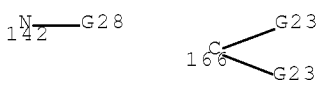
G23 = H / alkyl <containing 1-4 C>
G24 = NH / 169 / O / S / S(O) / SO2



G25 = (2) H / alkyl <containing 1-4 C> / 193 / 196



G26 = O / S / 166 / 142



G27 = H / alkyl <containing 1-4 C> / 171 / OH /
alkylcarbonyloxy <containing 1-4 C> / 175

1 G31—G11 171 G34—G35—G11 175 176

G28 = OH / alkoxy <containing 1-4 C>
G30 = alkyl <containing 1-4 C> / 110 / 108

1 Cb—R 108 H2C—Cb—R 110 111

G31 = phenylene
G32 = H / alkyl <containing 1-4 C> / 173 / OH / alkylcarbonyloxy <containing 1-4 C> / 185

1 G33—G11 173 G38—G39—G11 185 186

G33 = phenylene
G34 = CH2 / 178-122 179-176 / 180-122 182-176

1 O—C(O) 178 179 O—C(O)-CH2 180 182

G35 = phenylene
G36 = alkyl <containing 1-10 C> / cycloalkyl <containing 3-8 C> / pyridyl / Ph / 183

1 G37—G11 183

G37 = phenylene
G38 = CH2 / 188-122 189-186 / 190-122 192-186

1 O—C(O) 188 189 O—C(O)-CH2 190 192

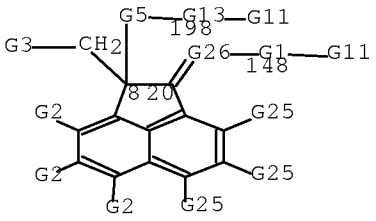
G39 = phenylene
G40 = O / S / S(O) / SO2
G41 = O / 117

1 N—G8 117

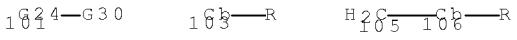
Generic group attributes: 103 106 111 108 194 196 <containing 6 C, aromatic, bonds all normalized, 6-membered monocyclic ring>

Derivative: and physiologically suitable salts
Patent location: claim 1

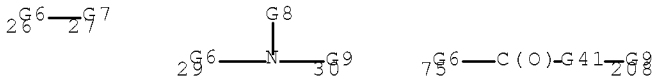
MSTR 1D

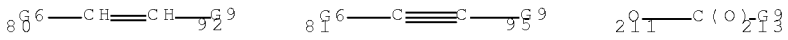


G1 = phenylene
G2 = H / F / Cl / Br / CN / OH / NO2 / NH2 / CF3 / 101 / alkyl <containing 1-4 C> / 103 / 105



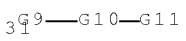
G3 = 4-pyridyl (opt. substd. by (1) F) / 3-pyridyl / 2-pyridyl / pyrimidinyl / pyrazinyl
G5 = 29-8 30-198 / 26-8 27-198 / 75-8 208-198 / 209-8 78-198 / G6 / 210-8 79-198 / 80-8 92-198 / 81-8 95-198 / 211-8 213-198



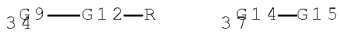


G6 = (1-7) CH2
G7 = 115-26 116-198 / 206-26 207-198

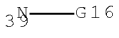
G8 = H / alkyl <containing 1-4 C> / 31



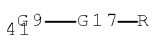
G9 = CH2 / bond
G10 = phenylene
G11 = F / Cl / Br / OH / alkyl <containing 1-4 C> / 34 / 37 / NO2 / NH2 / CN



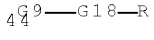
G12 = phenylene
G13 = phenylene
G14 = O / 39 / S / S(O) / SO2



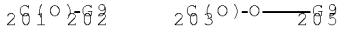
G15 = alkyl <containing 1-4 C> / 41



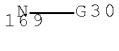
G16 = H / alkyl <containing 1-4 C> / 44



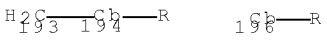
G17 = phenylene
G18 = phenylene
G19 = 201-115 202-198 / 203-115 205-198



G24 = NH / 169 / O / S / S(O) / SO2

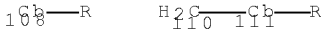


G25 = (2) H / alkyl <containing 1-4 C> / 193 / 196

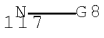


G26 = 141 / 142-20 143-148

G30 = alkyl <containing 1-4 C> / 110 / 108



G40 = O / S / S(O) / SO2
G41 = O / 117



Generic group attributes: 103 106 111 108 194 196 <containing 6 C, aromatic, bonds all normalized, 6-membered monocyclic ring>
Derivative: and physiologically suitable salts
Patent location: claim 1

AN 119:249852 MARPAT Full-text
ANPL 1993:649852

L49 ANSWER 14 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 120:298483 MARPAT Full-text
TITLE: Substituted indole-, indene-, pyranoindole- and tetrahydrocarbazole-alkanoic acid derivatives as inhibitors of phospholipase A2 and lipoxygenase
INVENTOR(S): Musser, John H.; Kreft, Anthony F., III; Failli, Amedeo A.; Demerson, Christopher A.; Shah, Uresh S.; Nelson, James A.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 32 pp. Cont.-in-part of U.S. Ser. No. 596,134, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5229516	A	19930720	US 1992-911434	19920710
CA 2070422	A1	19910428	CA 1990-2070422	19901027
CA 2090042	A1	19910428	CA 1990-2090042	19901027
HU 63407	A2	19930830	HU 1992-1383	19901027

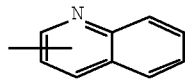
US 5420289	A	19950530	US 1993-29199	19930310
WO 9401407	A2	19940120	WO 1993-US6441	19930707
WO 9401407	A3	19940303		

W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, VN

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9346694	A	19940131	AU 1993-46694	19930707
PRIORITY APPLN. INFO.:			US 1989-428260	19891027
			US 1990-596134	19901011
			CA 1990-2070422	19901027
			US 1992-911434	19920710
			WO 1993-US6441	19930707

GI

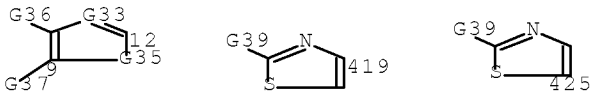
Q= 

AB The title compds. A(CH2)nOB [A = Q; B = (un)substituted indenonyl, (un)substituted indolyl, etc.; n = 1-2], useful as antiinflammatory agents which possess leukotriene antagonistic activity, are prepared Thus, 3-[(4-chlorophenyl)methylene]-[2-methyl-6-(2-quinolinylmethyoxy)]-3H- indene-1-acetic acid (Z configuration), prepared from 4-methoxybenzaldehyde in 7 steps, demonstrated 81% inhibition of PGE2 at 10 μM.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

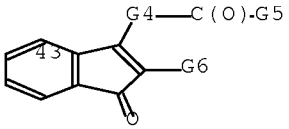
MSTR 2

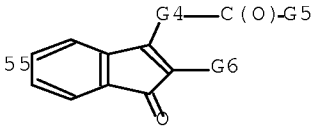
G1 = 12 / 419 / 425

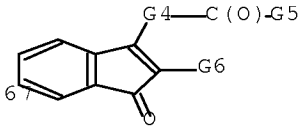


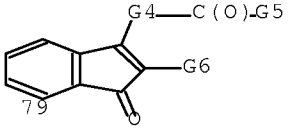
G2 = (1-2) CH2

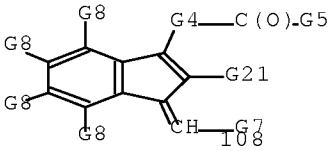
G3 = 43 / 55 / 67 / 79 / 108 / 118 / 273 / 291 / 138 / 152 / 172 / 192 / 214 / 225 / 242 / 259 / 315 / 329 / 343 / 357

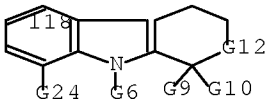

G4—C(O)-G5
43
G6
O

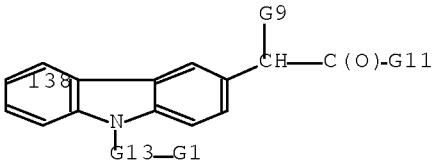

G4—C(O)-G5
55
G6
O

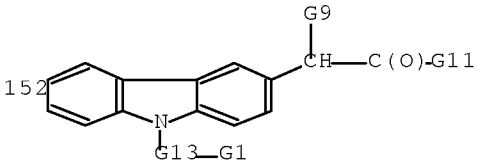

G4—C(O)-G5
67
G6
O

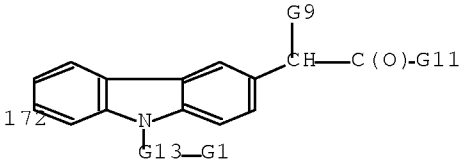

G4—C(O)-G5
79
G6
O

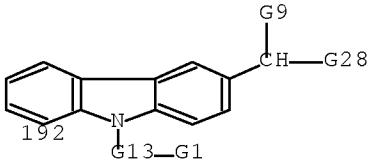

G8
G4—C(O)-G5
G8
G21
G8
G8
CH—G7
108

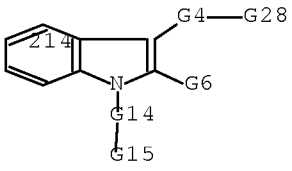

118
G12
N
G24
G6
G9
G10

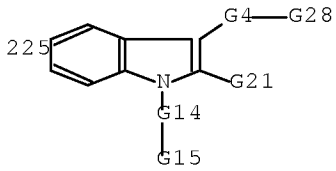

G9
CH—C(O)-G11
138
N
G13—G1

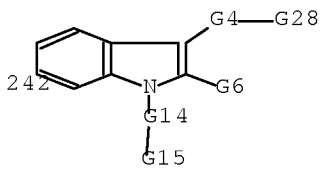

G9
CH—C(O)-G11
152
N
G13—G1

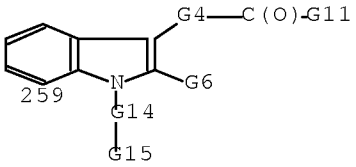

G9
CH—C(O)-G11
172
N
G13—G1

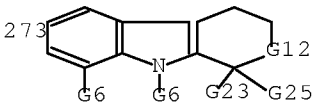

G9
CH—G28
192
N
G13—G1

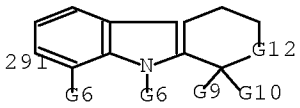

G4—G28
214
N
G6
G14
G15

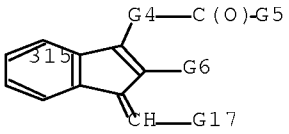

G4—G28
225
N
G21
G14
G15

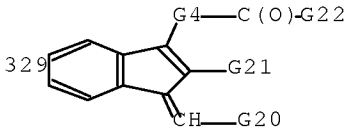

G4—G28
242
N
G6
G14
G15

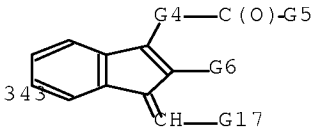


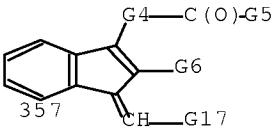






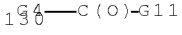






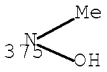
G4 = (0-3) CH2
G5 = OH / alkoxy <containing 1-6 C> / 88

G6 = H / alkyl <containing 1-6 C>
G7 = phenylene
G8 = 3 or more H / F / Cl / Br
G9 = alkyl <containing 1-6 C>
G10 = alkyl <containing 1-6 C> / 130

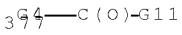


G11 = OH / alkoxy <containing 1-6 C>
G12 = CH2 / O
G13 = (1-2) CH2
G14 = C(O) / CH2
G15 = alkyl <containing 1-6 C> /
Ph (opt. substd. by 1 or more G16)
G16 = CO2H / F / Cl / Br / alkylthio <containing 1-6 C> /

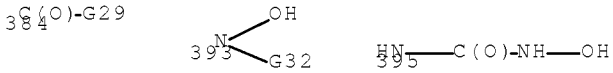
alkylsulfonyl <containing 1-6 C>
G17 = Ph (opt. substd. by 1 or more G18)
G18 = F / Cl / Br / alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C>
G20 = Ph (opt. substd. by 1 or more G18)
G21 = H / alkyl <containing 1-6 C>
G22 = OH / alkoxy <containing 1-6 C> / 375



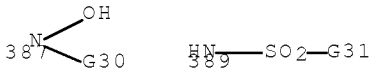
G23 = alkyl <containing 1-6 C>
G24 = H / alkyl <containing 1-6 C>
G25 = alkyl <containing 1-6 C> / 377



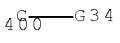
G28 = 384 / 393 / 395



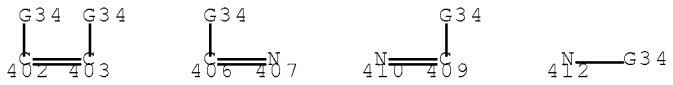
G29 = OH / loweralkoxy / 387 / 389



G30 = loweralkyl
G31 = loweralkyl / Ph
G32 = CONH2 / loweralkylcarbonyl
G33 = N / 400



G34 = H / loweralkyl
G35 = 402-9 403-12 / 406-9 407-12 / 410-9 409-12 / 412 / S / O



G36 = H / loweralkyl / Ph (opt. substd. by CF3)
G37 = H / loweralkyl
G38 = loweralkyl / Ph
G39 = H / loweralkyl / Ph (opt. substd. by CF3)
G36+G37= CH=CHCH=CH (opt. substd. by G38)
Derivative: and pharmacologically acceptable salts
Patent location: disclosure

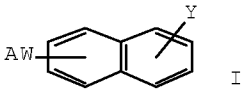
AN 120:298483 MARPAT Full-text
ANPL 1994:298483

L49 ANSWER 15 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 117:7816 MARPAT Full-text
TITLE: Preparation of quinoline-substituted naphthalenepropionic acid derivatives as anti-inflammatory/antiallergic agents
INVENTOR(S): Kreft, Anthony F., III; Musser, John H.; Bicksler, James J.; Giberson, John W.; Kubrak, Dennis M.; Banker, Annette L.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 13 pp. Cont.-in-part of U.S. 4,690,892.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5084575	A	19920128	US 1990-578367	19900906
AT 55374	T	19900815	AT 1988-306888	19880726
CA 1330999	C	19940726	CA 1988-573481	19880729
CA 1331000	C	19940726	CA 1988-574353	19880810
US 4960892	A	19901002	US 1989-351119	19890512
CA 2089262	A1	19920307	CA 1991-2089262	19910905
WO 9204325	A1	19920319	WO 1991-US6379	19910905
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9186171	A	19920330	AU 1991-86171	19910905
AU 654292	B2	19941103		
EP 547148	A1	19930623	EP 1991-916919	19910905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06500997	T	19940127	JP 1991-515890	19910905
US 5208344	A	19930504	US 1991-807526	19911213
US 5250693	A	19931005	US 1991-806518	19911213

PRIORITY APPLN. INFO.: US 1987-80122 19870731
US 1988-202975 19880610
US 1989-351119 19890512
EP 1988-306888 19880726
US 1990-578367 19900906
WO 1991-US6379 19910905

OTHER SOURCE(S): CASREACT 117:7816
GI

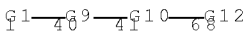


I

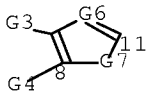
AB Title compds. I [A = quinolinyl; W = CR2O, CH:CH, CH:CHCH2O; R = H, alkyl; Y = R3COCHMe, H2NCON(OH)CR2, HONHCONHCR2; R3 = RONR, R4O2SNH, R4 = (substituted) Ph] and salts thereof are prepared To 6-hydroxy-α-methyl-2-naphthaleneacetic acid in MeOH was added MeONa, the solvent was replaced by DMF, and 2-(chloromethyl)quinoline was added to give the ether ester, which was hydrolyzed with NaOH to give I (A = 2-quinolyl, W = CH2O in 6-position, Y = 2-HO2CCHMe in 2-position) (II). II at 50 mg/kg (peroral) showed 42% inhibition of inflammation in the rat carrageenan paw edema test.

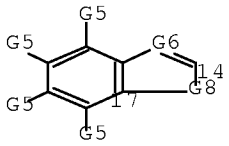
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

MSTR 28

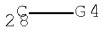


G1 = 11 / 14

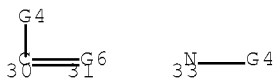




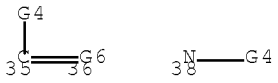
G3 = H / loweralkyl / Ph
G4 = H / loweralkyl
G5 = 2 or more H / F / Cl / Br
G6 = N / 28



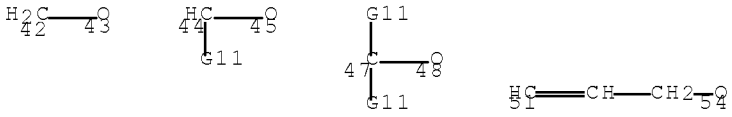
G7 = 30-11 31-8 / 30-8 31-11 / 33 / S / O



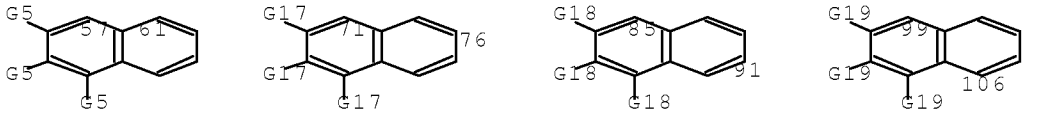
G8 = 35-14 36-17 / 35-17 36-14 / 38 / S / O



G9 = 42-1 43-41 / 44-1 45-41 / 47-1 48-41 / CH=CH / 51-1 54-41

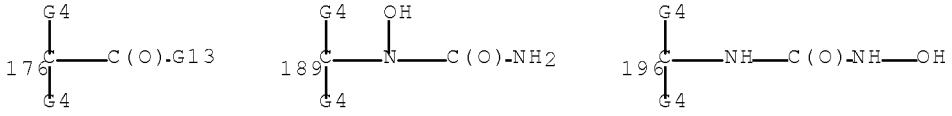


G10 = 57-40 61-68 / 71-40 76-68 / 85-40 91-68 / 99-40 106-68 / 116-40 121-68 / 130-40 136-68 / 144-40 151-68 / 158-40 166-68

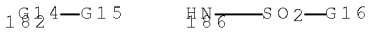


G11 = loweralkyl

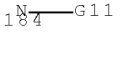
G12 = 176 / CH2OH / CHO / 189 / 196



G13 = OR / loweralkoxy / 182 / 186



G14 = NH / 184



G15 = OH / loweralkoxy
G16 = Ph (opt. substd. by loweralkyl)
G17 = 2 or more H / F / Cl / Br
G18 = 2 or more H / F / Cl / Br
G19 = 2 or more H / F / Cl / Br
G20 = 2 or more H / F / Cl / Br
G21 = 2 or more H / F / Cl / Br
G22 = 2 or more H / F / Cl / Br
G23 = 2 or more H / F / Cl / Br
Derivative: and pharmaceutically acceptable salts
Patent location: disclosure

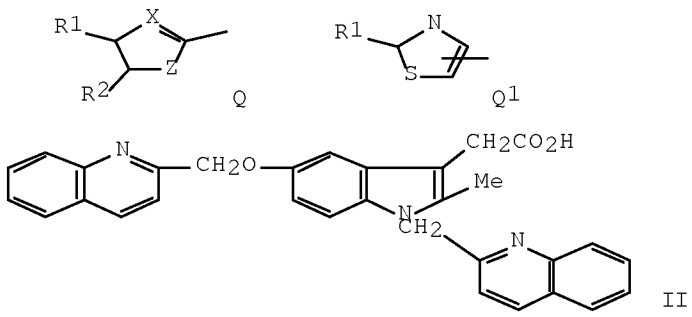
AN 117:7816 MARPAT Full-text
ANPL 1992:407816

L49 ANSWER 16 OF 16 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 115:135935 MARPAT Full-text
TITLE: Preparation of indole-, indene-, pyranoindole- and tetrahydrocarbazolealkanoic acid derivatives as inhibitors of phospholipase A2 and lipoxygenase
INVENTOR(S): Musser, John Henry; Kreft, Anthony Frank, III; Failli, Amedeo Arturo; Demerson, Christopher Alexander; Shah, Uresh Shantilal; Nelson, James Albert
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9106537	A2	19910516	WO 1990-US6251	19901027
WO 9106537	A3	19911017		
W: AU, BR, CA, FI, HU, JP, KR, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2070422	A1	19910428	CA 1990-2070422	19901027
CA 2090042	A1	19910428	CA 1990-2090042	19901027
AU 9177404	A	19910531	AU 1991-77404	19901027
AU 643996	B2	19931202		
EP 502106	A1	19920909	EP 1991-900547	19901027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
BR 9007790	A	19920915	BR 1990-7790	19901027
JP 05502222	T	19930422	JP 1991-500787	19901027
HU 63407	A2	19930830	HU 1992-1383	19901027
FI 9201865	A	19920424	FI 1992-1865	19920424
PRIORITY APPLN. INFO.:			US 1989-428260	19891027

US 1990-596134 19901011
CA 1990-2070422 19901027
WO 1990-US6251 19901027

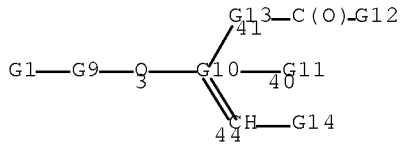
GI



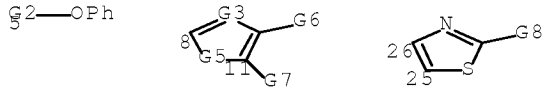
AB A(CH2)nOB [I; A = C4-8 alkyl, PhOCH2CH2, PhOC6H4, Q, Q1; R1 = H, alkyl, Ph, C6H4CF3; R2 = H, alkyl; R1R2 = benzene; X = N, R3C, R3 = H, alkyl; Z = R3C:CR3, R3C:N, N:CR3, NR3, O, S; n = 1, 2; B = substituted indanyl, substituted carbazolyl, substituted pyranoindolyl, etc.] and a salt thereof, are prepared I are useful as antiinflammatory agents and possess leukotriene antagonistic activity. To a stirred suspension of NaH in DMF at 0° was added 5-hydroxy-2-methyl-1H-indole-3-acetic acid followed after 1 h by 2-(chloromethyl)quinoline. The reaction mixture allowed to warm at room temperature with stirring overnight and the pH adjusted to 5 with HCl to give the indoleacetic acid (II) which at 10 μM in vitro gave 47% inhibition of phospholipase A2 (PLA2) from semi-purified human platelet extract, and 30% of PLA2 from purified human synovialfluid.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

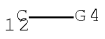
MSTR 1A



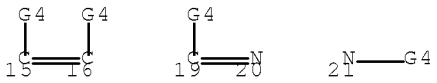
G1 = alkyl <containing 4-8 C> / 5 / 8 / 25 / 26



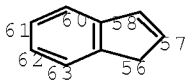
G2 = CH2CH2 / phenylene
G3 = N / 12



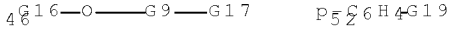
G4 = H / alkyl <containing 1-6 C>
G5 = 15-8 16-11 / 19-8 20-11 / 19-11 20-8 / 21 / S / O



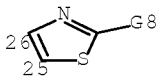
G6 = H / alkyl <containing 1-6 C> / Ph (opt. substd. by 1 or more CF3)
G7 = H / alkyl <containing 1-6 C>
G8 = H / alkyl <containing 1-6 C> / Ph (opt. substd. by 1 or more CF3)
G9 = (1-2) CH2
G10 = 58-41 57-40 56-44 63-3 / 58-41 57-40 56-44 62-3 / 58-41 57-40 56-44 61-3 / 58-41 57-40 56-44 60-3

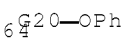


G11 = H / alkyl <containing 1-6 C> / (Specifically claimed: Me)
G12 = OH / alkoxy <containing 1-6 C> / (Specifically claimed: OMe)
G13 = (0-3) CH2
G14 = 46 / Ph (opt. substd. by 1 or more G15) / (Specifically claimed: 52)



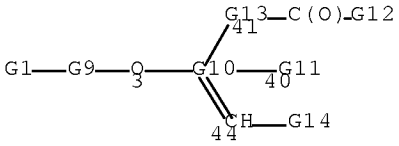
G15 = F / Cl / Br / alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C>
G16 = phenylene
G17 = alkyl <containing 4-8 C> / 64 / 25 / 26



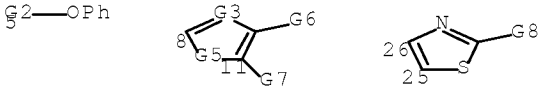


G19 = Cl / SMe / S(O)Me
G20 = CH2CH2 / phenylene
G6 +G7 = CH=CHCH=CH
Derivative: and pharmacologically acceptable salts
Patent location: claim 1

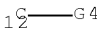
MSTR 1B



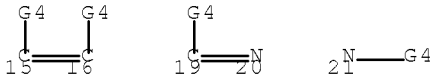
G1 = alkyl <containing 4-8 C> / 5 / 8 / 25 / 26



G2 = CH2CH2 / phenylene
G3 = N / 12

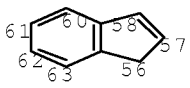


G4 = H / alkyl <containing 1-6 C>
G5 = 15-8 16-11 / 19-8 20-11 / 19-11 20-8 / 21 / S / O

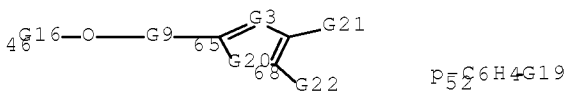


G6 = H / alkyl <containing 1-6 C> / Ph (opt. substd. by 1 or more CF3)
G7 = H / alkyl <containing 1-6 C>
G8 = H / alkyl <containing 1-6 C> / Ph (opt. substd. by 1 or more CF3)

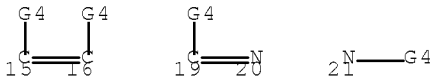
G9 = (1-2) CH2
G10 = 58-41 57-40 56-44 63-3 / 58-41 57-40 56-44 62-3
/
58-41 57-40 56-44 61-3 / 58-41 57-40 56-44 60-3



G11 = H / alkyl <containing 1-6 C> /
(Specifically claimed: Me)
G12 = OH / alkoxy <containing 1-6 C> /
(Specifically claimed: OMe)
G13 = (0-3) CH2
G14 = 46 / Ph (opt. substd. by 1 or more G15) /
(Specifically claimed: 52)

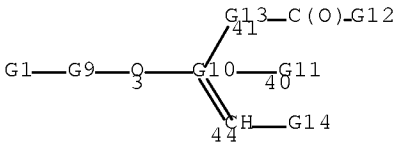


G15 = F / Cl / Br / alkylthio <containing 1-6 C> /
alkylsulfinyl <containing 1-6 C> /
alkylsulfonyl <containing 1-6 C>
G16 = phenylene
G19 = Cl / SMe / S(O)Me
G20 = 15-65 16-68 / 19-65 20-68 / 19-68 20-65 / 21 /
S / O

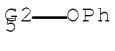


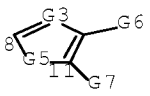
G21 = H / alkyl <containing 1-6 C> /
Ph (opt. substd. by 1 or more CF3)
G22 = H / alkyl <containing 1-6 C>
G6 +G7 = CH=CHCH=CH
Derivative: and pharmacologically acceptable salts
Patent location: claim 1

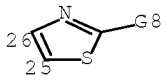
MSTR 1C



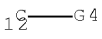
G1 = alkyl <containing 4-8 C> / 5 / 8 / 25 / 26



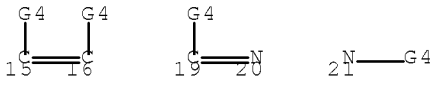




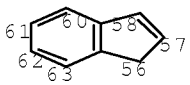
G2 = CH2CH2 / phenylene
G3 = N / 12



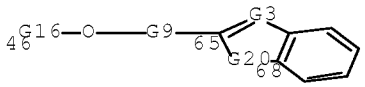
G4 = H / alkyl <containing 1-6 C>
G5 = 15-8 16-11 / 19-8 20-11 / 19-11 20-8 / 21 / S / O



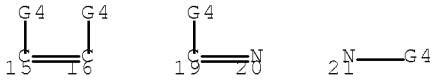
G6 = H / alkyl <containing 1-6 C> / Ph (opt. substd. by 1 or more CF3)
G7 = H / alkyl <containing 1-6 C>
G8 = H / alkyl <containing 1-6 C> / Ph (opt. substd. by 1 or more CF3)
G9 = (1-2) CH2
G10 = 58-41 57-40 56-44 63-3 / 58-41 57-40 56-44 62-3 / 58-41 57-40 56-44 61-3 / 58-41 57-40 56-44 60-3



G11 = H / alkyl <containing 1-6 C> / (Specifically claimed: Me)
G12 = OH / alkoxy <containing 1-6 C> / (Specifically claimed: OMe)
G13 = (0-3) CH2
G14 = 46 / Ph (opt. substd. by 1 or more G15) / (Specifically claimed: 52)



G15 = F / Cl / Br / alkylthio <containing 1-6 C> / alkylsulfinyl <containing 1-6 C> / alkylsulfonyl <containing 1-6 C>
G16 = phenylene
G19 = Cl / SMe / S(O)Me
G20 = 15~65 16~68 / 19-65 20-68 / 19-68 20-65 / 21 / S / O



G6 +G7 = CH=CHCH=CH
Derivative: and pharmacologically acceptable salts
Patent location: claim 1

AN 115:135935 MARPAT Full-text
ANPL 1991:535935

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L14             QUE  SPE=ON  ABB=ON  PLU=ON  FUKATSU, K?/AU,AUTH
L15             QUE  SPE=ON  ABB=ON  PLU=ON  TAKEDA/CS,SO,PA
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L14             QUE  SPE=ON  ABB=ON  PLU=ON  FUKATSU, K?/AU,AUTH
L15             QUE  SPE=ON  ABB=ON  PLU=ON  TAKEDA/CS,SO,PA
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L22           0 SEA FILE=USPATFULL SPE=ON  ABB=ON  PLU=ON  L21 AND (L12 OR L13
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=> d his l25

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L14             QUE  SPE=ON  ABB=ON  PLU=ON  FUKATSU, K?/AU,AUTH
L24           3 SEA L9
L25           1 SEA L24 AND (L12 OR L13 OR L14)


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L29           3 SEA FILE=WPIX SPE=ON  ABB=ON  PLU=ON  (RAVAQA/DCN OR RAVAQ6/DCN
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L30           1 SEA FILE=WPIX SPE=ON  ABB=ON  PLU=ON  L29 AND (L12 OR L13 OR
                L14 OR L15)


=> d que nos l42
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L13             QUE  SPE=ON  ABB=ON  PLU=ON  NEGORO, N?/AU,AUTH
L14             QUE  SPE=ON  ABB=ON  PLU=ON  FUKATSU, K?/AU,AUTH
L15             QUE  SPE=ON  ABB=ON  PLU=ON  TAKEDA/CS,SO,PA
L36             STR
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L40           4 SEA FILE=HCAPLUS SPE=ON  ABB=ON  PLU=ON  L39 AND (L12 OR L13
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L42           4 SEA FILE=MARPAT SPE=ON  ABB=ON  PLU=ON  L40 AND L38


=> d his l48

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L46          57 SEA (L12 OR L13 OR L14) AND (DIABET? OR ANTIDIABET? OR
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L48          11 SEA L47 AND (?BENZOFURAN? OR ?INDEN? OR ?NAPHTHALEN? OR
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ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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COPYRIGHT (C) 2009 American Chemical Society (ACS)
PROCESSING COMPLETED FOR L17
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PROCESSING COMPLETED FOR L42
PROCESSING COMPLETED FOR L48
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct  2, 2009 (20091002/UP).
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DOCUMENT NUMBER:          148:100497
TITLE:                    Preparation of biphenylmethoxybenzofurylacetates as
                          GPR40 receptor modulators for treatment of diabetes.
INVENTOR(S):              Yasuma, Tsuneo; Negoro, Nobuyuki;
                          Yamashita, Masayuki; Itou, Masahiro
PATENT ASSIGNEE(S):       Takeda Pharmaceutical Company Limited, Japan
SOURCE:                   PCT Int. Appl., 141pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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     NO 2009000235         A     20090216    NO 2009-235              20090114
     KR 2009027743         A     20090317    KR 2009-701696           20090123
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OTHER SOURCE(S):          CASREACT 148:100497; MARPAT 148:100497
ED   Entered STN:  04 Jan 2008
GI
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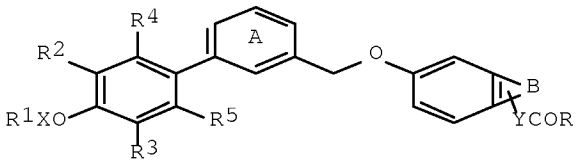

I

AB Title compds. [I; R1 = R6SO2, (substituted) 1,1-dioxidotetrahydrothiopyranyl; X = bond, hydrocarbylene; R2, R3 = H, halo, (substituted) hydrocarbyl, OH; R4, R5 = alkyl, hydroxyalkyl; Y = bond, CH2; R = (substituted) OH; R6 = substituent; ring A may be addnl. substituted; B = atoms to form 5-7 membered ring], were prepared Thus, [(3S)-6-[[3'-fluoro-2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy]biphen-3- yl]methoxy]-2,3-dihydro-1-benzofuran-3-yl]acetic acid (multistep preparation given) showed agonist activity on human-derived GPR40 with relative activity of 125%, vs. linoleic acid at 100%.

CC 27-7 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63

IT 1000413-70-6P 1000413-72-8P 1000413-73-9P
1000413-76-2P 1000413-78-4P 1000413-80-8P
1000414-45-8P 1000414-46-9P 1000414-47-0P
1000414-48-1P 1000414-49-2P 1000414-50-5P
1000414-51-6P 1000414-52-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of biphenylmethoxybenzofurylacetates as GPR40
receptor modulators for treatment of diabetes)

IT 1000414-27-6P 1000414-28-7P 1000414-29-8P
1000414-30-1P 1000414-31-2P 1000414-32-3P
1000414-33-4P 1000414-34-5P 1000414-35-6P
1000414-36-7P 1000414-39-0P 1000414-40-3P
1000414-41-4P 1000414-42-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenylmethoxybenzofurylacetates as GPR40 receptor modulators for treatment of diabetes)

IT 107-30-2, Chloromethyl methyl ether 108-46-3, Resorcinol, reactions 108-95-2, Phenol, reactions 348-27-6, 2-Fluoro-4-hydroxybenzaldehyde 505-10-2, 3-Methylthio-1-propanol 618-89-3, Methyl 3-bromobenzoate 620-17-7, 3-Ethylphenol 638-07-3, Ethyl 4-chloroacetoacetate 693-07-2, 2-Chloroethyl ethyl sulfide 697-82-5, 2,3,5-Trimethylphenol 1072-72-6 7463-51-6, 4-Bromo-3,5-dimethylphenol 29683-23-6, Tetrahydro-2H-thiopyran-4-ol 39581-48-1 69716-05-8 77771-02-9, 3-Bromo-4-fluorobenzaldehyde 87199-16-4, 3-Formylphenylboronic acid 90484-53-0 1000414-43-6 1000414-44-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of biphenylmethoxybenzofurylacetates as GPR40 receptor modulators for treatment of diabetes)

IT 185-73-9P, 1-Oxa-6-thiaspiro[2.5]octane 527-35-5P 1197-34-8P 17362-16-2P 25392-41-0P 42374-07-2P 69716-04-7P 93198-72-2P 93772-88-4P 127766-76-1P 173381-64-1P 187722-18-5P 263400-88-0P 726174-52-3P 805250-17-3P 805250-31-1P 858096-66-9P 858096-67-0P 906623-15-2P 906623-17-4P 914397-21-0P 914397-22-1P 922151-74-4P

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biphenylmethoxybenzofurylacetates as GPR40 receptor modulators for treatment of diabetes)

IT 1000413-70-6P 1000413-72-8P 1000413-73-9P
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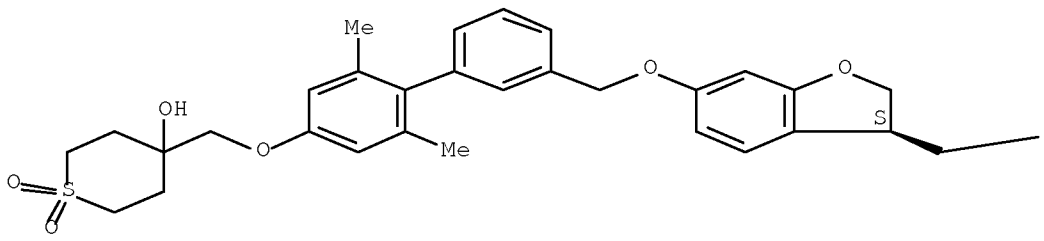
1000414-51-6P 1000414-52-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of biphenylmethoxybenzofurylacetates as GPR40
receptor modulators for treatment of diabetes)

RN 1000413-70-6 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[(tetrahydro-4-hydroxy-1,1-dioxido-2H-thiopyran-4-yl)methoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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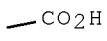
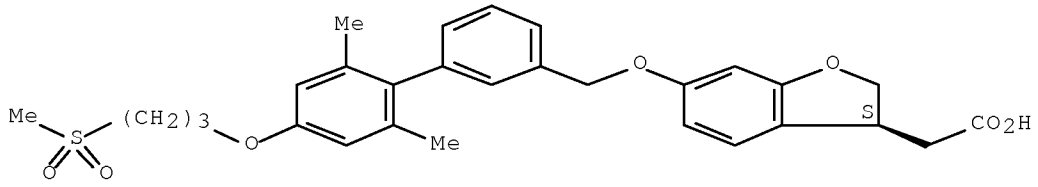


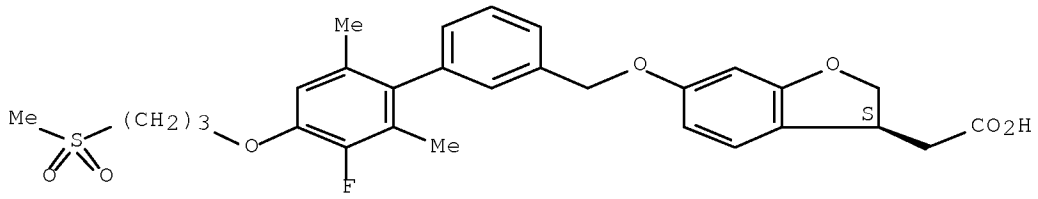
RN 1000413-72-8 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)-(CA INDEX NAME)

Absolute stereochemistry.



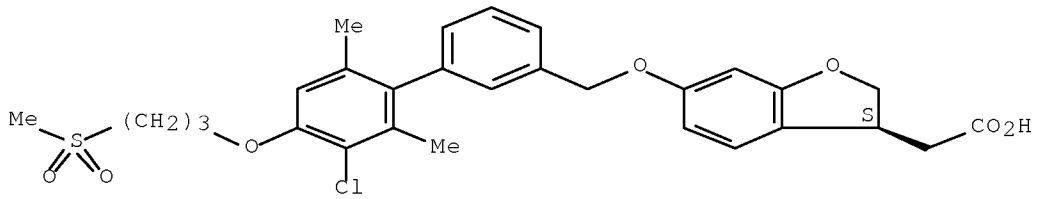
RN 1000413-73-9 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[3'-fluoro-2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)-(CA INDEX NAME)

Absolute stereochemistry.



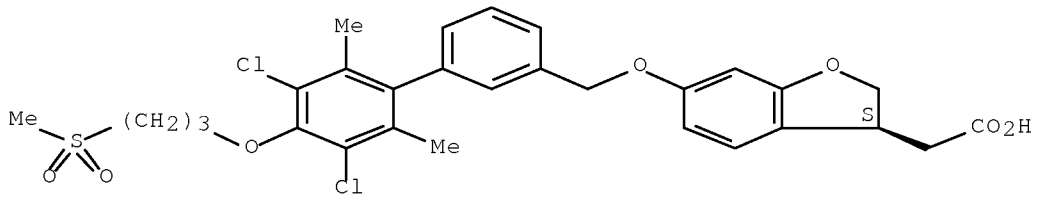
RN 1000413-76-2 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[3'-chloro-2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)-(CA INDEX NAME)

Absolute stereochemistry.



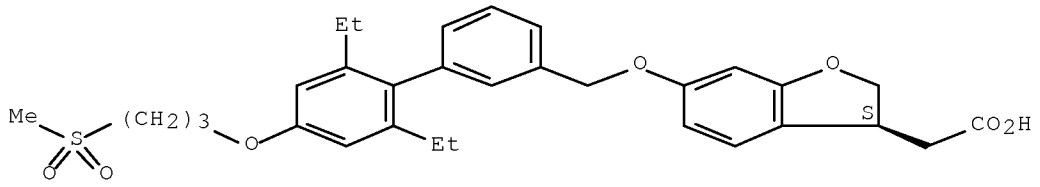
RN 1000413-78-4 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[3',5'-dichloro-2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)-(CA INDEX NAME)

Absolute stereochemistry.



RN 1000413-80-8 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-diethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1000414-45-8 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[3',5'-dichloro-2',6'-diethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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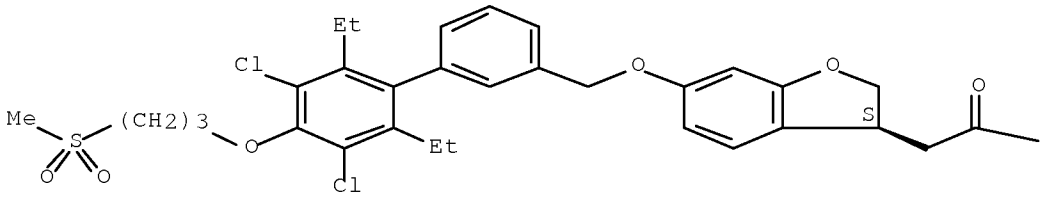

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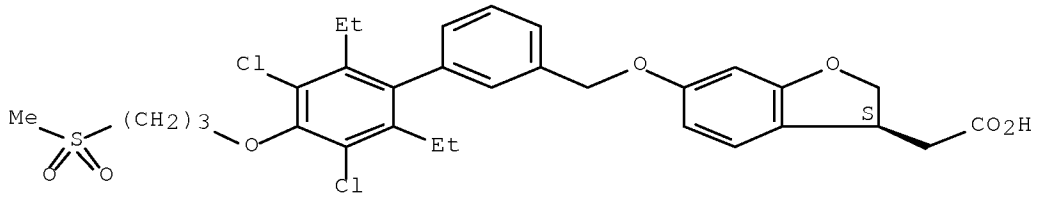
OMe

RN 1000414-46-9 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[3',5'-dichloro-2',6'-diethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1000414-47-0 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy]-6-phenoxy[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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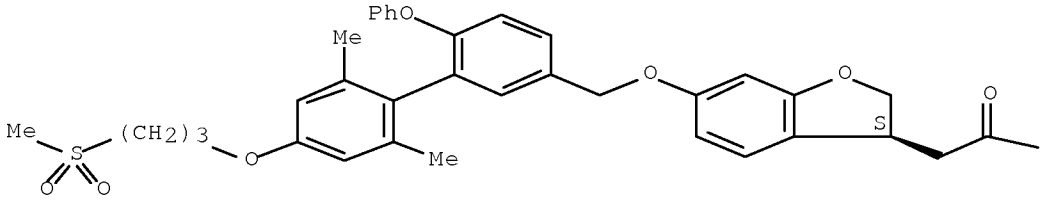

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RN 1000414-48-1 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy]-6-phenoxy[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, calcium salt (2:1), (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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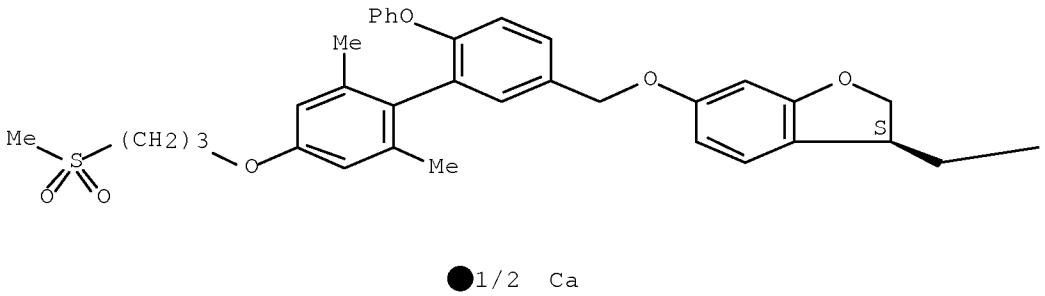
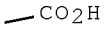


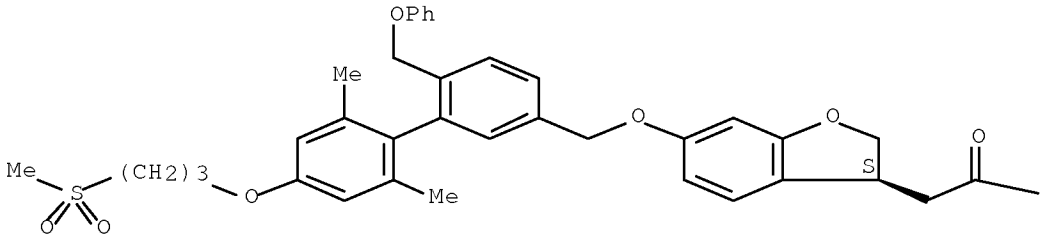
PAGE 1-B



RN 1000414-49-2 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy]-6-(phenoxymethyl)[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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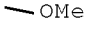
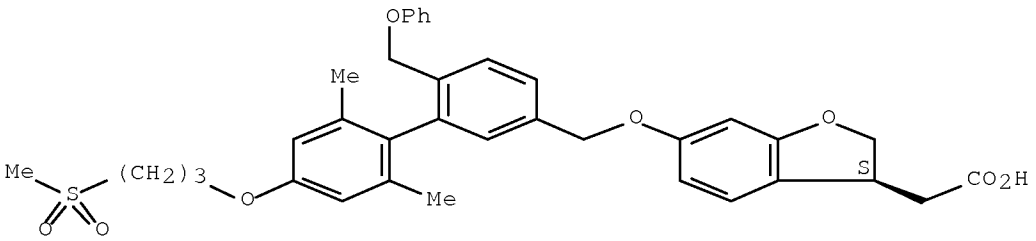


RN 1000414-50-5 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy]-6-(phenoxymethyl)[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1000414-51-6 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4-fluoro-2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy]-6-(phenylmethoxy)[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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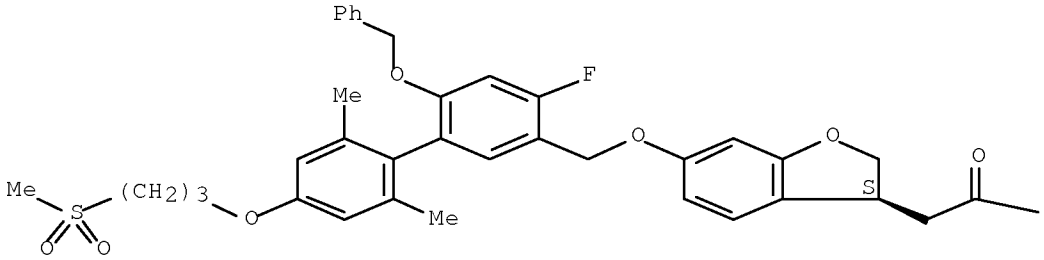

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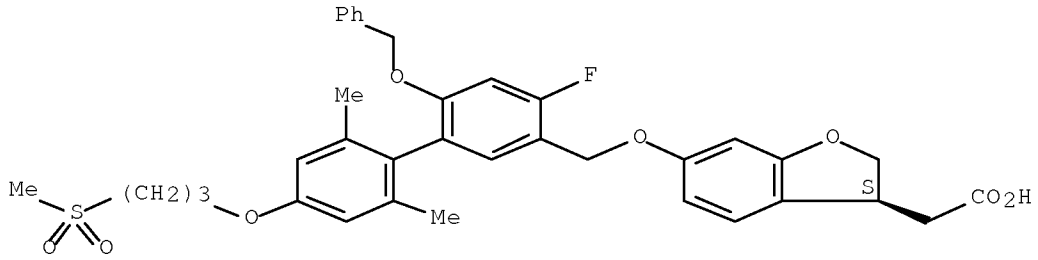
RN 1000414-52-7 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4-fluoro-2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy]-6-(phenylmethoxy)[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)- (CA INDEX NAME)

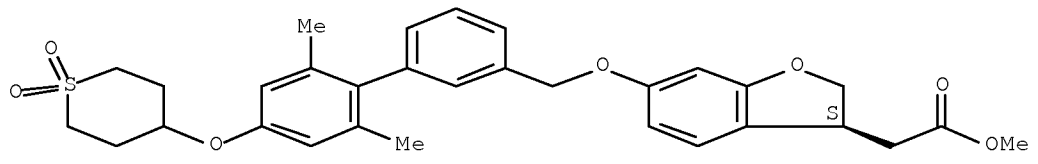
Absolute stereochemistry.



IT 1000414-27-6P 1000414-28-7P 1000414-29-8P
1000414-30-1P 1000414-31-2P 1000414-32-3P
1000414-33-4P 1000414-34-5P 1000414-35-6P
1000414-36-7P 1000414-39-0P 1000414-40-3P
1000414-41-4P 1000414-42-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenylmethoxybenzofurylacetates as GPR40 receptor modulators for treatment of diabetes)

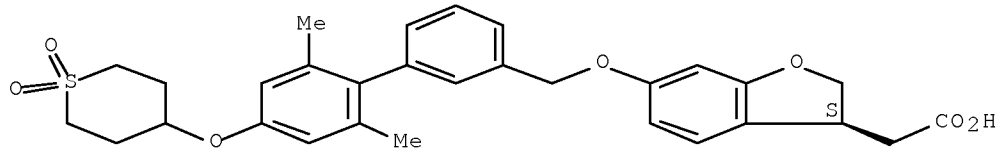
RN 1000414-27-6 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)oxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

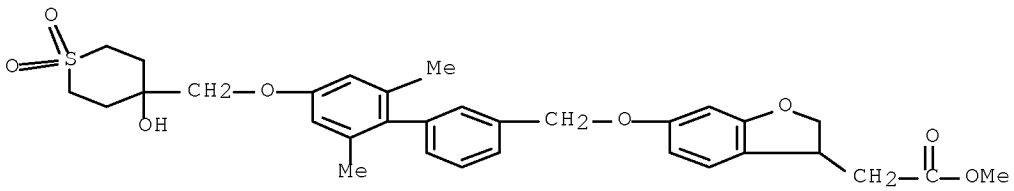


RN 1000414-28-7 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)oxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)- (CA INDEX NAME)

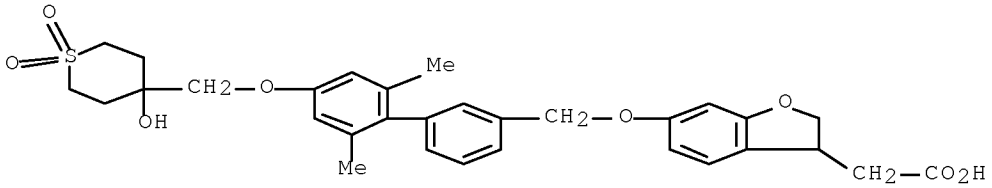
Absolute stereochemistry.



RN 1000414-29-8 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[(tetrahydro-4-hydroxy-1,1-dioxido-2H-thiopyran-4-yl)methoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester (CA INDEX NAME)



RN 1000414-30-1 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[(tetrahydro-4-hydroxy-1,1-dioxido-2H-thiopyran-4-yl)methoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro- (CA INDEX NAME)



RN 1000414-31-2 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[(tetrahydro-4-hydroxy-1,1-dioxido-2H-thiopyran-4-yl)methoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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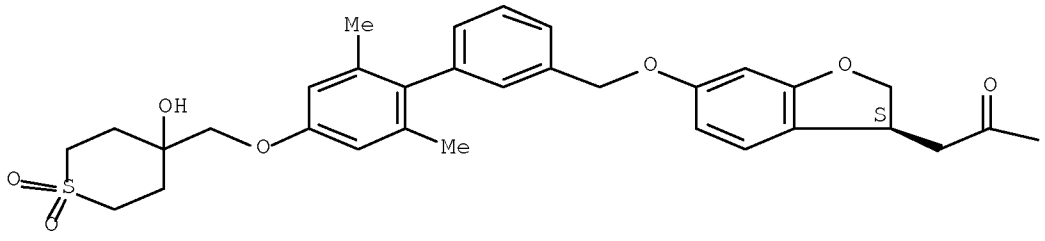

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RN 1000414-32-3 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[[2',3',5',6'-tetramethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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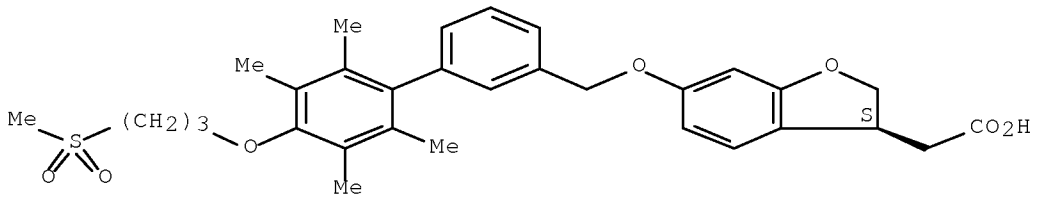
—OMe

RN 1000414-33-4 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[[2',3',5',6'-tetramethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1000414-34-5 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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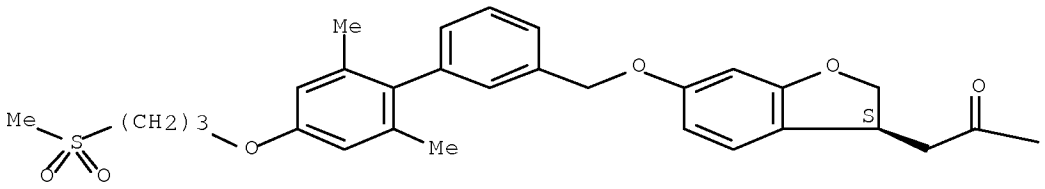

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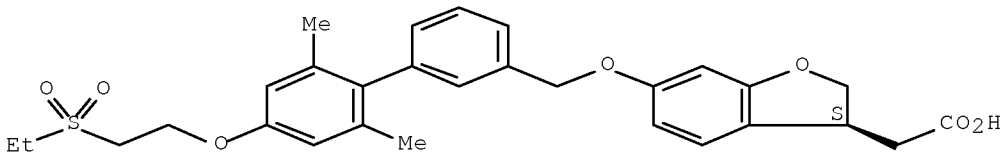
RN 1000414-35-6 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4'-[2-(ethylsulfonyl)ethoxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1000414-36-7 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[3'-fluoro-2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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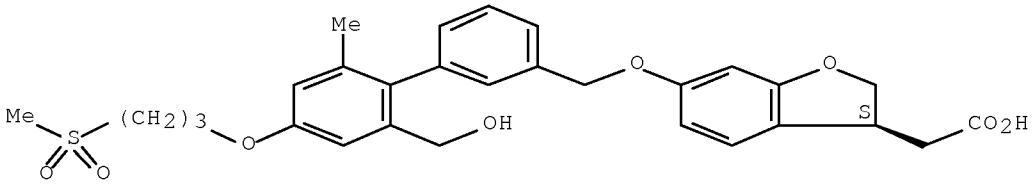
RN 1000414-39-0 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[[2'-(hydroxymethyl)-6'-methyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1000414-40-3 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[3'-chloro-2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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—OMe

RN 1000414-41-4 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[3',5'-dichloro-2',6'-dimethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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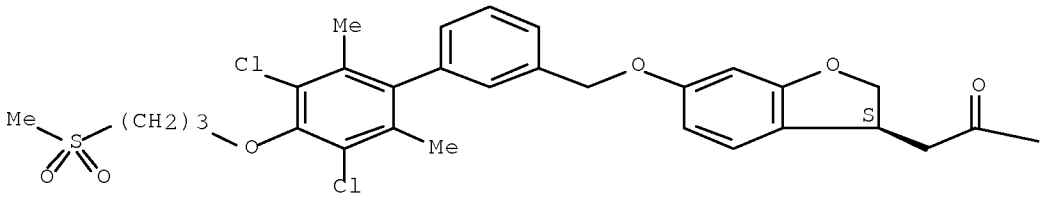

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—OMe

RN 1000414-42-5 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-diethyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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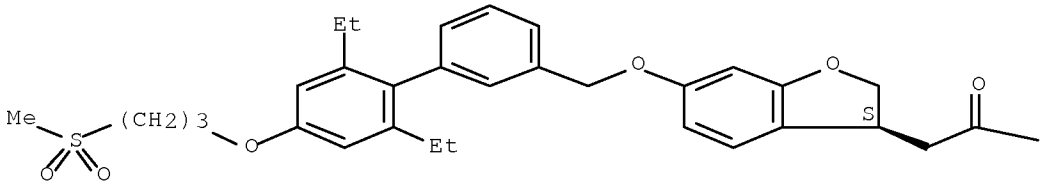

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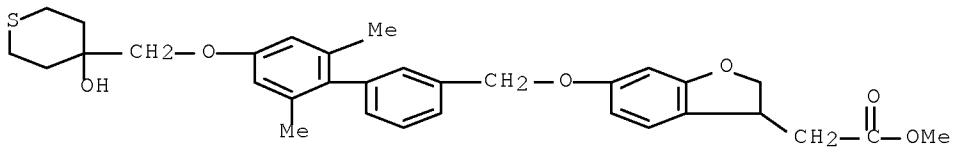
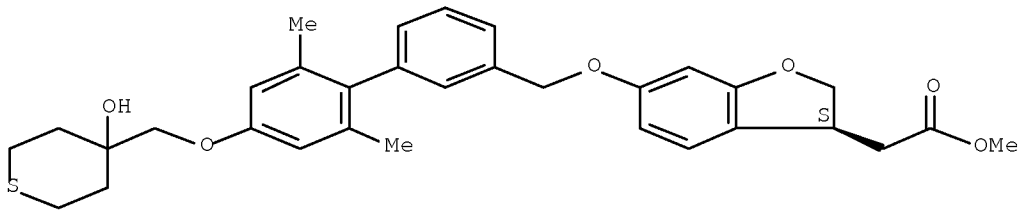
IT 1000414-43-6 1000414-44-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of biphenylmethoxybenzofurylacetates as GPR40 receptor modulators for treatment of diabetes)

RN 1000414-43-6 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)methoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester (CA INDEX NAME)



RN 1000414-44-7 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)methoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

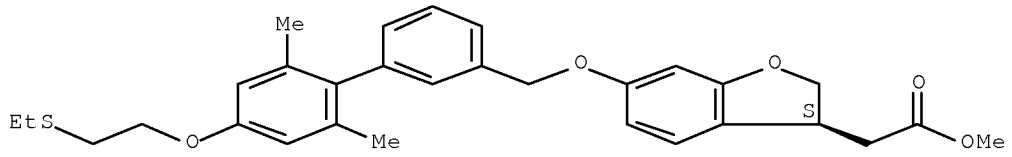
Absolute stereochemistry.



IT 1000413-88-6P 1000413-89-7P 1000413-98-8P
1000414-02-7P 1000414-03-8P 1000414-16-3P
1000414-26-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biphenylmethoxybenzofurylacetates as GPR40 receptor modulators for treatment of diabetes)

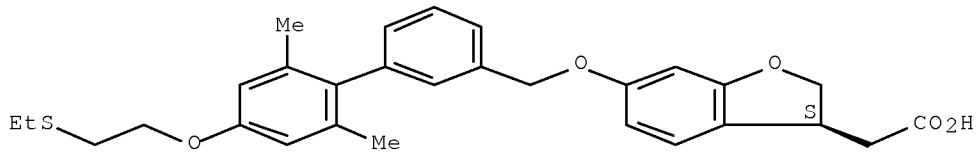
RN 1000413-88-6 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4'-[2-(ethylthio)ethoxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1000413-89-7 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4'-[2-(ethylthio)ethoxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1000413-98-8 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2'-[(acetyloxy)methyl]-6'-methyl-4'-[3-(methylsulfonyl)propoxy][1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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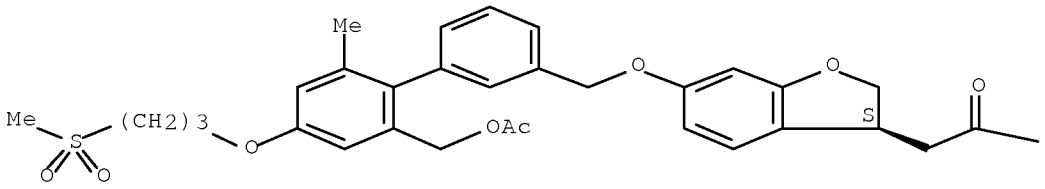

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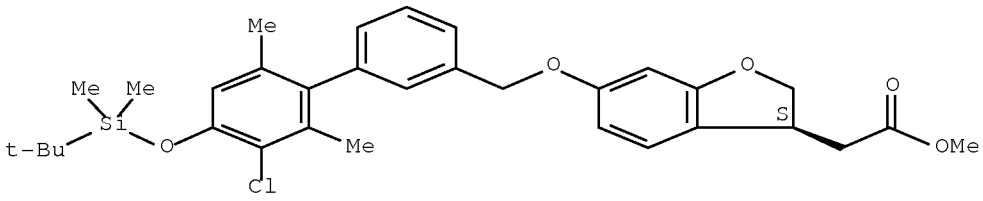
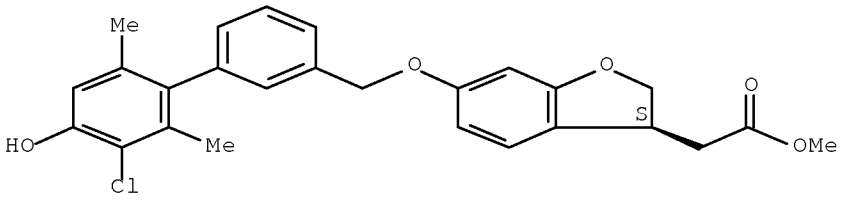
RN 1000414-02-7 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[3'-chloro-4'-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1000414-03-8 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[(3'-chloro-4'-hydroxy-2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1000414-16-3 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-[3-(methylthio)propoxy]-6-phenoxy[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

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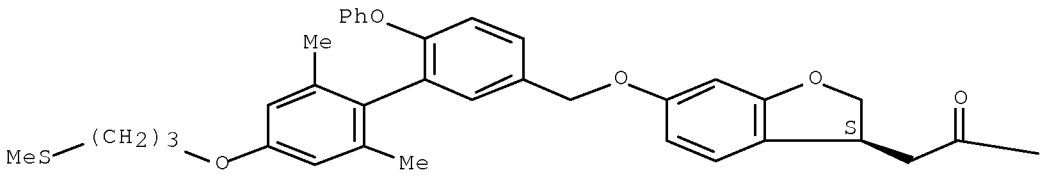

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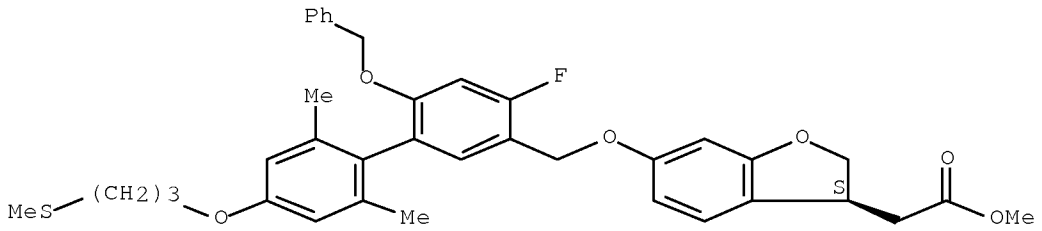
RN 1000414-26-5 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4-fluoro-2',6'-dimethyl-4'-[3-(methylthio)propoxy]-6-(phenylmethoxy)[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L50 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2005:1021733 HCAPLUS Full-text
DOCUMENT NUMBER: 143:326382
TITLE: Preparation of aminophenylpropanoic acid derivatives as antidiabetic agents
INVENTOR(S): Yasuma, Tsuneo; Negoro, Nobuyuki; Sasaki, Shinobu
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 371 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005087710	A1	20050922	WO 2005-JP4872	20050314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2560111	A1	20050922	CA 2005-2560111	20050314
EP 1726580	A1	20061129	EP 2005-721059	20050314
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20080269220	A1	20081030	US 2006-592789	20060914

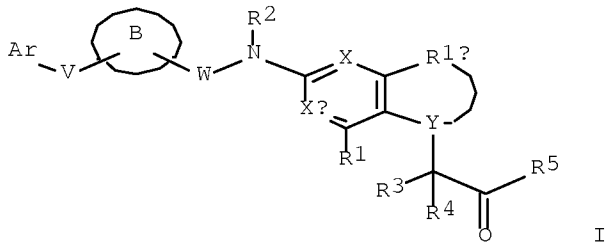
PRIORITY APPLN. INFO.:

JP 2004-73576	A	20040315
JP 2004-247339	A	20040826
WO 2005-JP4872	W	20050314

OTHER SOURCE(S): MARPAT 143:326382

ED Entered STN: 22 Sep 2005

GI



I

AB Title compds. I [Ar = (un)substituted cyclic group with the proviso that Ar ≠ piperidyl; ring B = (un)substituted cycle with the proviso that B ≠ thiazole, oxazole; V = bond, etc.; W = bond, etc.; X, Xa = CH, N; Y = O, etc.; R1, R1a = H, halo, etc.; R2 = H, alkyl, etc.; R3, R4 = H, halo; R5 = (un)substituted amino, etc.] were prepared For example, reductive amination of 3-(4-aminophenyl)propanoic acid Me ester, e.g., prepared from 3-(4-aminophenyl)propanoic acid, with 2',6'-dimethylbiphenyl-3-carbaldehyde followed by hydrolysis using aqueous NaOH afforded 3-(4-{[(2',6'-dimethylbiphenyl-3- yl)methyl]amino}phenyl)propanoic acid (II). In human G protein coupled receptor 40 (GPR40) assays, the EC50 value of compound II was <10 nM. Compds. I are claimed useful for the treatment of diabetes. Formulations are given.

IC ICM C07C229-42

ICS A61K031-16; A61K031-195; A61K031-222; A61K031-337; A61K031-343; A61K031-382; A61K031-40; A61K031-4015; A61K031-404; A61K031-4152; A61K031-42; A61K031-426; A61K031-427; A61K031-44; A61K031-4439; A61K031-445; A61K031-47; A61K031-5375; A61P003-10

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
ST aminophenylpropanoic acid prepn GPR40 function controlling agent; antidiabetic agent aminophenylpropanoic acid prepn GPR40 function control
IT G protein-coupled receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(GPR40 function controlling agents; preparation of aminophenylpropanoic acid
derivs. as antidiabetic agents)
IT Antidiabetic agents
Human
(preparation of aminophenylpropanoic acid derivs. as antidiabetic agents)
IT Diabetes mellitus
(treatment of; preparation of aminophenylpropanoic acid derivs. as antidiabetic agents)
IT 9004-10-8, Insulin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(insulin secretion promoter; preparation of aminophenylpropanoic acid derivs. as antidiabetic agents)
IT 865134-29-8P 865134-31-2P 865134-33-4P 865134-35-6P 865134-37-8P
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865135-81-5P 865135-82-6P 865135-85-9P 865135-87-1P,
3-[4-[([2',6'-Dimethyl-4'-[(3-methyloxetan-3-yl)methoxy]biphenyl-3-yl]methyl)amino]-2-fluorophenyl]propanoic acid 865135-90-6P
865135-93-9P 865135-96-2P 865135-98-4P 865136-00-1P 865136-01-2P
865136-03-4P 865136-05-6P 865136-06-7P 865136-09-0P 865136-12-5P
865136-13-6P, 3-[4-[(4-[[5-(Benzyloxy)-3-tert-butyl-1H-pyrazol-1-yl]methyl]benzyl)amino]-2-fluorophenyl]propanoic acid 865136-15-8P
865136-17-0P, 3-[2-Fluoro-4-[([4'-[(3-methoxy-1-methyl-1H-pyrazol-5-yl)methoxy]-2',6'-dimethylbiphenyl-3-yl]methyl)amino]phenyl]propanoic acid
865136-19-2P 865136-22-7P 865136-24-9P 865136-25-0P 865136-28-3P
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865137-66-2P 865137-67-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aminophenylpropanoic acid derivs. as antidiabetic agents)

IT 865134-30-1P 865134-32-3P 865134-34-5P 865134-36-7P 865134-39-0P
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865137-63-9P 865137-68-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminophenylpropanoic acid derivs. as antidiabetic agents)

IT 865135-79-1 865135-83-7, 3-[4-[([2',6'-Dimethyl-4'-[3-(2-oxopyrrolidin-1-yl)propoxy]biphenyl-3-yl]methyl)amino]-2-fluorophenyl]propanoic acid
865136-50-1 865137-69-5, [6-([[4'-(2-Ethoxyethoxy)-2',6'-dimethylbiphenyl-3-yl]methyl]amino)-2,3-dihydro-1-benzofuran-3-yl]acetic acid 865137-70-8, 3-[4-([[4'-(2-Ethoxyethoxy)-2',3',5',6'-tetramethylbiphenyl-3-yl]methyl]amino)-2-fluorophenyl]propanoic acid
865137-71-9, 3-[4-[(4-[4-[2-(Ethylsulfonyl)ethoxy]-2,6-dimethylphenyl]-2,3-dihydro-1H-inden-1-yl)amino]-2-fluorophenyl]propanoic acid
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of aminophenylpropanoic acid derivs. as antidiabetic agents)

IT 67-56-1, Methanol, reactions 74-88-4, Iodomethane, reactions 75-26-3, 2-Bromopropane 78-77-3, Isobutyl bromide 79-30-1, 2-Methylpropanoyl chloride 94-09-7, 4-Aminobenzoic acid ethyl ester 95-20-5, 2-Methylindole 98-59-9, 4-Toluenesulfonyl chloride 99-76-3, 4-Hydroxybenzoic acid methyl ester 100-02-7, 4-Nitrophenol, reactions 100-39-0, Benzyl bromide 103-49-1, Dibenzylamine 104-81-4,

4-Methylbenzyl bromide 105-36-2, Ethyl bromoacetate 106-94-5, 1-Bromopropane 107-08-4, 1-Iodopropane 107-30-2, Chloromethyl methyl ether 108-95-2, Phenol, reactions 110-73-6, 2-(Ethylamino)ethanol 110-77-0, 2-(Ethylthio)ethanol 123-38-6, Propionaldehyde, reactions 123-75-1, Pyrrolidine, reactions 124-63-0, Methanesulfonyl chloride 128-08-5, N-Bromosuccinimide 140-88-5, Ethyl acrylate 358-23-6, Trifluoromethanesulfonic anhydride 383-53-9, 2-Bromo-1-[4-(trifluoromethyl)phenyl]ethanone 421-85-2, 1,1,1-Trifluoromethanesulfonamide 527-35-5, 2,3,5,6-Tetramethylphenol 555-16-8, 4-Nitrobenzaldehyde, reactions 576-22-7, 2-Bromo-1,3-dimethylbenzene 576-26-1, 2,6-Dimethylphenol 591-27-5, 3-Aminophenol 592-55-2, 2-Bromoethyl ethyl ether 622-40-2, 2-Morpholin-4-ylethanol 623-04-1, 4-Aminobenzylalcohol 628-34-2, 2-Chloroethyl ethyl ether 630-08-0, Carbon monoxide, reactions 635-26-7, (2-Methylphenyl)hydrazine hydrochloride 638-07-3, 4-Chloroacetoacetic acid ethyl ester 656-65-5, 4-Bromo-3-fluoroaniline 667-27-6, Bromodifluoroacetic acid ethyl ester 697-82-5, 2,3,5-Trimethylphenol 776-74-9, Diphenylmethyl bromide 867-13-0, Triethylphosphonoacetate 948-65-2, 2-Phenylindole 1009-11-6, 1-(4-Hydroxyphenyl)butan-1-one 1072-72-6, Tetrahydro-4H-thiopyran-4-one 1072-97-5, 2-Amino-5-bromopyridine 1132-14-5, 3,5-Di-tert-butyl-1H-pyrazole 1145-01-3, 3,5-Diphenylpyrazole 1186-10-3, (3-Bromopropyl)phosphonic acid diethyl ester 1440-61-5, 4-(Chloroacetyl)morpholine 1449-46-3, Benzyltriphenylphosphonium bromide 1483-72-3, Diphenyliodonium chloride 1496-78-2, 3-Bromo-2-methyl-1H-indole 1663-39-4, Acrylic acid tert-butyl ester 1694-92-4, 2-Nitrobenzenesulfonyl chloride 1780-19-4, 2-Methyl-1,2,3,4-tetrahydroquinoline 2150-44-9, 3,5-Dihydroxybenzoic acid methyl ester 2181-42-2, Trimethylsulfonium iodide 2315-36-8, 2-Chloro-N,N-diethylacetamide 2356-16-3 2393-17-1, 3-(4-Aminophenyl)propanoic acid 2417-72-3, 4-Bromomethylbenzoic acid methyl ester 2586-62-1, 1-Bromo-2-methylnaphthalene 2973-78-6, 3-Bromo-4-hydroxybenzaldehyde 3132-99-8, 3-Bromobenzaldehyde 3143-02-0, 3-Methyl-3-oxetanemethanol 3144-09-0, Methanesulfonamide 3445-11-2, 1-(2-Hydroxyethyl)pyrrolidin-2-one 3470-49-3, 5-Hydroxyindan-1-one 3556-86-3, 3-Hydroxy-4-methylbenzoic acid methyl ester 4563-33-1, 1-Phenylmethanesulfonamide 5315-25-3, 2-Bromo-6-methylpyridine 5382-16-1, 4-Hydroxypiperidine 5419-55-6, Boric acid triisopropyl ester 6601-04-3, N-(3-Methylbutyl)thiourea 6638-79-5, N,O-Dimethylhydroxylamine hydrochloride 6933-10-4, 4-Bromo-3-methylaniline 7051-34-5, Cyclopropylmethyl bromide 7463-51-6, 4-Bromo-3,5-dimethylphenol 7664-41-7, Ammonia, reactions 7726-95-6, Bromine, reactions 7752-82-1, 2-Amino-5-bromopyrimidine 14348-41-5, 3-Bromo-4-hydroxybenzoic acid 14465-61-3, 2,2-Dimethyl-1,2-dihydroquinoline 16473-35-1, 4-Chloromethylbenzyl alcohol 18162-48-6, tert-Butyldimethylsilyl chloride 18190-44-8, 1-(2-Hydroxyethyl)pyrrolidin-2,5-dione 18962-07-7, 4-Isobutoxybenzaldehyde 19748-66-4, 1-Pyrrolidinepropanol 19788-36-4, (3,5-Dimethylisoxazol-4-yl)methanol 24243-71-8, 1-Propanesulfonamide 29683-23-6, Tetrahydro-2H-thiopyran-4-ol 34598-49-7, 5-Bromoindan-1-one 35166-33-7, (5-Methylisoxazol-3-yl)methanol 35450-37-4, 3-Bromo-4-methoxybenzoic acid methyl ester 38360-81-5, 3,5-Dimethylbenzenethiol 38870-89-2, Methoxyacetyl chloride 39255-20-4, 1-Bromo-4-(2-ethoxyethoxy)benzene 40473-07-2, 2-Bromo-6-methoxypyridine 40731-98-4, 4-Hydroxyindan-1-one 40876-98-0, Oxalacetic acid diethyl ester sodium salt 42753-71-9, 5-Bromo-6-methylpyridin-2-amine 52334-81-3, 2-Chloro-5-(trifluoromethyl)pyridine 54006-72-3, 3-Bromo-2-phenyl-1H-indole 55781-86-7, 3-Methoxy-1-methyl-1H-pyrazole-5-carboxylic acid methyl ester

62072-12-2, 3-tert-Butyl-5-phenyl-1H-pyrazole 71597-85-8,
(4-Hydroxyphenyl)boronic acid 75390-44-2,
4-Phenyl-1,3-thiazole-2-carboxaldehyde 76632-23-0,
(2-Methyl-1,3-thiazol-4-yl)methanol 78502-88-2,
Triphenyl[(4-phenyl-1,3-thiazol-2-yl)methyl]phosphonium bromide
79069-94-6, 4-Phenyl-N-(2-phenylethyl)-1,3-thiazol-2-amine 83405-70-3,
5-tert-Butyl-1H-pyrazole-3-carboxylic acid ethyl ester 87199-16-4,
(3-Formylphenyl)boronic acid 100277-27-8 100379-00-8,
2,6-Dimethylphenylboronic acid 119229-09-3 127972-02-5,
5-Formyl-2-methoxyphenylboronic acid 176240-84-9,
4-Benzyloxy-3-bromobenzoic acid methyl ester 226411-01-4,
4-(Dibenzylamino)-2,6-difluorobenzaldehyde 279262-15-6,
[4-(2-Ethoxyethoxy)phenyl]boronic acid 361543-99-9,
(2,6-Dimethyl-4-methoxyphenyl)boronic acid 459820-10-1,
3-[4-(Trifluoromethyl)phenyl]-2H-pyrazole-5-carboxaldehyde 689250-52-0,
5-(4-Fluorophenyl)-1-methyl-1H-pyrazole-4-carboxaldehyde 691904-81-1,
4-Phenyl-N-propyl-1,3-thiazol-2-amine 865139-45-3,
3-Bromo-4-[(2-methylprop-2-en-1-yl)oxy]benzoic acid methyl ester
865139-47-5, 3-(4-Amino-2-methylphenyl)propanoic acid ethyl ester
865139-48-6, [4-([3-tert-Butyl-5-[(6-methylpyridin-2-yl)methoxy]-1H-
pyrazol-1-yl]methyl)phenyl]methanol
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminophenylpropanoic acid derivs. as antidiabetic
agents)

IT 108-24-7P, Acetic anhydride 185-73-9P, 1-Oxa-6-thiaspiro[2.5]octane
7149-03-3P, 4-Amino-3-bromobenzoic acid ethyl ester 19076-89-2P
20485-38-5P 26928-18-7P 29415-97-2P 35418-07-6P 39241-79-7P
54915-31-0P 62803-52-5P 71616-83-6P 78326-88-2P 86045-82-1P
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865139-23-7P 865139-24-8P 865139-25-9P 865139-26-0P 865139-27-1P
865139-28-2P, 3-(2-Fluoro-4-[[4-[(4-methylbenzyl)oxy]-3-(2-methylprop-2-en-1-yl)benzyl]amino]phenyl)propanoic acid ethyl ester 865139-29-3P, 3-[4-[(4-[(3-tert-Butyl-5-(phenoxymethyl)-1H-pyrazol-1-yl]methyl]benzyl)[(2-nitrophenyl)sulfonyl]amino]-2-fluorophenyl]propanoic acid tert-butyl ester 865139-30-6P, 3-[4-[(4-[(5-(Benzyloxy)-3-tert-butyl-1H-pyrazol-1-yl]methyl]benzyl)[(2-nitrophenyl)sulfonyl]amino]-2-fluorophenyl]propanoic acid tert-butyl ester 865139-31-7P 865139-32-8P, 3-[4-([4-[(3,5-Di-tert-butyl-1H-pyrazol-1-yl)methyl]benzyl][(2-nitrophenyl)sulfonyl]amino)-2-fluorophenyl]propanoic acid ethyl ester 865139-33-9P, 3-(4-[([4'-[(2-Ethoxyethyl)thio]-2',6'-dimethylbiphenyl-3-yl]methyl)[(2-nitrophenyl)sulfonyl]amino]-2-fluorophenyl)propanoic acid tert-butyl ester 865139-34-0P, 3-(4-[([4'-[2-(Ethylamino)ethoxy]-2',6'-dimethylbiphenyl-3-yl]methyl)[(2-nitrophenyl)sulfonyl]amino]-2-fluorophenyl)propanoic acid tert-butyl ester 865139-35-1P, 4'-(2-Ethoxyethoxy)-6-isopropoxy-2',6'-dimethylbiphenyl-3-carboxaldehyde 865139-36-2P, 3-[4-([[4'-(2-Ethoxyethoxy)-6-isopropoxy-2',6'-dimethylbiphenyl-3-yl]methyl]amino)-2-fluorophenyl]propanoic acid ethyl ester 865139-37-3P, 3-(4-[([4'-[(Tetrahydro-2H-thiopyran-4-yl)methoxy]-2',6'-dimethylbiphenyl-3-yl]methyl)[(2-nitrophenyl)sulfonyl]amino]-2-fluorophenyl)propanoic acid tert-butyl ester 865139-38-4P 865139-39-5P 865139-40-8P 865139-41-9P, 3-(4-[([4'-[(Tetrahydro-2H-thiopyran-4-yl)oxy]-6-isopropoxy-2',6'-dimethylbiphenyl-3-yl]methyl)[(2-nitrophenyl)sulfonyl]amino]-2-fluorophenyl)propanoic acid ethyl ester 865139-42-0P 865139-43-1P, 3-(2-Fluoro-4-[([6-isopropoxy-2',6'-dimethyl-4'-[(2-methyl-1,3-thiazol-4-yl)methoxy]biphenyl-3-yl]methyl)[(2-nitrophenyl)sulfonyl]amino]phenyl)propanoic acid ethyl ester 865139-44-2P, 3-(2-Fluoro-4-[([4'-[(4-hydroxytetrahydro-2H-thiopyran-4-yl)methoxy]-2',6,6'-trimethylbiphenyl-3-yl]methyl)[(2-nitrophenyl)sulfonyl]amino]phenyl)propanoic acid ethyl ester 865139-46-4P, 4-Amino-3-bromobenzoic acid ethyl ester hydrochloride 865144-08-7P 865144-10-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminophenylpropanoic acid derivs. as antidiabetic agents)

OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2004:1059297 HCAPLUS Full-text

DOCUMENT NUMBER: 142:38135

TITLE: Preparation of dihydrobenzofuranacetic acid derivatives as antidiabetic agents

INVENTOR(S): Yasuma, Tsuneo; Negoro, Nobuyuki; Fukatsu, Kohji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004106276	A1	20041209	WO 2004-JP7770		20040528
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2527691	A1	20041209	CA 2004-2527691		20040528
JP 2005343792	A	20051215	JP 2004-158907		20040528
EP 1630152	A1	20060301	EP 2004-745580		20040528
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
US 20060258722	A1	20061116	US 2005-558846		20051130
PRIORITY APPLN. INFO.:			JP 2003-153986	A	20030530
			JP 2004-139144	A	20040507
			WO 2004-JP7770	W	20040528

OTHER SOURCE(S): MARPAT 142:38135
ED Entered STN: 10 Dec 2004
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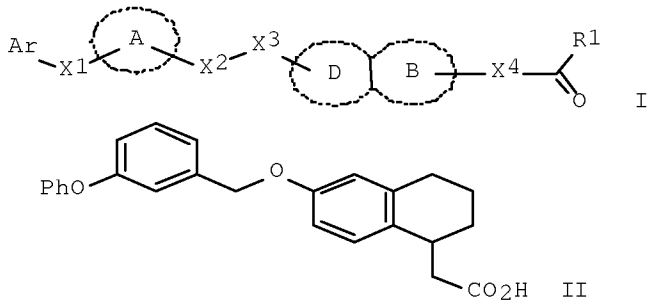

AB The title compds. I [wherein Ar = (un)substituted cyclyl; ring A = a ring except thiazole, oxazole, imidazole, and pyrazole; X1 and X2 = independently a bond or a spacer; X3 = O,S, SO, or SO2; ring D = benzo, thieno, or thiazolo; ring B = a 5- or 7-membered ring; X4 = a bond, CH, or CH2; R1 = (un)substituted OH with exclusions] or salts thereof are prepared as G protein-coupled receptors 40 (GPR40) function regulators. For example, the compound II was prepared in a multi-step synthesis. II showed human GPR40 regulatory function with EC50 of <100 nM. I are useful as insulin secretion promoter and antidiabetic agents (no data). Formulations containing I as an active ingredient were also described.

IC ICM C07C059-68

ICS C07C065-26; C07C069-736; C07D209-12; C07D277-44; C07D277-64; C07D307-80; A61K031-192; A61K031-343; A61K031-427; A61K031-428; A61P003-10

CC 27-7 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63

IT 805248-38-8P 805248-40-2P 805248-42-4P 805248-45-7P
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RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of dihydrobenzofuranacetic acid derivs. as antidiabetic agents)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dihydrobenzofuranacetic acid derivs. as antidiabetic agents)

IT 805248-47-9P 805248-53-7P 805248-62-8P
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RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of dihydrobenzofuranacetic acid derivs. as antidiabetic agents)

RN 805248-47-9 HCAPLUS
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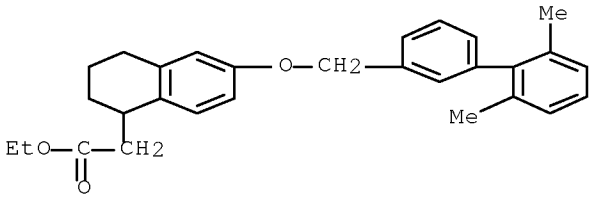
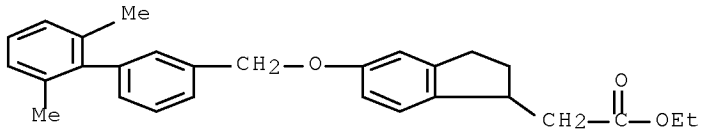
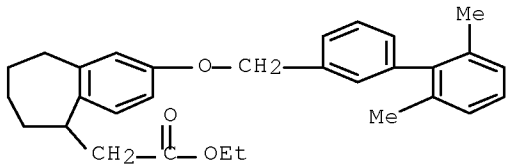


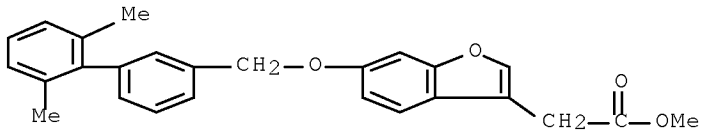
RN 805248-53-7 HCAPLUS
CN 1H-Indene-1-acetic acid, 5-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-2,3-dihydro-, ethyl ester (CA INDEX NAME)



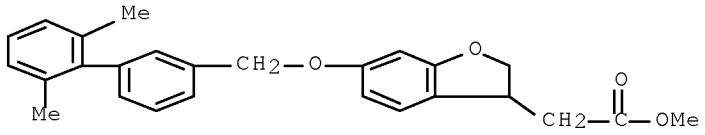
RN 805248-62-8 HCAPLUS
CN 5H-Benzocycloheptene-5-acetic acid, 2-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-6,7,8,9-tetrahydro-, ethyl ester (CA INDEX NAME)



RN 805248-66-2 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-, methyl ester (CA INDEX NAME)



RN 805248-70-8 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-2,3-dihydro-, methyl ester (CA INDEX NAME)



RN 805248-74-2 HCAPLUS
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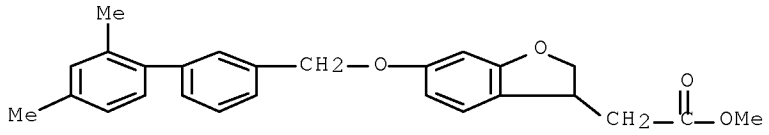

RN 805248-76-4 HCAPLUS
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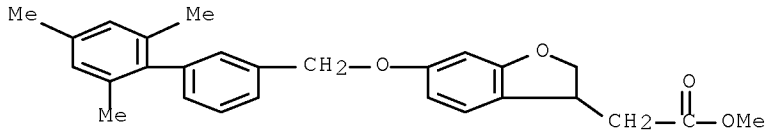

RN 805248-78-6 HCAPLUS
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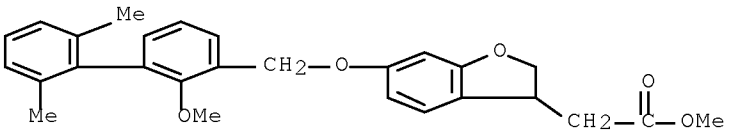

RN 805248-80-0 HCAPLUS
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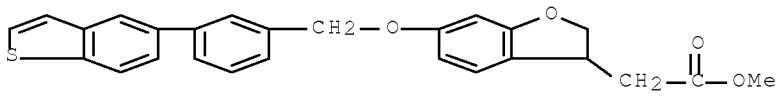

RN 805248-82-2 HCAPLUS
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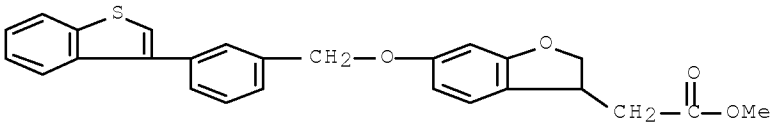

RN 805248-84-4 HCAPLUS
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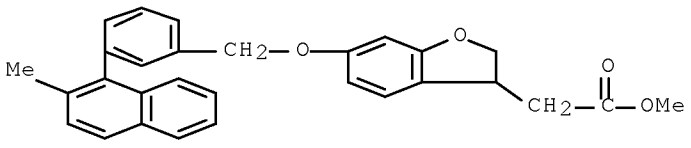
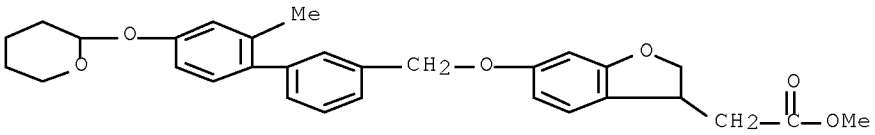


RN 805248-88-8 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[[2'-methyl-4'-[(tetrahydro-2H-pyran-2-yl)oxy][1,1'-biphenyl]-3-yl]methoxy]-, methyl ester (CA INDEX NAME)



RN 805248-89-9 HCAPLUS
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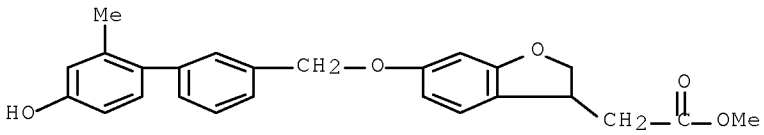

RN 805248-90-2 HCAPLUS
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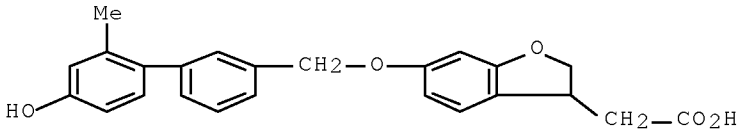

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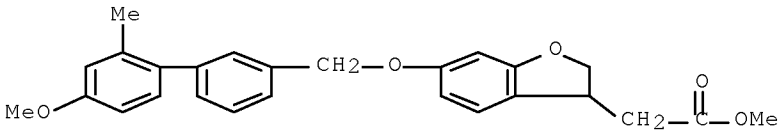
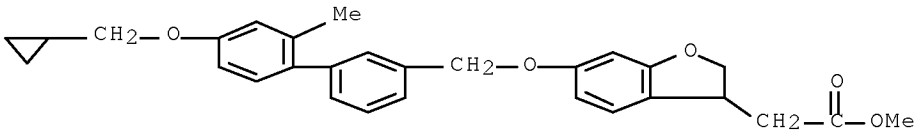
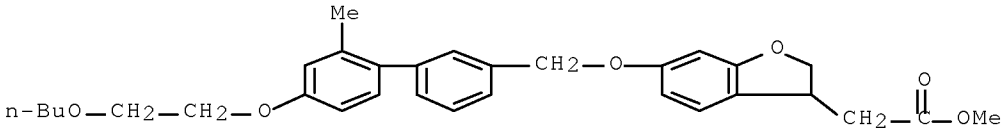


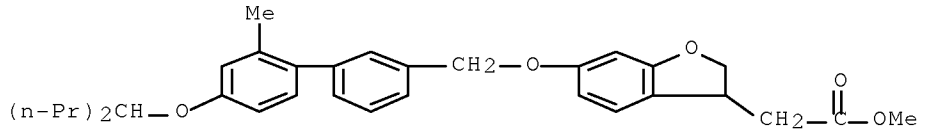
RN 805248-93-5 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4'-(cyclopropylmethoxy)-2'-methyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester (CA INDEX NAME)



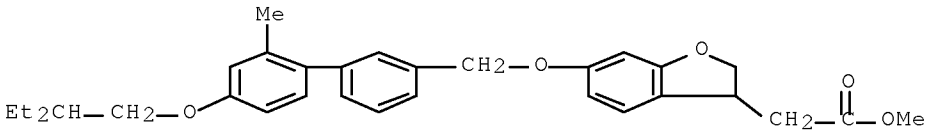
RN 805248-95-7 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4'-(2-butoxyethoxy)-2'-methyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester (CA INDEX NAME)



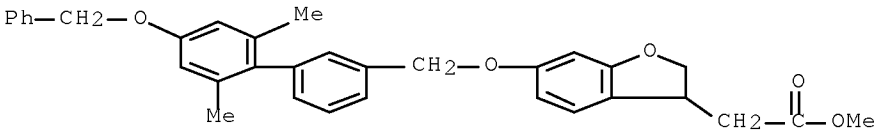
RN 805248-97-9 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[[2'-methyl-4'-(1-propylbutoxy)[1,1'-biphenyl]-3-yl]methoxy]-, methyl ester (CA INDEX NAME)



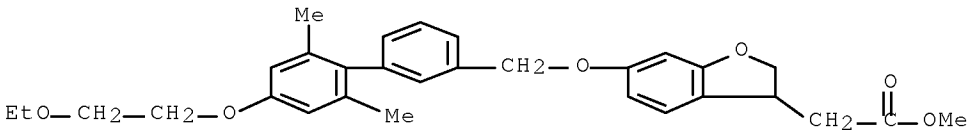
RN 805248-99-1 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4'-(2-ethylbutoxy)-2'-methyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester (CA INDEX NAME)



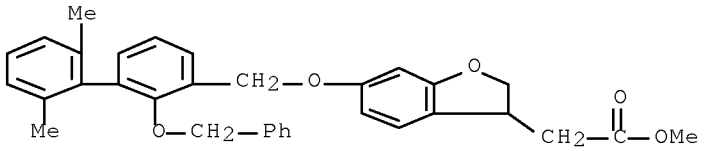
RN 805249-07-4 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-4'-(phenylmethoxy)[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester (CA INDEX NAME)



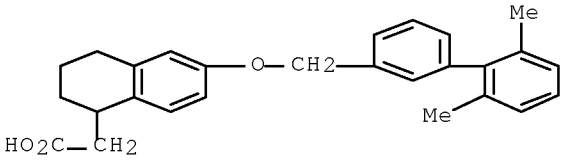
RN 805249-09-6 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4'-(2-ethoxyethoxy)-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester (CA INDEX NAME)



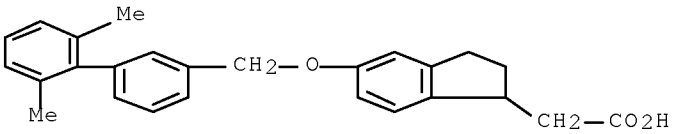
RN 805249-12-1 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-2-(phenylmethoxy)[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro-, methyl ester (CA INDEX NAME)



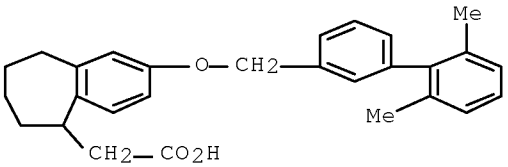
IT 805248-48-0P 805248-55-9P 805248-63-9P
805248-67-3P 805248-71-9P 805248-75-3P
805248-77-5P 805248-79-7P 805248-81-1P
805248-83-3P 805248-85-5P 805248-92-4P
805248-94-6P 805248-96-8P 805248-98-0P
805249-00-7P 805249-08-5P 805249-10-9P
805249-13-2P 805249-41-6P 805249-47-2P
805249-49-4P 805249-50-7P 805249-51-8P
805249-76-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dihydrobenzofuranacetic acid derivs. as antidiabetic agents)
RN 805248-48-0 HCAPLUS
CN 1-Naphthaleneacetic acid, 6-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-1,2,3,4-tetrahydro- (CA INDEX NAME)



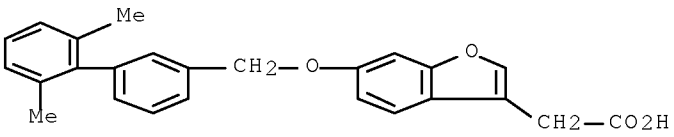
RN 805248-55-9 HCAPLUS
CN 1H-Indene-1-acetic acid, 5-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-2,3-dihydro- (CA INDEX NAME)



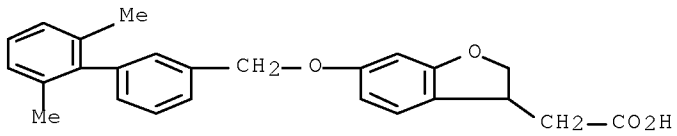
RN 805248-63-9 HCAPLUS
CN 5H-Benzocycloheptene-5-acetic acid, 2-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-6,7,8,9-tetrahydro- (CA INDEX NAME)



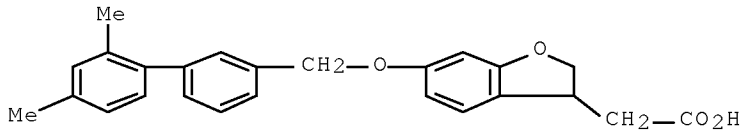
RN 805248-67-3 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]- (CA INDEX NAME)



RN 805248-71-9 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[(2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-2,3-dihydro- (CA INDEX NAME)



RN 805248-75-3 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[(2',4'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]-2,3-dihydro- (CA INDEX NAME)



RN 805248-77-5 HCAPLUS
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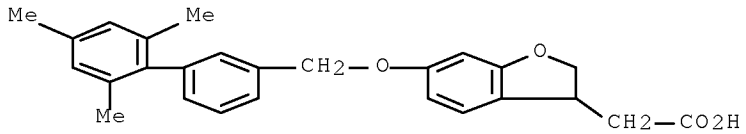
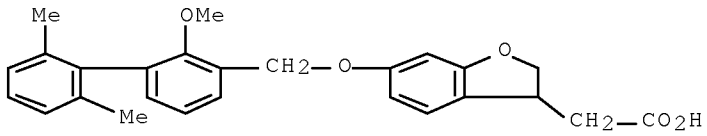
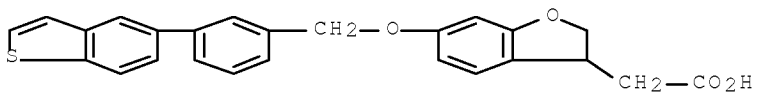


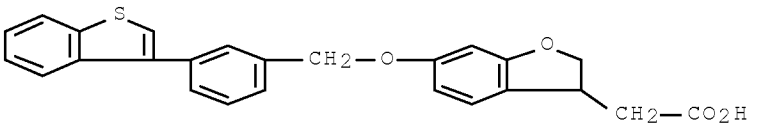
RN 805248-79-7 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[(2-methoxy-2',6'-dimethyl[1,1'-biphenyl]-3-yl)methoxy]- (CA INDEX NAME)



RN 805248-81-1 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[(3-benzo[b]thien-5-ylphenyl)methoxy]-2,3-dihydro- (CA INDEX NAME)



RN 805248-83-3 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[(3-benzo[b]thien-3-ylphenyl)methoxy]-2,3-dihydro- (CA INDEX NAME)



RN 805248-85-5 HCAPLUS
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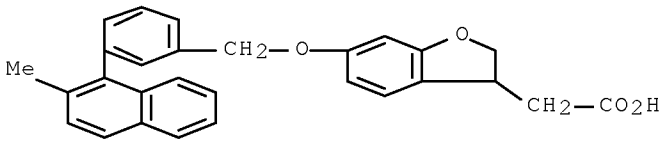
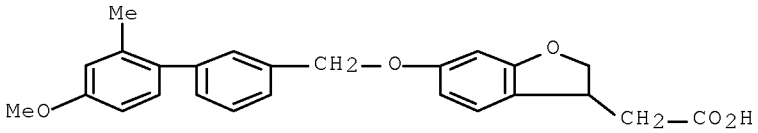
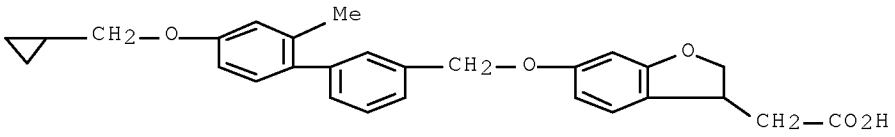


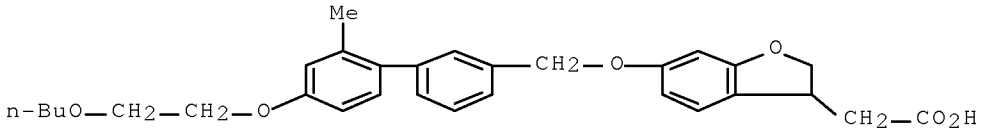
RN 805248-92-4 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[(4'-methoxy-2'-methyl[1,1'-biphenyl]-3-yl)methoxy]- (CA INDEX NAME)



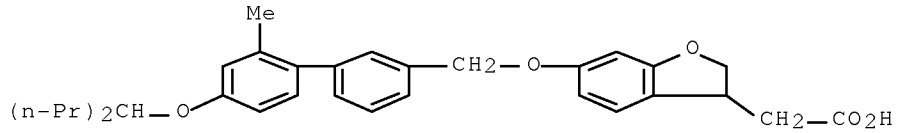
RN 805248-94-6 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4'-(cyclopropylmethoxy)-2'-methyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro- (CA INDEX NAME)



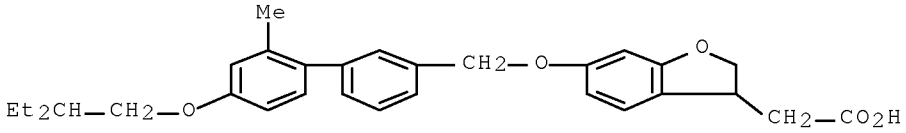
RN 805248-96-8 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4'-(2-butoxyethoxy)-2'-methyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro- (CA INDEX NAME)



RN 805248-98-0 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[[2'-methyl-4'-(1-propylbutoxy)[1,1'-biphenyl]-3-yl]methoxy]- (CA INDEX NAME)



RN 805249-00-7 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4'-(2-ethylbutoxy)-2'-methyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro- (CA INDEX NAME)



RN 805249-08-5 HCAPLUS
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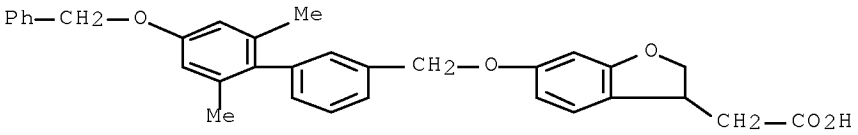
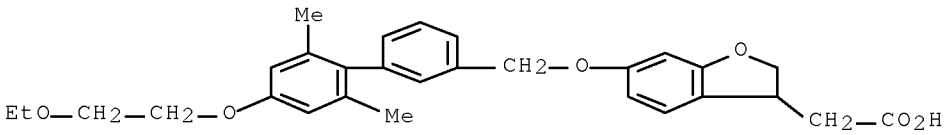


RN 805249-10-9 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[[4'-(2-ethoxyethoxy)-2',6'-dimethyl[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro- (CA INDEX NAME)



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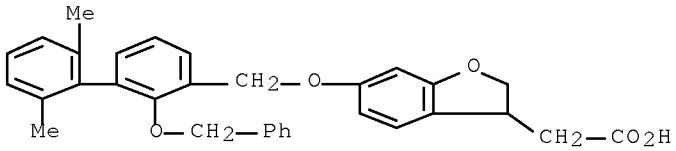
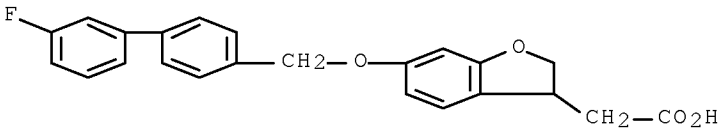
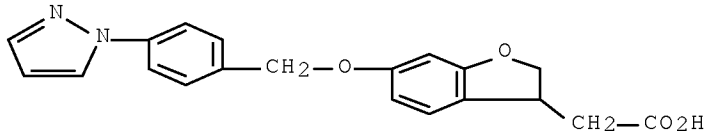
CN 3-Benzofuranacetic acid, 6-[[2',6'-dimethyl-2-(phenylmethoxy)[1,1'-biphenyl]-3-yl]methoxy]-2,3-dihydro- (CA INDEX NAME)



RN 805249-41-6 HCAPLUS
CN 3-Benzofuranacetic acid, 6-[(3'-fluoro[1,1'-biphenyl]-4-yl)methoxy]-2,3-dihydro- (CA INDEX NAME)



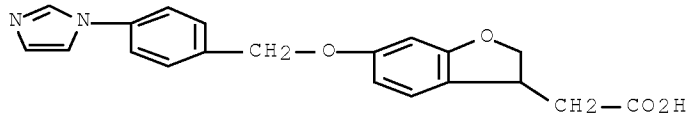
RN 805249-47-2 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[[4-(1H-pyrazol-1-yl)phenyl]methoxy]- (CA INDEX NAME)



RN 805249-49-4 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[[4-(1H-imidazol-1-yl)phenyl]methoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 805249-48-3
CMF C20 H18 N2 O4



CM 2

CRN 76-05-1
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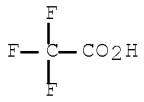
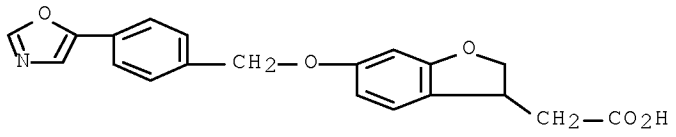
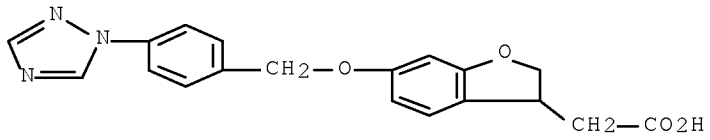


RN 805249-50-7 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[[4-(5-oxazolyl)phenyl]methoxy]- (CA INDEX NAME)



RN 805249-51-8 HCAPLUS
CN 3-Benzofuranacetic acid, 2,3-dihydro-6-[[4-(1H-1,2,4-triazol-1-yl)phenyl]methoxy]- (CA INDEX NAME)



RN 805249-76-7 HCAPLUS
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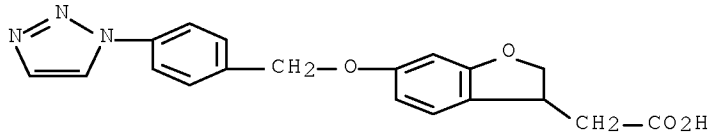

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2004:412803 HCAPLUS Full-text
DOCUMENT NUMBER: 141:1264
TITLE: Receptor function controlling agent
INVENTOR(S): Fukatsu, Kohji; Sasaki, Shinobu; Hinuma, Shuji; Ito, Yasuaki; Suzuki, Nobuhiro; Harada, Masataka; Yasuma, Tsuneo
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 442 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041266	A1	20040521	WO 2003-JP14139	20031106
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2505322	A1	20040521	CA 2003-2505322	20031106

AU 2003277576 A1 20040607 AU 2003-277576 20031106
JP 2005015461 A 20050120 JP 2003-376833 20031106
EP 1559422 A1 20050803 EP 2003-810621 20031106
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CN 1735408 A 20060215 CN 2003-80108260 20031106
US 20090012093 A1 20090108 US 2005-534081 20050613
PRIORITY APPLN. INFO.: JP 2002-324632 A 20021108
JP 2003-16889 A 20030127
JP 2003-153986 A 20030530
WO 2003-JP14139 W 20031106
OTHER SOURCE(S): MARPAT 141:1264
ED Entered STN: 21 May 2004

AB A GPR40 receptor function controlling agent which contains a compound having an aromatic ring and a group capable of releasing a cation and is useful as a insulin secretion promoting agent or a preventive/remedy for diabetes, etc.

IC ICM A61K031-192
ICS A61K031-195; A61K031-216; A61K031-343; A61K031-381; A61K031-401; A61K031-404; A61K031-426; A61K031-428; A61K031-437; A61P001-04; A61P003-04; A61P003-06; A61P003-10; A61P007-02; A61P007-10; A61P009-10; A61P009-12; A61P013-12; A61P015-08
CC 1-10 (Pharmacology)
Section cross-reference(s): 28, 63
ST GPR40 receptor ligand insulin antidiabetic

IT Acidosis
Antihypertensives
Antiobesity agents
Antitumor agents
DNA sequences
Drug screening
Hamster
Human
Hypolipemic agents
Monkey
Mus
Protein sequences
Rattus
Sexual disorders
Skin, disease
(GPR40 receptor function controlling agents as antidiabetics)

IT Proteins
Receptors
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(GPR40; GPR40 receptor function controlling agents as antidiabetics)

IT Disease, animal
(arthropathy; GPR40 receptor function controlling agents as antidiabetics)

IT Adipose tissue
(atrophy; GPR40 receptor function controlling agents as antidiabetics)

IT Bone, disease
(demineralization; GPR40 receptor function controlling agents as antidiabetics)

IT Kidney, disease
(diabetic nephropathy; GPR40 receptor function controlling agents as antidiabetics)

IT Nerve, disease
(diabetic neuropathy; GPR40 receptor function controlling

agents as antidiabetics)
IT Eye, disease
(diabetic retinopathy; GPR40 receptor function controlling agents as antidiabetics)
IT Joint, anatomical
(disease; GPR40 receptor function controlling agents as antidiabetics)
IT Pancreatic islet of Langerhans, neoplasm
(insulinoma; GPR40 receptor function controlling agents as antidiabetics)
IT Disease, animal
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(ketosis; GPR40 receptor function controlling agents as antidiabetics)
IT Drug delivery systems
(tablets; GPR40 receptor function controlling agents as antidiabetics)
IT Pancreatic islet of Langerhans
(β-cell; GPR40 receptor function controlling agents as antidiabetics)
IT 9004-10-8, Insulin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(GPR40 receptor function controlling agents as antidiabetics)
IT 691897-59-3P 691897-65-1P 691897-72-0P 691897-78-6P 691897-84-4P
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691902-60-0P 691902-61-1P 691902-62-2P 691902-63-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(GPR40 receptor function controlling agents as antidiabetics)

IT 691902-64-4P 691902-65-5P 691902-66-6P 691902-67-7P 691902-68-8P
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691902-84-8P 691902-85-9P 691902-86-0P 691902-87-1P 691902-88-2P
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691903-39-6P 691903-40-9P 691903-41-0P 691903-42-1P 691903-43-2P
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691903-69-2P 691903-70-5P 691903-71-6P 691905-62-1P 691905-63-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(GPR40 receptor function controlling agents as antidiabetics)

IT 603-35-0, Triphenylphosphine, reactions 1477-50-5,
1H-Indole-2-carboxylic acid 5597-50-2 6351-10-6, 1-Indanol
7169-34-8, 3-Coumaranone 104197-13-9, 4-Bromo-2,6-difluorophenol
172078-33-0, 5-Hydroxyindoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(GPR40 receptor function controlling agents as antidiabetics)

IT 1576-43-8P, 4-Hydroxybenzenesulfonamide 3199-73-3P 4397-53-9P,
4-(Benzyloxy)benzaldehyde 10489-28-8P 18598-23-7P,
(4-Methoxyphenoxy)acetic acid ethyl ester 20872-28-0P,
(4-Hydroxyphenoxy)acetic acid ethyl ester 24621-70-3P,
1H-Indole-2-methanol 24807-40-7P 28743-98-8P 29265-79-0P
30519-00-7P 50463-48-4P 51458-31-2P 53937-20-5P 55622-43-0P
60553-38-0P 62373-80-2P, 3-(4-Methoxyphenoxy)benzaldehyde 63012-04-4P,
(3-Bromophenyl)(phenyl)methanol 78011-52-6P 78326-88-2P,
2,3-Dihydro-5-(phenylmethoxy)-1H-inden-1-one 79931-91-2P
83246-64-4P, 4-(4-Phenoxyphenoxy)benzaldehyde 85366-49-0P 85366-55-8P,
3-(1-Phenylvinyl)benzaldehyde 96551-22-3P 99113-98-1P 99114-00-8P
142327-33-1P 158771-15-4P 158771-58-5P 175021-94-0P 221261-22-9P
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4H-Cyclopenta[b]thiophen-4-one 691904-23-1P 691904-24-2P
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691905-64-3P 691905-65-4P 691905-66-5P,
2'-Ethyl-6'-methylbiphenyl-3-carboxylic acid methyl ester 693273-23-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(GPR40 receptor function controlling agents as antidiabetics)

IT 693292-75-0, Receptor (rat gene GPR40) 693292-77-2, Receptor (human gene GPR40) 693292-79-4, Receptor (monkey gene GPR40) 693292-81-8, Receptor (monkey gene GPR40) 693519-53-8, Receptor (mouse gene GPR40)
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(amino acid sequence; GPR40 receptor function controlling agents as antidiabetics)

IT 693292-76-1, DNA (rat gene GPR40 receptor cDNA) 693292-78-3, DNA (human gene GPR40 receptor cDNA) 693292-80-7, DNA (monkey gene GPR40 receptor cDNA) 693292-82-9, DNA (hamster gene GPR40 receptor cDNA) 693519-54-9, DNA (mouse gene GPR40 receptor cDNA)
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(nucleotide sequence; GPR40 receptor function controlling agents as antidiabetics)

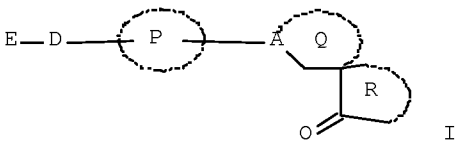
OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (37 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:113504 HCAPLUS Full-text
DOCUMENT NUMBER: 146:206222
TITLE: Preparation of spiro-cyclic compounds as acetyl-CoA carboxylase inhibitors
INVENTOR(S): Kamata, Makoto; Fukatsu, Kohji; Yamashita, Tohru; Furuyama, Naoki; Endo, Satoshi
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 450pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007013691	A1	20070201	WO 2006-JP315447	20060728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2617042	A1	20070201	CA 2006-2617042	20060728
EP 1911753	A1	20080416	EP 2006-782307	20060728
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: JP 2005-221959 A 20050729
JP 2006-159117 A 20060607
WO 2006-JP315447 W 20060728

OTHER SOURCE(S): MARPAT 146:206222
ED Entered STN: 01 Feb 2007
GI



I

AB The title compds. I [E represents a cyclic group which may be substituted; D represents carbonyl or sulfonyl; A represents CH or N; the ring P represents a 5- to 7-membered ring which may be further substituted; the ring Q represents a 5- to 7-membered non-aromatic ring which may be further substituted; and the ring R represents a 5- to 7-membered non-aromatic ring which may be further substituted and which may be fused] are prepared I are useful for the

prevention/treatment of obesity, diabetes, etc. Thus, 7-[1-(9-anthrylcarbonyl)piperidin-4-yl]-2-ethyl-2,7-diazaspiro[4.5]decan-1- one was prepared in a multistep process from piperidine-1,3-dicarboxylic acid 3-Et 1-tert-Bu ester and bromoacetonitrile. Several compds. of this invention showed IC50 values ≤ 10 nM against acetyl-CoA carboxylase 2. Formulations are given.

CC 27-20 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 28, 63

ST spiro cyclic compd prepn acetyl CoA carboxylase inhibitor; obesity diabetes treatment spiro cyclic compd prepn

IT Diabetes mellitus
(complications; preparation and use of spiro-cyclic compds. or prodrugs thereof as acetyl-CoA carboxylase inhibitors)

IT Antidiabetic agents
Antihypertensives
Antiobesity agents
Cardiovascular agents
Diabetes mellitus
Heart failure
Hypertension
Obesity
Prodrugs
(preparation and use of spiro-cyclic compds. or prodrugs thereof as acetyl-CoA carboxylase inhibitors)

IT 75-31-0, Isopropylamine, reactions 79-30-1, Isobutyryl chloride 85-46-1, 1-Naphthalenesulfonyl chloride 98-80-6, Phenylboronic acid 100-39-0, Benzyl bromide 103-63-9, (2-Bromoethyl)benzene 105-53-3, Malonic acid diethyl ester 107-08-4, Propyl iodide 107-19-7, 2-Propyn-1-ol 109-89-7, Diethylamine, reactions 109-90-0, Isocyanic acid ethyl ester 110-78-1, Isocyanic acid propyl ester 140-88-5, Acrylic acid ethyl ester 177-11-7, 1,4-Dioxa-8-azaspiro[4.5]decane 288-32-4, Imidazole, reactions 394-31-0, 2-Amino-5-hydroxybenzoic acid 542-85-8, Isothiocyanic acid ethyl ester 558-30-5, Isobutylene oxide 637-59-2, (3-Bromopropyl)benzene 723-62-6, 9-Anthracenecarboxylic acid 765-30-0, Cyclopropylamine 879-18-5, 1-Naphthoyl chloride 927-68-4, Acetic acid 2-bromoethyl ester 927-77-5, Propylmagnesium bromide 1116-98-9, tert-Butyl cyanoacetate 1126-09-6, Ethyl piperidine-4-carboxylate 1458-98-6, 3-Bromo-2-methylpropene 1609-86-5 1692-15-5, 4-Pyridineboronic acid 1692-25-7, 3-Pyridineboronic acid 1795-48-8, Isocyanic acid isopropyl ester 1926-80-3, 6-Aminohexanoic acid methyl ester hydrochloride 2283-08-1, 2-Hydroxy-1-naphthoic acid 2417-90-5, 3-Bromopropionitrile 2476-35-9, 5-Bromo-2-methoxybenzoic acid 2516-34-9, Cyclobutylamine 2516-47-4, Cyclopropylmethylamine 3731-53-1, Pyridin-4-ylmethylamine 4045-25-4, 4-Methoxypiperidine hydrochloride 4244-84-2 5292-43-3 5332-06-9, 4-Bromobutyronitrile 5381-25-9, 1-Benzothiophene-3-carboxylic acid 5382-16-1, 4-Piperidinol 5398-44-7, 2,6-Dichloroisonicotinic acid 5437-45-6, Bromoacetic acid benzyl ester 5680-79-5 5794-88-7, 2-Amino-5-bromobenzoic acid 5936-58-3, 2-Amino-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylic acid 6041-23-2, N-Cyanobenzenecarboximidic acid methyl ester 7154-73-6, 2-(Pyrrolidin-1-yl)ethylamine 7311-95-7, 2-Amino-1-benzothiophene-3-carboxylic acid ethyl ester 10365-98-7, 3-Methoxyphenylboronic acid 15733-87-6, 2-Bromoquinoline-4-carboxylic acid 16078-63-0, 3-Amino-1-phenyl-1H-pyrazole-4-carboxylic acid ethyl ester 17159-79-4, Ethyl 4-oxocyclohexanecarboxylate 17247-58-4, (Bromomethyl)cyclobutane 17375-82-5, 2-Methyl-1-benzothiophene-3-carboxylic acid 17997-47-6, 2-(Tributylstannyl)pyridine 18494-87-6, 1-Benzothiophene-3-sulfonyl chloride 19099-93-5, N-Benzyloxycarbonyl-4-piperidone 19481-82-4, 2-Bromopropionitrile 26176-21-6, 2-(1H-Pyrrol-1-yl)-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylic acid

26555-40-8, (Chlorothio)(methoxy)oxomethane 26914-02-3, Iodopropane
31785-06-5, Ethyl 5-amino-2-phenyl-1,3-thiazole-4-carboxylate
34619-03-9, Dicarbonic acid di-tert-butyl ester 35978-33-7, Ethyl
2-amino-4-(4-fluorophenyl)thiophene-3-carboxylate 36865-41-5,
1-Bromo-3-methoxypropane 39959-51-8, 1-(2-Iodophenyl)methanamine
41979-39-9 43088-42-2, 2-Amino-4-methylthiophene-3-carboxylic acid ethyl
ester 50451-89-3, 2-Bromo-1-benzothiophene-3-carboxylic acid
50735-34-7, Methyl 2-amino-5-bromonicotinate 53600-33-2,
2-Amino-6-methoxybenzoic acid 53973-96-9, 9-Anthracenesulfonyl chloride
54314-84-0, Benzyl (3-bromopropyl) ether 54644-12-1,
5-Ethoxy-2-phenyl-1,3-oxazole-4-carboxylic acid 55502-96-0,
2-Amino-4,5-dimethylthiophene-3-carboxylic acid 55552-70-0,
3-Furylboronic acid 60437-30-1, Methyl
1-benzyl-4-hydroxypiperidine-4-carboxylate 61325-02-8,
2-Amino-5-phenylthiophene-3-carboxylic acid methyl ester 63746-25-8,
2-Amino-5-(methoxycarbonyl)benzoic acid 71597-85-8,
(4-Hydroxyphenyl)boronic acid 72080-83-2, (2-Aminoethyl)carbamic acid
benzyl ester 79099-07-3, 4-Oxopiperidine-1-carboxylic acid tert-butyl
ester 81731-43-3, 2-Isopropoxyethanamine 84359-11-5,
Pyridin-2-ylmethylamine hydrochloride 84359-15-9,
(Pyridin-3-ylmethyl)amine hydrochloride 86864-60-0,
(2-Bromoethoxy)(tert-butyl)dimethylsilane 88534-50-3, Ethyl
3-amino-5-phenylthiophene-2-carboxylate 96334-44-0, Ethyl
2-amino-7-oxo-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
98977-36-7, 3-Oxopiperidine-1-carboxylic acid tert-butyl ester
99768-12-4, 4-Methoxycarbonylphenylboronic acid 107819-90-9,
1,3-Bis(tert-butoxycarbonyl)-2-methyl-2-thiopseudourea 112197-88-3,
1-Benzyl-3-hydroxypiperidine-3-carboxylic acid methyl ester 114856-91-6,
(1,1-Dimethyl-2-oxoethyl)carbamic acid benzyl ester 116140-20-6,
1-Benzoylpiperidine-3-carboxylic acid ethyl ester 117642-16-7, Ethyl
2-amino-4,7-dihydro-5H-thieno[2,3-c]pyran-3-carboxylate 122684-33-7
126747-14-6, (4-Cyanophenyl)boronic acid 126937-42-6 130250-54-3
135884-31-0, 1-(tert-Butoxycarbonyl)-1H-pyrrol-2-ylboronic acid
171178-46-4, 5-[(tert-Butoxycarbonyl)amino-2-chloroisonicotinic acid
173281-01-1, Ethyl 2-amino-4,7-dihydro-5H-thieno[2,3-c]thiopyran-3-
carboxylate 184000-11-1, (4-Benzyloxycarbonylphenyl)boronic acid
193537-14-3 203797-64-2, Spiro[indene
-2,3'-piperidin]-1(3H)-one 214957-88-7,
2-([(Benzyloxy)carbonyl]amino-5-hydroxybenzoic acid 218930-41-7,
5-Methoxy-2-(1,3,5-trimethyl-1H-pyrazol-4-yl)benzoic acid 257610-86-9
310454-53-6 450368-32-8, 2,6-Di(morpholin-4-yl)pyrimidine-4-carboxylic
acid 690260-92-5, tert-Butyl 3-bromo-5-iodobenzoate 704879-64-1,
Benzyl piperidine-4-carboxylate hydrochloride 768371-16-0 850568-44-4,
[4-(3-Methoxy-3-oxopropyl)phenyl]boronic acid 850852-85-6,
(Thiazol-2-ylmethyl)amine hydrochloride 859204-25-4,
5-Bromo-2-[(tert-butoxycarbonyl)amino]thiophene-3-carboxylic acid methyl
ester 887120-96-9, tert-Butyl 2,4-dioxo-1,3,7-triazaspiro[4.5]decane-7-
carboxylate 893644-86-5 923005-18-9,
2-(1-Methyl-1H-pyrazol-3-yl)quinoline-4-carboxylic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of spiro-cyclic compds. as acetyl-CoA carboxylase inhibitors)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:219798 HCAPLUS Full-text
DOCUMENT NUMBER: 142:298136
TITLE: Preparation of oxazolo[3,4-a]pyrazine derivatives as

TGR23 ligand antagonists

INVENTOR(S): Fukatsu, Kohji; Nakayama, Yutaka; Tarui, Naoki; Mori, Masaaki; Matsumoto, Hirokazu; Kurasawa, Osamu; Banno, Hiroshi

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 281 pp.
CODEN: PIXXD2

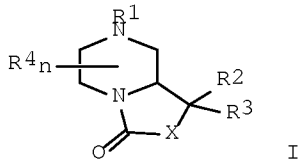
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021555	A1	20050310	WO 2004-JP12683	20040826
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2005306839	A	20051104	JP 2004-247166	20040826
EP 1661898	A1	20060531	EP 2004-772639	20040826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20070072865	A1	20070329	US 2006-570270	20060511

PRIORITY APPLN. INFO.:
JP 2003-306054 A 20030829
JP 2004-93606 A 20040326
WO 2004-JP12683 W 20040826

OTHER SOURCE(S): MARPAT 142:298136
ED Entered STN: 11 Mar 2005

GI



I

AB Title compds. represented by the formula I [wherein R1 = acyl; R2 = H, (un)substituted alkyl, heterocyclic ring; R3, R4 = independently (un)substituted alkyl, heterocyclic ring; n = 0-4; X = O, S, or (un)substituted N; and pharmaceutically acceptable salts thereof] were prepared as G protein-coupled receptors TGR23 ligand antagonists. For example, II, I (R1 = Boc, R2 = R3 = Ph, R4 = H, X = O), was given in a multi-step synthesis starting from Me 2-piperazinecarboxylate dihydrochloride. Selected I showed inhibition of human TGR23-2 ligand with IC50 values of less than 100 nm, and inhibition of human rectal cancer cell LS 174T. Thus, I and

their pharmaceutical compns. are useful as TGR23 antagonists for the prevention and treatment of cancers, Alzheimer's disease, dementia, and etc..

IC ICM C07D498-04
ICS C07D513-04; C07D487-04; A61K031-4985; A61K031-5377; A61K031-541; A61K031-55; A61P035-00; A61P043-00; A61P001-14; A61P025-28; A61P009-12; A61P005-24; A61P005-14; A61P005-00; A61P003-10; A61P003-06

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT Alzheimer's disease
Anorexia
Anti-Alzheimer's agents
Antidiabetic agents
Antihypertensives
Antitumor agents
Diabetes mellitus
Eating disorders
Human
Hypertension
Hypolipemic agents
Neoplasm
Pituitary gland, disease
Thyroid gland, disease
(preparation of oxazolo[3,4-a]pyrazine derivs. as TGR23 ligand antagonists)

IT 55-21-0, Benzamide 64-04-0, Benzeneethanamine 67-64-1, Acetone, reactions 70-11-1 75-07-0, Acetaldehyde, reactions 75-86-5, Acetone cyanohydrin 76-02-8 79-04-9 79-07-2 86-59-9, 8-Quinolinecarboxylic acid 86-84-0 91-21-4 98-09-9, Benzenesulfonyl chloride 100-39-0 100-52-7, Benzaldehyde, reactions 100-58-3 100-63-0 102-92-1 103-67-3 103-71-9, reactions 104-82-5 104-86-9 105-36-2 106-95-6, Allyl bromide, reactions 107-11-9, 2-Propen-1-amine 108-24-7 108-30-5, Succinic acid anhydride, reactions 109-01-3 109-76-2, 1,3-Propanediamine 109-89-7, Diethylamine, reactions 110-62-3, Pentanal 110-85-0, Piperazine, reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 111-49-9 119-60-8 119-61-9, reactions 119-67-5 123-38-6, 1-Propanal, reactions 123-75-1, Pyrrolidine, reactions 123-90-0, Thiomorpholine 124-63-0, Methanesulfonyl chloride 149-87-1 288-32-4, 1H-Imidazole, reactions 345-70-0 345-92-6 371-40-4 404-71-7 462-08-8, 3-Pyridinamine 486-74-8, 4-Quinolinecarboxylic acid 501-53-1 504-24-5, 4-Pyridinamine 504-29-0, 2-Pyridinamine 609-71-2 611-34-7, 5-Quinolinamine 611-97-2 615-18-9 617-89-0, 2-Furanmethanamine 618-36-0 619-21-6 619-66-9 620-72-4 625-36-5 626-58-4 645-45-4, Benzenepropanoyl chloride 694-05-3 701-99-5 765-30-0, Cyclopropanamine 771-50-6, 1H-Indole-3-carboxylic acid 1125-60-6, 5-Isoquinolinamine 1195-45-5 1477-50-5, 1H-Indole-2-carboxylic acid 1570-45-2 1589-82-8 1670-81-1, 1H-Indole-5-carboxylic acid 1694-92-4 1821-12-1, Benzenebutanoic acid 1885-14-9 1939-99-7, Benzenemethanesulfonyl chloride 2018-90-8, 2-Naphthalenemethanamine 2067-33-6 2124-55-2, 1H-Indole-4-carboxylic acid 2293-75-6 2493-02-9 2516-47-4, Cyclopropanemethanamine 2949-22-6 3173-56-6 3300-51-4 3612-20-2 3674-13-3, 2,3-Dibromopropionic acid ethyl ester 3731-51-9, 2-Pyridinemethanamine 3731-52-0, 3-Pyridinemethanamine 3731-53-1, 4-Pyridinemethanamine 3970-68-1 4224-70-8 4295-36-7 4393-16-2 4461-30-7 4635-59-0 4801-27-8 4897-50-1, 1,4'-Bipiperidine 5006-66-6 5100-34-5 5381-25-9, Benzo[b]thiophene-3-carboxylic acid 5468-37-1 7051-34-5 7475-56-1, Chloro(diphenyl)acetic acid 7693-41-6 7693-45-0 7693-46-1 10349-57-2, 6-Quinolinecarboxylic acid 10597-52-1 13010-19-0 14290-86-9 16744-98-2 19293-58-4 19617-43-7 19621-92-2 20361-09-5 23138-53-6 23687-26-5,

6-Isoquinolinamine 23719-80-4 26682-99-5 26690-80-2 27757-85-3,
2-Thiophenemethanamine 27757-86-4, 3-Thiophenemethanamine 28920-43-6
29745-44-6, 2-Pyridinecarbonyl chloride 31788-88-2 33233-67-9
34698-41-4 38060-08-1 38256-93-8 38377-38-7 41221-47-0
42865-19-0 50893-53-3 54523-76-1 56651-57-1 57260-70-5
57260-71-6 59025-55-7 72235-53-1 84358-13-4 87120-72-7
89711-08-0 95668-29-4 102422-56-0 107259-06-3 108467-99-8
109608-77-7 117445-22-4 122323-88-0 132740-43-3 132740-44-4
157688-46-5 162510-43-2 211748-77-5 315495-38-6 847556-47-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxazolo[3,4-a]pyrazine derivs. as TGR23 ligand antagonists)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 98 THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L50 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:220326 HCAPLUS Full-text

DOCUMENT NUMBER: 140:270727

TITLE: Preparation of furan derivatives for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes

INVENTOR(S): Hamamura, Kazumasa; Sasaki, Shigekazu; Amano, Yuichiro; Sakamoto, Junichi; Fukatsu, Kohji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 325 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022551	A1	20040318	WO 2003-JP11308	20030904
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2497901	A1	20040318	CA 2003-2497901	20030904
AU 2003261935	A1	20040329	AU 2003-261935	20030904
EP 1535915	A1	20050601	EP 2003-794233	20030904
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005035966	A	20050210	JP 2003-314293	20030905
US 20060100261	A1	20060511	US 2005-526507	20050929
US 7553867	B2	20090630		

PRIORITY APPLN. INFO.:
JP 2002-261873 A 20020906
JP 2003-185241 A 20030627
WO 2003-JP11308 W 20030904

OTHER SOURCE(S): MARPAT 140:270727

ED Entered STN: 19 Mar 2004

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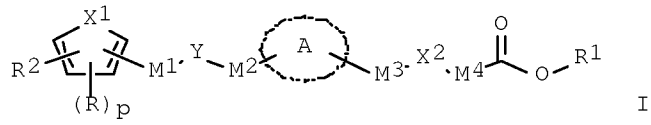

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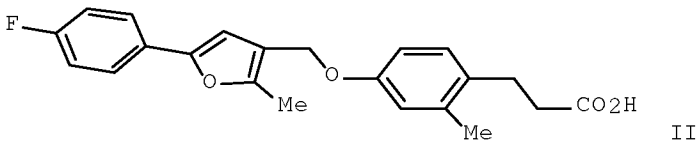

II

AB The title compds. I [wherein R = (un)substituted hydrocarbyl or heterocyclyl; p = 0-2; R1 = H or (un)substituted hydrocarbyl; R2 = (un)substituted aryl; ring A = (un)substituted aromatic ring; X1 = O or S; X2 = a bond, O, S, SO, or SO2; Y = a bond, O, S, SO, SO2, CO, (un)substituted CONH, or NHCO; M1-M3 = independently a bond or (un)substituted aliphatic hydrocarbyl; M4 = (un)substituted aliphatic hydrocarbyl; with exclusions], or prodrugs, or pharmaceutically acceptable salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. II exhibited EC50 of 0.10 μM towards human G protein-coupled receptors (GPR40). I are useful for the treatment of abnormal lipid metabolism, arteriosclerotic diseases, secondary diseases, diabetes, etc. (no data). Formulations containing I as an active ingredient were also described.

IC ICM C07D307-68
ICS C07D307-54; C07D307-42; C07D307-80; C07D417-12; C07D405-12; C07D409-12; C07D417-06; C07D413-06; A61K031-341; A61K031-343; A61P003-06; A61P003-10; A61P001-14; A61P001-18; A61P009-10; A61P013-12; A61P017-00; A61P019-02; A61P009-12

CC 27-6 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 63

ST prepn furan treatment abnormal lipid metab human formulation; treatment arteriosclerosis diabetes human prepn furan

IT G protein-coupled receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(GPR40, function modulator; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Lipid metabolism
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(abnormal; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Disease, animal
(arthropathy; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Disease, animal
(atrophy, fat; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Peroxisome proliferator-activated receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(control agent; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Kidney, disease
(diabetic nephropathy; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Joint, anatomical

(disease; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT High-density lipoproteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(improver; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Pancreatic islet of Langerhans, neoplasm
(insulinoma; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Disease, animal
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(ketosis; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Glycerides, biological studies
Low-density lipoproteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(lowerer; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Nerve, disease
(neuropathy, diabetic; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Acidosis
Antiarteriosclerotics
Anticoagulants
Antidiabetic agents
Antihypertensives
Antiobesity agents
Antitumor agents
Arteriosclerosis
Diabetes mellitus
Dyspepsia
Edema
Human
Hypertension
Hypoglycemia
Hypolipemic agents
Learning disorders
Memory disorders
Neoplasm
Obesity
Sexual disorders
Skin, disease
Thrombosis
(preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Hyperlipidemia
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Drug delivery systems
(prodrugs; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Bone
(reducing symptom; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Eye, disease
(retinopathy, diabetic; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Fats and Glyceridic oils, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)

(toxicity; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT Pancreatic islet of Langerhans

(β-cell, protector; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT 672929-77-0P 672929-81-6P 672929-92-9P 672929-95-2P 672930-00-6P
672930-01-7P 672930-04-0P 672930-05-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT 672928-39-1P 672928-40-4P 672928-41-5P 672928-42-6P 672928-43-7P
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672928-49-3P 672928-50-6P 672928-51-7P 672928-52-8P 672928-53-9P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT 775-31-5P 1678-03-1P 4302-56-1P 13709-05-2P 15015-57-3P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT 193470-45-0P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT 70-11-1, 2-Bromoacetophenone 80-55-7, Ethyl 2-hydroxyisobutyrate 100-11-8, 4-Nitrobenzyl bromide 100-39-0, Benzyl bromide 100-83-4, 3-Hydroxybenzaldehyde 104-92-7, 4-Bromoanisole 105-45-3, Methyl acetoacetate 106-44-5, reactions 108-68-9, 3,5-Dimethylphenol 123-54-6, Acetylacetone, reactions 126-30-7, 2,2-Dimethyl-1,3-propanediol 372-31-6, Ethyl 4,4,4-trifluoroacetoacetate 383-53-9, 2-Bromo-4'-trifluoromethylacetophenone 456-04-2, 2-Chloro-4'-fluoroacetophenone 459-57-4, 4-Fluorobenzaldehyde 533-68-6, Ethyl 2-bromobutyrate 582-33-2, Ethyl 3-aminobenzoate

586-30-1, 3-Hydroxy-4-methylbenzoic acid 591-31-1, 3-Methoxybenzaldehyde
600-00-0, Ethyl 2-bromo-2-methylpropionate 603-35-0, Triphenylphosphine, reactions 603-80-5, 3-Hydroxy-2-methylbenzoic acid 620-24-6, 3-Hydroxybenzyl alcohol 621-37-4, 2-(3-Hydroxyphenyl)acetic acid 623-51-8, Ethyl thioglycolate 637-89-8, 4-Hydroxybenzenethiol 696-63-9, 4-Methoxybenzenethiol 867-13-0, Ethyl diethylphosphonoacetate 927-77-5, Propylmagnesium bromide 1005-56-7, Phenyl chlorothionoformate 1877-77-6, 3-Aminobenzyl alcohol 2916-68-9, 2-(Trimethylsilyl)ethanol 3587-60-8, Benzyl chloromethyl ether 6148-64-7 6640-27-3, 2-Chloro-4-methylphenol 7364-25-2, 3-Indazolinone 13515-93-0 15570-12-4, 3-Methoxybenzenethiol 16712-64-4, 6-Hydroxy-2-naphthalenecarboxylic acid 17145-91-4 18113-03-6, 2-Chloro-4-methoxyphenol 18162-48-6, tert-Butyldimethylsilyl chloride 24398-88-7, Ethyl 3-bromobenzoate 24424-99-5, Di-tert-butyl dicarbonate 24850-33-7, Allyltributylstannane 28921-35-9 34113-69-4, 4-Chloro-3-hydroxybenzoic acid 34272-64-5 37603-26-2 42058-59-3, Methyl 2-(3-hydroxyphenyl)acetate 42454-06-8, 5-Hydroxy-2-nitrobenzaldehyde 51446-31-2, 4-Fluoro-3-hydroxybenzoic acid 51860-45-8, (3-Hydroxypropyl)triphenylphosphonium bromide 86578-58-7 87123-08-8 94420-55-0 101093-56-5, 2-Methyl-4-benzyloxybenzaldehyde 105728-90-3, 2-Fluoro-5-methoxybenzaldehyde 114628-32-9, 2-Methoxy-4-(methoxymethoxy)benzaldehyde 137654-20-7, 2-Fluoro-3-methoxybenzoic acid 156682-54-1, 3-Benzyloxyphenylboronic acid 167683-93-4, 2-Fluoro-4-methoxyphenol
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT 9004-10-8, Insulin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(secretory regulatory agent, resistance, allergy; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT 50-99-7, D-Glucose, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(tolerance disorder; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

IT 673097-39-7 673097-40-0 673097-41-1 673097-42-2 673097-43-3 673097-44-4 673097-45-5 673097-46-6 673097-47-7 673097-48-8 673097-49-9 673097-50-2
RL: PRP (Properties)
(unclaimed nucleotide sequence; preparation of furan derivs. for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes)

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, MARPAT, WPIX' - CONTINUE? (Y)/N:y

L50 ANSWER 8 OF 11 WPIX COPYRIGHT 2009 THOMSON REUTERS on STN
ACCESSION NUMBER: 2005-417844 [42] WPIX
DOC. NO. CPI: C2005-128118 [42]
TITLE: Novel acid compound or its salt capable of releasing aromatic ring and cation, useful for regulating 14273 receptors and for preventing or treating diabetes

, hyperlipidemia, obesity or anorexia
DERWENT CLASS: B05
INVENTOR: FUJII R; FUKATSU K; KOBAYASHI M; TANAKA T; YONEMORI J; TANAKA T P
PATENT ASSIGNEE: (TAKE-C) TAKEDA PHARM CO LTD
COUNTRY COUNT: 107

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2005051373	A1	20050609	(200542)*	JA	344[8]	
EP 1688138	A1	20060809	(200654)	EN		
JP 2005515854	X	20070614	(200741)	JA	211	
US 20080167378	A1	20080710	(200848)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2005051373	A1	WO 2004-JP17996	20041126
EP 1688138	A1	EP 2004-799921	20041126
EP 1688138	A1	WO 2004-JP17996	20041126
JP 2005515854	X	WO 2004-JP17996	20041126
JP 2005515854	X	JP 2005-515854	20041126
US 20080167378	A1	WO 2004-JP17996	20041126
US 20080167378	A1	US 2006-580906	20060526

FILING DETAILS:

PATENT NO	KIND		PATENT NO	
EP 1688138	A1	Based on	WO 2005051373	A
JP 2005515854	X	Based on	WO 2005051373	A

PRIORITY APPLN. INFO: JP 2003-394848 20031126
INT. PATENT CLASSIF.:
IPC ORIGINAL: A61K0031-185 [I,C]; A61K0031-185 [I,C]; A61K0031-192 [I,A]; A61K0031-192 [I,A]; A61K0031-194 [I,A]; A61K0031-21 [I,C]; A61K0031-21 [I,C]; A61K0031-216 [I,A]; A61K0031-225 [I,A]; A61K0031-27 [I,A]; A61K0031-341 [I,A] ; A61K0031-341 [I,C]; A61K0031-341 [I,C]; A61K0031-357 [I,C]; A61K0031-36 [I,A]; A61K0031-381 [I,A]; A61K0031-381 [I,C]; A61K0031-426 [I,A]; A61K0031-426 [I,C]; A61K0031-4402 [I,A]; A61K0031-4402 [I,C]; A61K0031-4453 [I,A]; A61K0031-4453 [I,C]; A61K0031-451 [I,A]; A61K0031-451 [I,C]; A61K0031-5375 [I,A]; A61K0031-5375 [I,C]; A61K0031-5375 [I,C]; A61K0031-695 [I,A]; A61K0031-695 [I,C]; A61K0045-00 [I,A]; A61K0045-00 [I,C]; A61P0001-00 [I,C]; A61P0001-14 [I,A]; A61P0025-00 [I,A]; A61P0025-00 [I,C]; A61P0025-02 [I,A]; A61P0003-00 [I,C]; A61P0003-00 [I,C]; A61P0003-04 [I,A]; A61P0003-06 [I,A]; A61P0003-08 [I,A]; A61P0003-10 [I,A]; A61P0043-00 [I,A]; A61P0043-00 [I,C]; A61P0043-00 [I,C]; C07C0057-00 [I,C]; C07C0057-03 [I,A]; C07C0059-00 [I,C]; C07C0059-68 [I,A]; C07C0069-00 [I,C]; C07C0069-734 [I,A]; C07D0213-00 [I,C]; C07D0213-64 [I,A]; C07D0277-00 [I,C]; C07D0277-20 [I,A]; C07D0277-34 [I,A]; C07D0295-00 [I,C]; C07D0295-00 [I,C]; C07D0295-08 [I,A]; C07D0307-00 [I,C]; C07D0307-00 [I,C]; C07D0307-12 [I,A]; C07D0307-16 [I,A];

C07D0317-00 [I,C]; C07D0317-00 [I,C]; C07D0317-54 [I,A]; C07D0333-00 [I,C]; C07D0333-32 [I,A]; C07K0014-435 [I,C]; C07K0014-705 [I,A]; G01N0033-15 [I,A]; G01N0033-15 [I,C]; G01N0033-15 [I,C]; G01N0033-50 [I,A]; G01N0033-50 [I,C]; G01N0033-50 [I,C]; G01N0033-566 [I,A]; G01N0033-566 [I,C]

IPC RECLASSIF.: A61K0031-185 [I,C]; A61K0031-192 [I,A]; A61K0031-21 [I,C]; A61K0031-216 [I,A]; A61K0031-341 [I,A]; A61K0031-341 [I,C]; A61K0031-4453 [I,A]; A61K0031-4453 [I,C]; A61K0031-5375 [I,A]; A61K0031-5375 [I,C]; C07C0045-00 [I,C]; C07C0045-68 [I,A]; C07C0045-71 [I,A]; C07C0059-00 [I,C]; C07C0059-68 [I,A]; C07C0069-00 [I,C]; C07C0069-734 [I,A]; C07D0213-00 [I,C]; C07D0213-64 [I,A]; C07D0213-643 [I,A]; C07D0277-00 [I,C]; C07D0277-34 [I,A]; C07D0295-00 [I,C]; C07D0295-092 [I,A]; C07D0295-096 [I,A]; C07D0307-00 [I,C]; C07D0307-12 [I,A]; C07D0317-00 [I,C]; C07D0317-54 [I,A]; C07D0333-00 [I,C]; C07D0333-32 [I,A]; C07F0007-00 [I,C]; C07F0007-18 [I,A]

ECLA: A61K0031-192; A61K0031-216; A61K0031-341; A61K0031-4453; A61K0031-5375; C07C0045-68+49/67; C07C0045-68+49/697; C07C0045-71+47/575; C07C0045-71+49/755; C07C0045-71+49/84; C07C0059-68; C07C0069-734; C07D0213-64; C07D0213-643; C07D0277-34; C07D0295-088; C07D0295-096; C07D0307-12; C07D0317-54; C07D0333-32; C07F0007-18C4D4C

ICO: M07C0101:08; M07C0101:14; M07C0102:08; M07D0213:64A; M07D0213:64B; M07D0277:34; M07D0295:08A1; M07D0295:08B1D8B; M07D0307:12; M07D0317:54; M07D0333:32

USCLASS NCLM: 514/568.000

NCLS: 436/501.000; 530/350.000; 562/471.000; 562/472.000

BASIC ABSTRACT:

WO 2005051373 A1 UPAB: 20051222

NOVELTY - An acid compound or its salt, capable of releasing aromatic ring and a cation, where 3,5-difluoro-4-((2,3-dihydro-1H- indene-1-yl) oxy) benzene propanoic acid, 4-((1,1'-biphenyl)-3-yl methoxy)-3-chlorobenzene propanoic acid, 4-((4,5-dimethoxy-2-nitrophenyl) methoxy)-3-methoxybenzene propanoic acid, and 4-((3-hydroxy-1-(4-hydroxy-3-methoxyphenyl)-2-(2-methoxy phenoxy) propoxy)-3-methoxybenzene propanoic acid) are excluded, is new.

DETAILED DESCRIPTION - A new acid compound (C1) of formula (II), or its salt, is capable of releasing aromatic ring and a cation. 3,5-difluoro-4-((2,3-dihydro-1H-indene-1-yl) oxy) benzene propanoic acid, 3-chloro-4-((2,3-dihydro-1H-indene-1-yl) oxy) benzene propanoic acid, 4-((1,1'-biphenyl)-3-yl methoxy)-3-chlorobenzene propanoic acid, 4-((4,5-dimethoxy-2-nitrophenyl) methoxy)-3-methoxybenzene propanoic acid, and 4-((3-hydroxy-1-(4-hydroxy-3-methoxyphenyl)-2-(2-methoxy phenoxy) propoxy)-3-methoxybenzene propanoic acid) are excluded.

Ra = H, fluorine, chlorine, optionally substituted hydrocarbon group, optionally substituted complex rudiment, hydroxyl which may have substituent, carboxyl which may have substituent, acyl, or amino which may have substituent;

Rb = H, fluorine, chlorine, hydrocarbon group which may have substituent, complex rudiment which may have substituent, hydroxyl which may have substituent, carboxyl which may have substituent, acyl, or amino which may have substituent, with the other not being H when one of Ra and Rb is H;

Rc = heterocyclic group which may have hydrocarbon group which may have H and substituent, or substituent;

Rd = H, fluorine, chlorine, hydrocarbon which may have substituent, heterocyclic group which may have substituent, hydroxyl which may have substituent, carboxyl which may have substituent, acyl, or amino which may have substituent;

Re = H, fluorine, chlorine, hydrocarbon which may have substituent, heterocyclic group which may have substituent, hydroxyl which may have substituent, carboxyl which may have substituent, acyl, or amino which may have substituent, with the other not being H when one of Rd and Re is H;

Xa = oxygen, or methylene which may have substituent;and

Ring C = benzene ring which may further have substituent.

The ring which Rc and Rd may mutually couple and may have substituent may be formed. INDEPENDENT CLAIMS are also included for the following:

(1) a 14273 receptor functional regulator (R1), comprising (C1);

(2) a prophylactic or therapeutic agent of diabetes, hyperlipidemia, anorexia or obesity, comprising (C1);

(3) stress regulator containing a compound having a group capable of releasing an aromatic ring and cation;

(4) prodrug (PD) of (C1) excluding 4-((2,4-dichloro phenyl)methoxy)-3-methoxybenzene propanoic acid ethylester;

(5) pharmaceutical (PC) containing (C1), its salt or its prodrug;

(6) regulating function of 14273 receptors, involves administering (C1) to mammal;

(7) screening ligand, an agonist or antagonist of 14273 receptors, using 14273 receptors, its partial peptide or its salt, and (C1); and

(8) kit for screening ligand, an agonist or antagonist of 14273 receptors, comprising 14273 receptors, its partial peptide or its salt, and (C1).

ACTIVITY - Antidiabetic; Anorectic; Antilipemic; Eating-Disorders-Gen.; Anabolic. No supporting data is given.

MECHANISM OF ACTION - Agonist or antagonist of 14273 receptors (claimed).

USE - (C1) is useful for regulating 14273 receptors and for preventing or treating diabetes, hyperlipidemia, obesity or anorexia, which involves regulating function of 14273 receptors by administering (C1) to the mammal. (C1) is useful for manufacturing 14273 receptor functional regulator, which is useful for manufacturing a prophylactic or therapeutic agent of diabetes, hyperlipidemia, obesity or anorexia. (C1) is also useful for manufacturing stress regulator and for screening ligand, an agonist or antagonist of 14273 receptors (all claimed).

ADVANTAGE - (C1) has excellent 14273 receptor functional regulation activity and thus enables to prevent or treat diabetes, hyperlipidemia, obesity and anorexia. TECHNOLOGY FOCUS:

ORGANIC CHEMISTRY - Preferred Regulator: In (R1), the compound is a carboxyl acid or its derivative containing two or more aromatic rings. The compound is represented by formula (I).

Ring A = aromatic ring with/without substituent;and

Ring B = aromatic ring with/without substituent in addition to Y-COOH, where Y-COOH is substituted by the arbitrary positions on Ring B.

Preferred Prodrug: PD is an ester of carboxylic acid.

EXTENSION ABSTRACT:

DEFINITIONS - Preferred Definitions: - Ra = fluorine, chlorine, or 1-6C alkoxy; - Rb = H or fluorine; - Rc = H or 1-6C alkyl, preferably H; - Rd = H or 6-14C aryl, preferably H; - Re = H, 1-6C alkoxy, or 6-14C aryloxy, preferably 6-14C aryloxy which may have substituent; - Xa = oxygen; - Ring C = benzene ring of formula (c);and - Rf = (i) 1-6C alkyl, (ii) hydroxyl, (iii) hydroxy, amino, 1-6C alkoxy-carbonyl-amino, carboxy, 1-6C alkoxy-carbonyl, mono-1-6C alkyl-carbamoyl, di-1-6C alkyl-carbamoyl, tri-1-6C alkyl silyl oxy, 1-6C alkoxy which may have nitrogen, sulfur, oxygen, or substituent chosen from 5-7 membered heterocyclic group which contains 1-4 heteroatom in addition to carbon atom, (iv) 6-14C aryloxy group, or (v) 7-16C aralkyl oxy group. - At least 1 of Ra and Rb is fluorine, chlorine, 1-6C alkyl, or 1-6C alkoxy. When Rd is H, Re is (i) hydroxyl, (ii) 1-6C alkoxy which may have substituent chosen from 1-6C alkoxy, carboxy, 1-6C alkoxy-carbonyl, 1-6C alkyl-carbonyl, carbamoyl,

mono-1-6C alkyl-carbamoyl, and di-1-6C alkyl-carbamoyl, (iii) 2-6C alkynyl oxy, (iv) 3-7C cycloalkyl oxy, (v) 6-14C aryloxy which may have substituent chosen from halogen, 1-6C alkyl, 1-6C alkoxy, and 1-6C alkyl-carbonyl, or (vi) nitrogen, sulfur, oxygen, or 5-10 membered heterocyclic-oxy group which contains 1-4 heteroatoms in addition to carbon atom. When Re is H, Rd is (i) 1-6C alkyl, (ii) 6-14C aryl, (iii) 1-6C alkoxy which may have nitrogen, sulfur, oxygen in addition to carbon atom, or 5-7 membered heterocyclic groups which contain 1-4 heteroatoms, (iv) 3-7C cycloalkyl oxy, (v) 6-14C aryloxy which may have substituent chosen from halogen and optionally halogenated 1-6C alkyl, (vi) 7-16C aralkyl oxy, or (vii) nitrogen, sulfur, oxygen, or 5-7 membered heterocyclic group which contains 1-4 heteroatoms in addition to carbon atom.

ADMINISTRATION - PC is administered at a dosage of 0.01-30 mg/kg, preferably 0.1-20 mg/kg, orally, or parenterally (rectally, intravenously).

SPECIFIC COMPOUNDS - (C1) is preferably 3,5-difluoro-4-((3-phenoxyphenyl) methoxy) benzene propanoic acid or 3-fluoro-4-((3-phenoxyphenyl) methoxy) benzene propanoic acid (claimed).

EXAMPLE - No relevant example is given.

FILE SEGMENT: CPI
MANUAL CODE: CPI: B06-H; B07-H; B10-B02A; B10-C03; B11-C08; B12-K04; B14-E11A; B14-E12; B14-F06; B14-J01B4; B14-S04

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS, MARPAT, WPIX' - CONTINUE? (Y)/N:y

L50 ANSWER 9 OF 11 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 145:27983 MARPAT Full-text
TITLE: Preparation of arylalkanoic acid derivatives for treatment of diabetes, hyperlipidemia, etc.
INVENTOR(S): Maekawa, Tsuyoshi; Ujikawa, Osamu; Abe, Hidenori; Nomura, Izumi
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 447 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057448	A1	20060601	WO 2005-JP22132	20051125

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1829863 A1 20070905 EP 2005-811684 20051125
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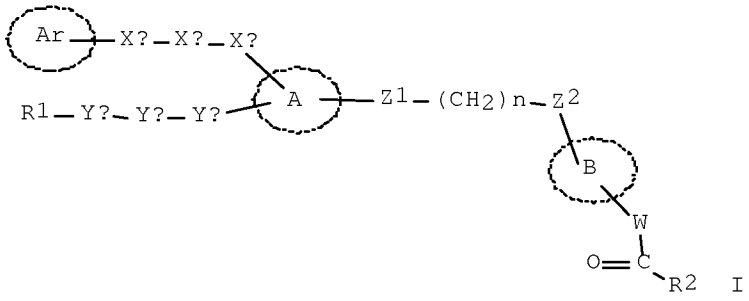
US 20080051418 A1 20080228 US 2007-791374 20070523
PRIORITY APPLN. INFO.: JP 2004-342635 20041126
WO 2005-JP22132 20051125

GI

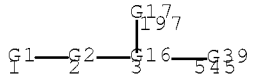


I

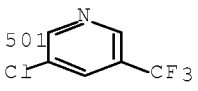
AB The title compds. I [wherein Ar represents an optionally substituted aromatic ring; Xa, Xc, Ya, Yc, Z1, and Z2 each represents a bond, O, S, CO, CS, etc.; Xb and Yb each represents a bond or a C1-20 divalent hydrocarbon group; R1 represents an optionally substituted hydrocarbon group; ring A represents an aromatic ring (other than benzimidazole) which may be further substituted; n is an integer of 1-8; ring B represents an aromatic ring (other than oxazole) which may be further substituted; W represents a C1-20 divalent saturated hydrocarbon group; and R2 represents OR8 or NR9R10 ; R8 represents H, optionally substituted hydrocarbon group; R9 and R10 each represents H, optionally substituted hydrocarbon group, optionally substituted heterocyclic ring, etc.; provisos are given] are prepared Thus, (2-(2-[4-propyl-3-(quinolin-2-ylmethoxy)-1H-pyrazol-1- yl]ethoxy)phenyl)acetic acid 1/2 calcium salt was prepared in 2 steps from 2-[4-propyl-3-(quinolin-2-ylmethoxy)-1H-pyrazol-1-yl]ethanol and (2-hydroxyphenyl)acetic acid Me ester. Compds. of this invention at 0.005% in feed for diabetic mice decreased blood glucose by 44% to 64%. Formulations are given.

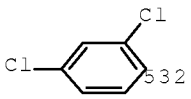
REFERENCE COUNT: 120 THERE ARE 120 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

MSTR 1

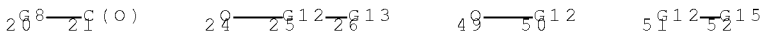


G1 = aryl (opt. substd. by 1 or more G3) /
heteroaryl <containing zero or more N, zero or more O, zero or more S> (opt. substd. by 1 or more G3) /
(Specifically claimed: 501 / 532 / Ph / pyridyl / oxazolyl / quinolinyl)

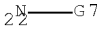




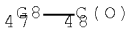
G2 = O / carbon chain <containing 1-6 C>
(opt. substd. by carbocycle <containing 3 or more C>) /
carbocycle <containing 3-6 C> (opt. substd. by G10) / C(O) /
20-1 21-3 / 24-1 26-3 / 49-1 50-3 / 51-1 52-3 /
(Specified claimed: CH2)



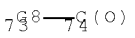
G3 = R / (Examples: F / Cl / Br / I /
alkyl <containing 1-10 C> (opt. substd. by (1-3) G4) /
alkoxy <containing 1-10 C> (opt. substd. by (1-3) G4) /
aryl <containing 6-14 C> (opt. substd. by (up to 1) G6))
G4 = alkoxy <containing 1-6 C>
(opt. substd. by (1-3) G5) / F / Cl / Br / I / NO2 / OH /
NH2
G5 = F / Cl / Br / I
G6 = alkyl <containing 1-6 C>
(opt. substd. by (1-3) G5) / alkoxy <containing 1-6 C>
(opt. substd. by (1-3) G5) / F / Cl / Br / I / NO2 / OH /
NH2
G7 = hydrocarbyl (opt. substd.) /
R <"protecting group"> / (Specified claimed: alkyl
<containing 1-4 C>)
G8 = NH / 22



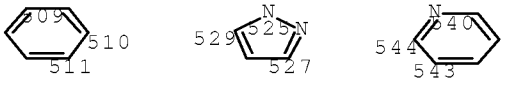
G10 = carbon chain <containing 1 or more C> /
carbocycle <containing 3 or more C>
G12 = carbon chain <containing 1-6 C>
(opt. substd. by carbocycle <containing 3 or more C>) /
carbocycle <containing 3-6 C> (opt. substd. by G10)
G13 = O / C(O) / 47-25 48-3



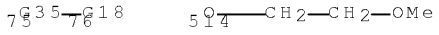
G15 = O / C(O) / 73-51 74-3



G16 = carbocycle <aromatic> (opt. substd. by 1 or more G24) / heterocycle <containing zero or more N, zero or more O, zero or more S, aromatic> (opt. substd. by G24) / (Specifically claimed: 510-2 511-545 509-197 / 525-2 529-545 527-197 / 544-2 543-545 540-197)

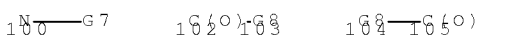


G17 = carbon chain <containing 1 or more C> (opt. substd.) / 75 / (Specifically claimed: alkyl <containing 1-10 C> (opt. substd.) / OPr-i / 514)



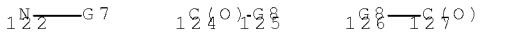
G18 = carbon chain <containing 1 or more C> (opt. substd.) / (Specifically claimed: alkyl <containing 1-10 C> (opt. substd. by 1 or more G20))

G19 = O / S / NH / 100 / SO2 / 102-3 103-89 / 104-3 105-89



G20 = R / (Examples: F / Cl / Br / I / alkoxy <containing 1-4 C> / OH / NO2 / NH2 / acyl / aryl <containing 6-14 C> / heterocycle <non-aromatic>)

G21 = O / S / NH / 122 / SO2 / 124-3 125-92 / 126-3 127-92



G23 = R <"linking group"> / (Specifically claimed: 139-3 140-5)

G24 = R / (Examples: alkyl <containing 1-4 C> / OH / alkoxy <containing 1-4 C> / alkoxy <containing 1 or more C> (substd. by 1 or more aryl <containing 6 or more C>) / F / Cl / Br / I)

G28 = arylene (opt. substd. by 1 or more G38) / heteroarylene <containing zero or more N, zero or more O,

zero or more S> (opt. substd. by 1 or more G38) /
(Specifically claimed: phenylene / 200-4 199-6 /
210-4 208-6 / 220-4 223-6 / 230-4 237-6 / 240-4 246-6 /
250-4 255-6 / 260-4 264-6 / 269-4 270-6 / 279-4 278-6 /
289-4 293-6 / 299-4 307-6 / 309-4 316-6 / 319-4 325-6 /
329-4 334-6 / 338-4 339-6 / 344-4 346-6 / 350-4 353-6 /
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454-4 452-6 / 460-4 462-6 / 466-4 467-6 / 473-4 474-6 /
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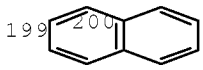
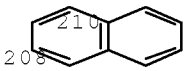
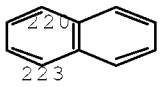
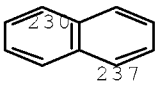
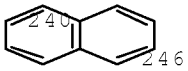
496-4 498-6 / 521-4 520-6)

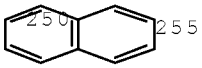


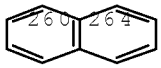


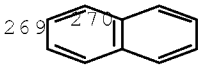


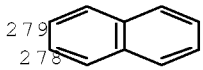


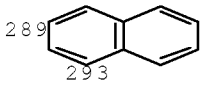


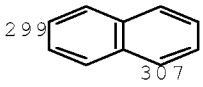


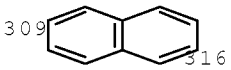


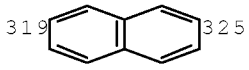


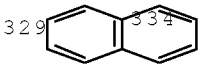


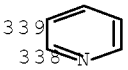


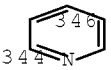


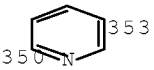


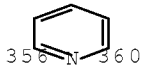


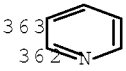


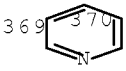


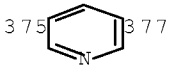


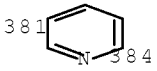


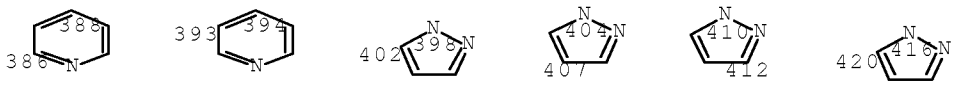


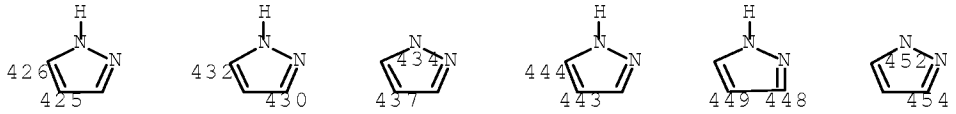


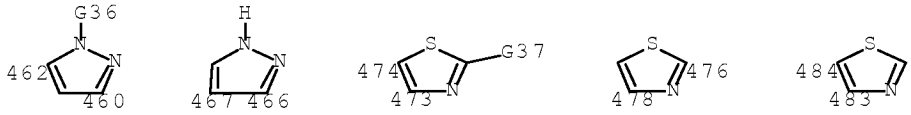


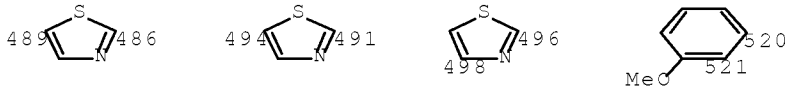








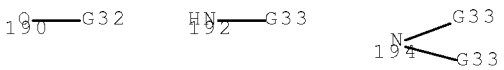




G29 = carbon chain <containing 1-20 C, saturated> (opt. substd. by carbocycle <containing 3 or more C, saturated>) / carbocycle <containing 3-20 C, saturated> (opt. substd. by G30) / (Specifically claimed: CH2CH2 / CH2)

G30 = carbon chain <containing 1 or more C, saturated> / carbocycle <containing 3 or more C, saturated>

G31 = OH / 190 / NH2 / 192 / 194 / heterocycle <containing 1 or more N, attached through 1 or more N>



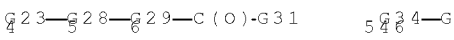
G32 = hydrocarbyl (opt. substd.)

G33 = hydrocarbyl (opt. substd.) / heterocycle <containing zero or more N, zero or more O, zero or more S> (opt. substd.) / acyl

G34 = (1-4) CH2

G35 = O / S / NH / 82 / SO2 / 84-3 85-76 / 86-3 87-76 / carbocycle <containing 3-6 C> (opt. substd. by G10) / 88-3 90-76 / 91-3 92-76 / 93-3 94-76

G36 = H / Et / CH2Ph / Me
G37 = H / Me
G38 = R / (Examples: alkyl <containing 1-10 C>
(opt. substd. by aryl <containing 6-14 C>) /
alkoxy <containing 1-10 C> / aryl <containing 6-14 C> /
cycloalkyl <containing 3-10 C>)
G39 = 4 / 546



G40 = R <"leaving group"> / (Examples: OH / F / Cl / Br /
I / alkylsulfonyloxy <containing 1-4 C> /
arylsulfonyloxy <containing 6-10 C>
(opt. substd. by alkyl <containing 1-4 C>))
Patent location: claim 1
Note: or salts
Note: substitution is restricted
Note: also incorporates claim 31

AN 145:27983 MARPAT Full-text
ANPL 2006:510367

L50 ANSWER 10 OF 11 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 137:109278 MARPAT Full-text
TITLE: Preparation of alkanoic acid derivatives as preventives and/or remedies for diabetes, hyperlipidemia, impaired glucose tolerance, and retinoid-related receptor regulators
INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Takakura, Nobuyuki; Odaka, Hiroyuki; Kimura, Hiroyuki; Ito, Tatsuya
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053547	A1	20020711	WO 2001-JP11611	20011228
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2002217550	A1	20020716	AU 2002-217550	20011228

JP 2002265457	A	20020918	JP 2001-402099	20011228
JP 4148681	B2	20080910		
EP 1357115	A1	20031029	EP 2001-272544	20011228
EP 1357115	B1	20090617		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 433964	T	20090715	AT 2001-272544	20011228
US 20040058965	A1	20040325	US 2003-465938	20030626
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PRIORITY APPLN. INFO.:			JP 2000-402648	20001228
			WO 2001-JP11611	20011228

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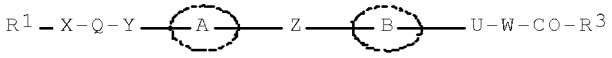
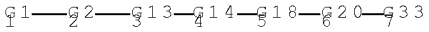


I

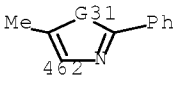
AB Alkanoic acid derivs. represented by the general formula (I) or salts thereof [wherein R1 = optionally substituted five-membered aromatic heterocyclic group; X = a bond, O, S, CO, C(:S), CR4(OR6), NR6 (wherein R4 = H, optionally substituted hydrocarbyl; R5 = H, hydroxy-protecting group; R6 = H, optionally hydrocarbyl, amino-protecting group); Q = C1-20 divalent hydrocarbon group; Y = bond, O, S, S(:O), SO2, NR7, CONR7, NR7CO, (wherein R7 = H, optionally substituted hydrocarbon group, amino-protecting group); ; ring A = an aromatic ring which may have one to three substituents; Z = (CH2)n-Z1 (wherein n = an integer of 1 to 8; Z1 = O, S, SO, SO2, NR16; wherein R16 = H, optionally substituted hydrocarbon group); ring B = an optionally mono- to tri-substituted pyridine, benzene, or naphthalene ring; U = a bond, O, S, SOP, SO2; W = C1-20 divalent hydrocarbon group; R3; R3 = OH, optionally substituted hydrocarbyloxy, NR9R10 (wherein R9, R10 = H, optionally substituted hydrocarbyl, heterocyclyl, or acyl; or R9 and R10 are linked to each other to form a ring); with the proviso that when B is an optionally mono- to tri-substituted benzene ring, U is a bond] are prepared Also disclosed are preventives and/or remedies for diabetes, hyperlipidemia, and impaired glucose tolerance, retinoid-related receptor regulators, ligands for peroxisome-proliferator response receptor and retinoid X receptor, insulin resistance improvers containing the compds. I or salts or prodrugs thereof. Thus, a 40% toluene solution (1.74 g) of di-Et azodicarboxylate was added dropwise to a mixture of 3-(5-methyl-2-phenyl-4-oxazolylmethoxy)-5- isoxazolylmethanol 0.859, Me 2-(2-hydroxyphenyl)acetate 0.499, Ph3P 0.944, and 15 mL THF at room temperature and stirred for 15 h to give Me 2-[2-[3-(5-methyl-2-phenyl-4-oxazolylmethoxy)-5- isoxazolylmethoxy]phenyl]acetate as an oil which was dissolved in MeOH/THF (1/1, 20 mL), treated with 10 mL 1 N aqueous NaOH, stirred at room temperature for 15 h, and acidified with 1 N aqueous HCl to give 52% 2-[2-[3-(5-methyl-2-phenyl-4-oxazolylmethoxy)-5-isoxazolylmethoxy]phenyl]acetic acid (II). When a feed containing 0.005% II was fed freely to type II diabetic mice for 4 days, the blood sugar and lipid level was lowered by 54 and 96%, resp. A capsule and a tablet formulation containing 2-[2-ethoxy-5-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]benzyloxy]phenyl]acetic acid Me ester were prepared

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

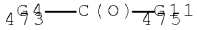
MSTR 1



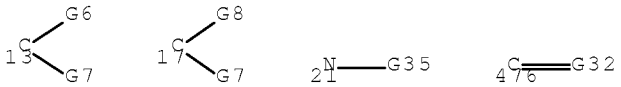
G1 = heteroaryl <1 or more 5-membered rings only>
(opt. substd. by (1-3) G26) / (Specifically claimed:
oxazolyl / thiazolyl / triazolyl / pyrazolyl / 462)



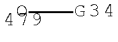
G2 = carbon chain <containing 1-20 C>
(opt. substd. by carbocycle <containing 3 or more C>) /
carbocycle <containing 3-20 C> (opt. substd. by G3) /
9-1 10-3 / 11-1 12-3 / 31-1 33-3 / 468-1 471-3 /
473-1 475-3 / (Specifically claimed: alkylene <containing
1-6 C> / alkenylene <containing 1-6 C> / 466-1 467-3)



G3 = carbon chain <containing 1 or more C> /
carbocycle <containing 3 or more C>
G4 = carbon chain <containing 1-20 C>
(opt. substd. by carbocycle <containing 3 or more C>) /
carbocycle <containing 3-20 C> (opt. substd. by G3) /
(Specifically claimed: alkylene <containing 1-6 C> /
alkenylene <containing 1-6 C>)
G5 = O / S / 476 / 13 / 17 / NH / 21

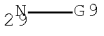


G6 = H / carbocycle (opt. substd.)
G7 = OH / 479



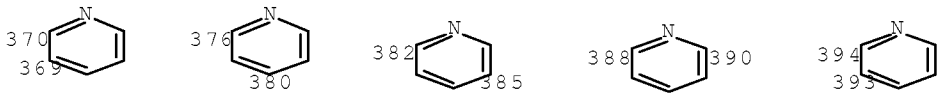
G8 = carbon chain (opt. substd.)
G9 = hydrocarbyl (opt. substd.) / R <"protecting group">
G10 = O / S / S(O) / SO2 / NH / 23 / 27-11 28-3

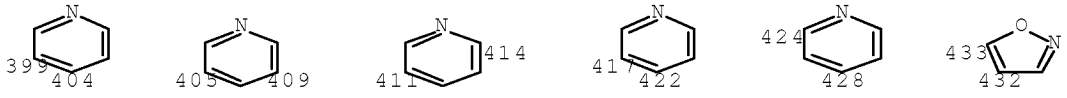
G11 = NH / 29

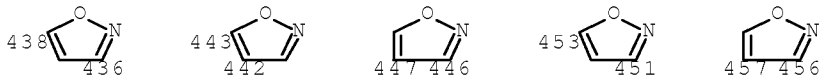


G12 = O / S / S(O) / SO2 / NH / 34 / 38-32 39-3

G13 = arylene (opt. substd.) /
heteroarylene (opt. substd. by (1-3) G30) /
(Specifically claimed: phenylene (opt. substd. by (1-3) G30)
/ 370-2 369-4 / 376-2 380-4 / 382-2 385-4 / 388-2 390-4 /
393-2 394-4 / 399-2 404-4 / 405-2 409-4 / 411-2 414-4 /
422-2 417-4 / 428-2 424-4 / 433-2 432-4 / 438-2 436-4 /
442-2 443-4 / 447-2 446-4 / 451-2 453-4 / 456-2 457-4)

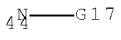






G14 = 40-3 41-5 / 42-3 43-5

G15 = (1-8) CH2
G16 = O / S / S(O) / SO2 / NH / 44



G17 = hydrocarbyl (opt. substd.)
G18 = 47-4 46-6 / 53-4 57-6 / 59-4 62-6 / 65-4 67-6 /
70-4 71-6 / 76-4 81-6 / 82-4 86-6 / 88-4 91-6 /
99-4 94-6 / 105-4 101-6 / phenylene (opt. substd.) /
138-4 137-6 / 148-4 146-6 / 158-4 161-6 / 168-4 175-6 /
178-4 184-6 / 188-4 193-6 / 198-4 202-6 / 207-4 208-6 /
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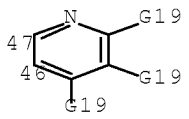
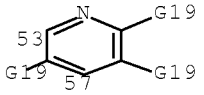
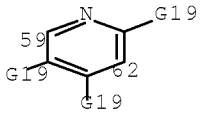
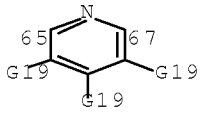
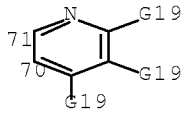
257-4 263-6 / 267-4 272-6

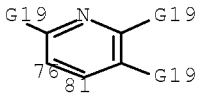


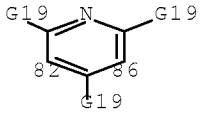


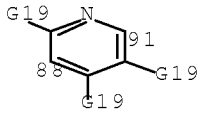


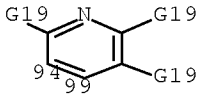


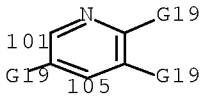


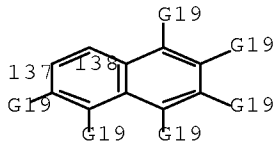


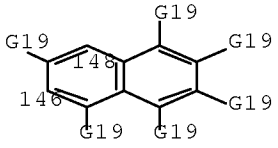


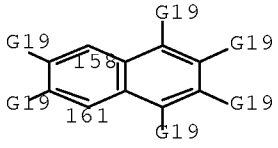


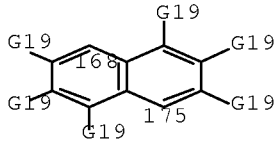


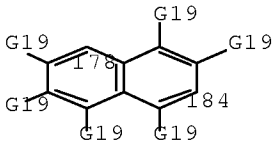


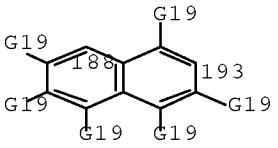


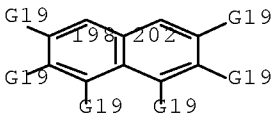


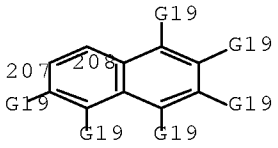


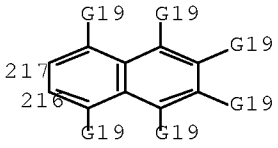


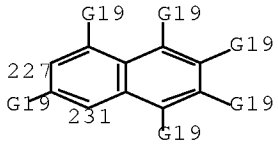


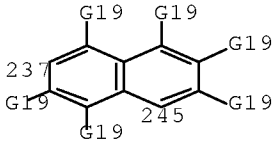


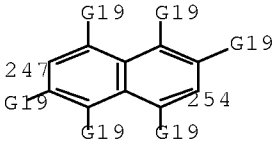


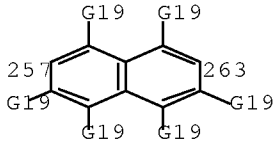


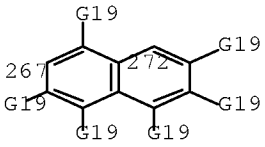












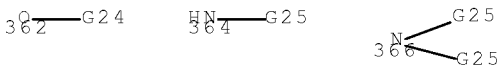
G19 = H / R / (Specifically claimed: alkyl <containing 1-4 C> / aryl <containing 6-14 C> / OH / alkoxy <containing 1-4 C> / alkoxy <containing 1 or more C> (substd. by 1 or more aryl <containing 6 or more C>) / F / Cl / Br / I)

G20 = carbon chain <containing 1-20 C> (opt. substd. by carbocycle <containing 3 or more C>) / carbocycle <containing 3-20 C> (opt. substd. by G3) / 360-5 361-7 / (Specifically claimed: alkylene <containing 1-6 C> / alkenylene <containing 2-6 C> / CH2)

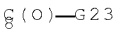
$^{360}G^{21}-G^{22}-^{361}$

G21 = O / S / S(O) / SO2

G22 = carbon chain <containing 1-20 C>
(opt. substd. by carbocycle <containing 3 or more C>) /
carbocycle <containing 3-20 C> (opt. substd. by G3) /
(Specifically claimed: alkylene <containing 1-6 C> /
alkenylene <containing 2-6 C>)
G23 = OR / 362 / NH2 / 364 / 366 /
heterocycle <containing 1 or more N,
attached through 1 or more N>



G24 = hydrocarbyl (opt. substd.)
G25 = hydrocarbyl (opt. substd.) /
heterocycle <containing zero or more N, zero or more O,
zero or more S> (opt. substd.) / acyl
G26 = R / (Specifically claimed: alkyl <containing 1-10 C>
(opt. substd. by (1-3) G27) / cycloalkyl <containing 3-10 C>
(opt. substd. by 1 or more G29) /
heteroaryl <containing zero or more N, zero or more O,
zero or more S> (opt. substd. by (1-3) G29) /
aryl <containing 6-14 C> (opt. substd. by (1-3) G29))
G27 = alkoxy <containing 1-6 C>
(opt. substd. by (1-3) G28) / F / Cl / Br / I / NO2 / OH /
NH2
G28 = F / Cl / Br / I
G29 = alkyl (opt. substd. by (1-3) G28) /
alkoxy <containing 1-6 C> (opt. substd. by (1-3) G28) / F /
Cl / Br / I / NO2 / OH / NH2
G30 = alkyl <containing 1-4 C> / OH /
alkoxy <containing 1-4 C> / alkoxy <containing 1 or more C>
(substd. by 1 or more aryl <containing 6 or more C>) / F /
Cl / Br / I
G31 = O / S
G32 = O / S
G33 = 8 / CN / CH2OH



G34 = R <"protecting group">
G35 = hydrocarbyl (opt. substd.) /
R <"protecting group"> / (Specifically claimed: alkyl
<containing 1-4 C>)
Patent location: claim 1
Note: or salts
Note: substitution is restricted
Note: also incorporates claim 29 and 30

AN 137:109278 MARPAT Full-text
ANPL 2002:521714

L50 ANSWER 11 OF 11 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 135:371744 MARPAT Full-text
TITLE: Preparation of 2-[2-amino- or

2-(N-heterocyclyl)ethyl]-6-(4-biphenylylmethoxy)tetralin derivatives as β-secretase inhibitors

INVENTOR(S): Miyamoto, Masaomi; Matsui, Junji; Fukumoto, Hiroaki; Tarui, Naoki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087293	A1	20011122	WO 2001-JP4144	20010518
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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AU 2001058771	A	20011126	AU 2001-58771	20010518
JP 2002037731	A	20020206	JP 2001-148811	20010518
EP 1283039	A1	20030212	EP 2001-932128	20010518
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CN 1251671	C	20060419	CN 2001-811837	20010518
US 20040110743	A1	20040610	US 2002-275339	20021107
US 20050228020	A1	20051013	US 2005-142885	20050601

PRIORITY APPLN. INFO.: JP 2000-152758 20000519
WO 2001-JP4144 20010518
US 2002-275339 20021107

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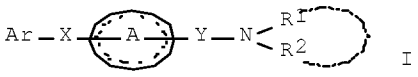


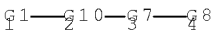
I

AB β-Secretase inhibitors are provided, which contain compds. of the general formula (I) or salts thereof [wherein Ar is an aromatic group; X is a divalent group selected from among O, S, CO, SO, SO2, NR8, CONR8, SO2NR8 and CO2 (wherein R8 is hydrogen or optionally substituted hydrocarbyl or acyl), a divalent C1-6 aliphatic hydrocarbon group which may contain one or two of these divalent groups, or a free valency; Y is a divalent group selected from among O, S, CO, SO, SO2, NR8, CONR8, SO2NR8, and CO2, or a divalent C1-6 aliphatic hydrocarbon group which may contain one or two of these divalent groups; R1 and R2 are each hydrogen or optionally substituted hydrocarbon

group or NR1R2 together forms an optionally substituted heterocyclyl; and A is a ring which may be further substituted]. These compds. are useful for the prevention or treatment of (1) neurodegenerative diseases such as Alzheimer's disease and Parkinson's disease, (2) neuropathy during cerebral vascular disorders, head trauma, spinal code injury, after effect of encephalitis, or cerebral palsy, (3) memory disorders, and (4) mental disorders owing to increasing the secretion of amyloid precursor protein N-terminal fragment (aAPPα) and/or inhibiting the production and secretion of β-amyloid protein. Thus, etherification of 4-chloromethylbiphenyl (preparation given) with (R)-(+)-N,N-dimethyl-6-hydroxytetralin-2-acetamide (preparation given) in the presence of K2CO3 in DMF at 80° for 3 h gave 96.7% (R)-N,N-dimethyl-6-(4-biphenylylmethoxy)tetralin-2-acetamide which was reduced by sodium dihydro-bis(2-methoxyethoxy)aluminate in PhMe at room temperature for 1.5 h to give, after workup using 4 N aqueous NaOH and acidification with concentrated HCl, (R)-(+)-6-(4-biphenylylmethoxy)-2-[2- (dimethylamino)ethyl]tetralin hydrochloride monohydrate (II). II and 6-(4-biphenylylmethoxy)-2-[2-(piperidin-1-yl)ethyl]tetralin hydrochloride showed IC50 of 2.93 + 10-6 and 3.49 + 10-7 M, resp., against recombinant β-secretase. Formulations, e.g. a tablet formulation containing II, lactose, corn starch, corn starch paste, magnesium stearate, and CM-cellulose calcium salt, were also described.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

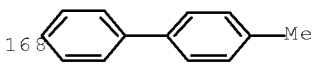
MSTR 1



G1 = aryl (opt. substd. by 1 or more G21) / heteroaryl (opt. substd. by 1 or more G21) / 5 / (Specifically claimed: biphenylyl)

G2 = O / S / C(O) / S(O) / SO2 / NH / 7 / 9-2 10-6 / 13-2 14-6 / carbon chain <containing 1-6 C> / carbocycle <containing 3-6 C, non-aromatic> / (Specifically claimed: 35-2 34-6 / alkylene <containing 1-3 C>)

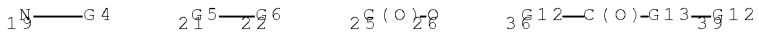
G3 = aryl (opt. substd.) / heteroaryl (opt. substd.) / (Specifically claimed: biphenylyl) / (Example: 168)



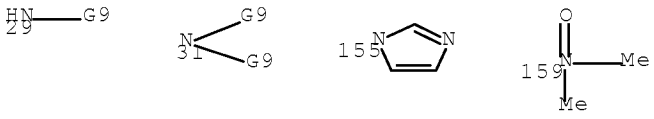
G4 = hydrocarbyl (opt. substd.) / acyl /
(Examples: alkyl <containing 1-6 C>
(opt. substd. by 1 or more G15) / 236 / 238 / 241 / 243)

G5 = C(O) / SO2
G6 = NH / 17

G7 = O / S / C(O) / S(O) / SO2 / NH / 19 / 21-2 22-4 /
25-2 26-4 / carbon chain <containing 1-6 C> /
carbocycle <containing 3-6 C, non-aromatic> /
(Specifically claimed: alkylene <containing 1-3 C> /
36-2 39-4)



G8 = NH2 / 29 / 31 / heterocycle <containing 1 or more
N, attached through 1 or more N> / (Examples: pyrrolidino /
piperidino / 155 / 159)



G9 = hydrocarbyl (opt. substd.) /
(Specifically claimed: alkyl <containing 1-6 C>
(opt. substd.)) / (Examples: Me / Et)
G10 = carbocycle (opt. substd. by 1 or more G23) /
heterocycle (opt. substd. by 1 or more G23) /
(Specifically claimed: aryl (opt. substd.) /
heteroaryl (opt. substd.) / phenylene (opt. substd. by 1 or
more G16) / 44-1 45-3 / 48-1 50-3 / 52-1 55-3 /
61-1 60-3 / 76-1 80-3 / 86-1 91-3 / 96-1 102-3 /
106-1 113-3 / 115-1 120-3 / 125-1 131-3 / 135-1 142-3 /
145-1 153-3) / (Examples: 177-1 176-3 / 183-1 187-3 /
189-1 192-3 / 195-1 197-3 / 200-1 201-3 / 206-1 211-3 /
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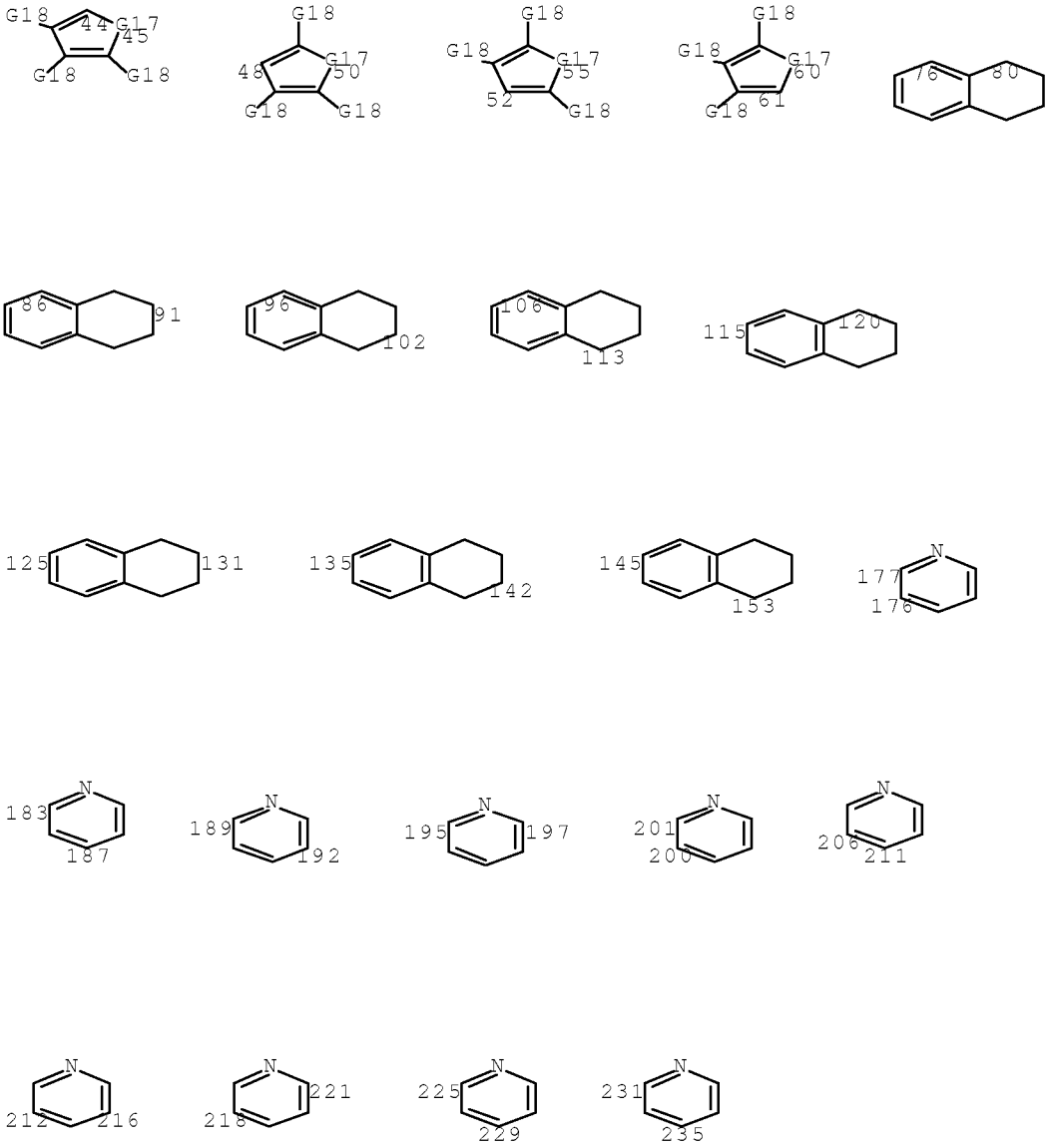
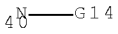


G11 = (1~3) CH2
G12 = (0~3) CH2
G13 = NH / 40 / O



G14 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more G15) /
alkylcarbonyl <containing 1-6 C>
G15 = F / Cl / Br / I
G16 = F / Cl / Br / I / alkoxy <containing 1-6 C>
G17 = carbocycle <monocyclic, 4-, 5-, 6-,
7- or 8-membered rings only> (opt. substd.) /
heterocycle <2 or more C fusion atoms, monocyclic, 4-, 5-,
6-, 7- or 8-membered rings only> (opt. substd.)

```
G18    = H / R
G19    = H / R / OH (opt. substd.) / NH2 (opt. substd.)
G20    = NH2 (opt. substd.)
G21    = R / (Examples: F / Cl / Br / I / NO2 / CN /
         alkyl <containing 1-6 C> (opt. substd. by 1 or more G15) /
         alkyl <containing 1-6 C> (substd. by aryloxy <containing
         6-10 C>) / alkenyl <containing 2-6 C>
         (substd. by aryl <containing 6-10 C>
         (substd. by alkyl <containing 1-6 C>)) /
         cycloalkyl <containing 3-6 C> (opt. substd. by 1 or more G15)
         / alkyl <containing 1 or more C> (substd. by G22) /
         alkoxy <containing 1-6 C> (opt. substd. by 1 or more G15) /
         alkylthio <containing 1-6 C> (opt. substd. by 1 or more G15)
         / OH / aryloxy <containing 6-10 C> (opt. substd.) /
         alkoxy <containing 1 or more C>
         (substd. by 1 or more aryl (substd. by aryl)) / NH2 /
         alkylamino <containing 1-6 C> /
         dialkylamino <each alkyl containing 1-6 C> /
         heterocycle <containing 1 or more N,
         attached through 1 or more N, 5-,
         6- or 7-membered rings only> (opt. substd.) / acyl /
         acylamino / acyloxy)
G22    = aryl <containing 6 or more C> (opt. substd.) / R
G23    = R / (Examples: F / Cl / Br / I /
         alkyl <containing 1-6 C> (opt. substd. by 1 or more G15) /
         alkoxy <containing 1-6 C> (opt. substd. by 1 or more G15) /
         OH / NH2)
Patent location:            claim 1
Note:                       or salts
Note:                       additional interruptions in G2 and G7 also claimed
Note:                       total carbon atoms in G12 is 3 or less
Note:                       additional ring formation also disclosed

AN   135:371744  MARPAT  Full-text
ANPL 2001:850932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                D SCAN

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                D QUE STAT

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L8              1 SEA SSS SAM L7
                D QUE STAT

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L9          117 SEA SSS FUL L7
                SAVE TEMP L9 CHA846PSET1/A
L10          47 SEA SPE=ON  ABB=ON  PLU=ON  L4 AND L9
L11          70 SEA SPE=ON  ABB=ON  PLU=ON  L9 NOT L4
                D SCAN

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L12             QUE SPE=ON  ABB=ON  PLU=ON  YASUMA, T?/AU,AUTH
L13             QUE SPE=ON  ABB=ON  PLU=ON  NEGORO, N?/AU,AUTH
L14             QUE SPE=ON  ABB=ON  PLU=ON  FUKATSU, K?/AU,AUTH
L15             QUE SPE=ON  ABB=ON  PLU=ON  TAKEDA/CS,SO,PA

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L16             5 SEA SPE=ON  ABB=ON  PLU=ON  L9
L17             2 SEA SPE=ON  ABB=ON  PLU=ON  L16 AND (L12 OR L13 OR L14 OR L15)

L18             0 SEA SPE=ON  ABB=ON  PLU=ON  L1 NOT L17
L19             3 SEA SPE=ON  ABB=ON  PLU=ON  L16 NOT L17
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L22             0 SEA SPE=ON  ABB=ON  PLU=ON  L21 AND (L12 OR L13 OR L14 OR L15)

L23             2 SEA SPE=ON  ABB=ON  PLU=ON  L21 NOT L22
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                D TRI
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                SAVE TEMP L28 CHA846WPIS/A
                D TRI 1-9

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L29            3 SEA SPE=ON  ABB=ON  PLU=ON  (RAVAQA/DCN OR RAVAQ6/DCN OR
                  RAVAQ7/DCN OR RAVAQ8/DCN OR RAVAQ9/DCN OR RB1JGT/DCN OR
                  RB1JH3/DCN OR RB457W/DCN OR RB457X/DCN) OR L28/DCR
L30            1 SEA SPE=ON  ABB=ON  PLU=ON  L29 AND (L12 OR L13 OR L14 OR L15)

L31            2 SEA SPE=ON  ABB=ON  PLU=ON  L29 NOT L30
                  D TRI 1-2

     FILE 'BEILSTEIN' ENTERED AT 13:24:02 ON 05 OCT 2009
                D QUE L9
L32            0 SEA SSS SAM L7
L33            0 SEA SSS FUL L7

     FILE 'STNGUIDE' ENTERED AT 13:26:05 ON 05 OCT 2009

     FILE 'CHEMINFORMRX' ENTERED AT 13:26:42 ON 05 OCT 2009
                D QUE L7
                D QUE L9
L34            0 SEA SSS SAM L7 (     0 REACTIONS)
L35            0 SEA SSS FUL L7 (     0 REACTIONS)

     FILE 'LREGISTRY' ENTERED AT 13:27:50 ON 05 OCT 2009
L36               STR L7

     FILE 'MARPAT' ENTERED AT 13:29:40 ON 05 OCT 2009
L37            1 SEA SSS SAM L36
                  D SCAN
                  D QUE STAT
L38           18 SEA SSS FUL L36
                  SAVE TEMP L38 CHA846MARP/A

     FILE 'HCAPLUS' ENTERED AT 13:31:11 ON 05 OCT 2009
L39           18 SEA SPE=ON  ABB=ON  PLU=ON  L38
L40            4 SEA SPE=ON  ABB=ON  PLU=ON  L39 AND (L12 OR L13 OR L14 OR L15)

L41           14 SEA SPE=ON  ABB=ON  PLU=ON  L39 NOT L40

     FILE 'MARPAT' ENTERED AT 13:31:33 ON 05 OCT 2009
L42            4 SEA SPE=ON  ABB=ON  PLU=ON  L40 AND L38
L43           14 SEA SPE=ON  ABB=ON  PLU=ON  L41
L44           14 SEA SPE=ON  ABB=ON  PLU=ON  L43 AND L38

     FILE 'STNGUIDE' ENTERED AT 13:32:14 ON 05 OCT 2009

     FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 13:32:18 ON 05 OCT 2009
L45            0 SEA SPE=ON  ABB=ON  PLU=ON  L9

     FILE 'STNGUIDE' ENTERED AT 13:32:28 ON 05 OCT 2009

     FILE 'HCAPLUS' ENTERED AT 13:32:38 ON 05 OCT 2009
                D SCAN L1

     FILE 'STNGUIDE' ENTERED AT 13:32:43 ON 05 OCT 2009

     FILE 'HCAPLUS, WPIX, MEDLINE, BIOSIS, EMBASE, JAPIO, PASCAL, CABA,
     CEABA-VTB, LIFESCI, BIOENG, BIOTECHNO, BIOTECHDS, DRUGU, DRUGB, VETU,
```

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     VETB, SCISEARCH, CONFSCI, DISSABS, RDISCLOSURE' ENTERED AT 13:33:48 ON 05
     OCT 2009
L46           57 SEA SPE=ON  ABB=ON  PLU=ON  (L12 OR L13 OR L14) AND (DIABET?
                 OR ANTIDIABET? OR HYPOGLYCEM? OR HYPERGLYCEM? OR GLYCEM? OR
                 HYPOGLYCAEM? OR HYPERGLYCAEM? OR GLYCAEM?)/IT,TI,CC,CT,ST,STP
L47           47 SEA SPE=ON  ABB=ON  PLU=ON  L46 AND L15

     FILE 'STNGUIDE' ENTERED AT 13:35:36 ON 05 OCT 2009

     FILE 'HCAPLUS, WPIX, MEDLINE, BIOSIS, EMBASE, JAPIO, PASCAL, CABA,
     CEABA-VTB, LIFESCI, BIOENG, BIOTECHNO, BIOTECHDS, DRUGU, DRUGB, VETU,
     VETB, SCISEARCH, CONFSCI, DISSABS, RDISCLOSURE' ENTERED AT 13:42:52 ON 05
     OCT 2009
L48           11 SEA SPE=ON  ABB=ON  PLU=ON  L47 AND (?BENZOFURAN? OR ?INDEN?
                 OR ?NAPHTHALEN? OR ?BENZOCYCLOHEPT?)

     FILE 'STNGUIDE' ENTERED AT 13:45:51 ON 05 OCT 2009
                 D QUE STAT L9
                 D QUE NOS L20
                 D L20 1-
                 D QUE NOS L19
                 D QUE NOS L23
                 D QUE NOS L26
                 D QUE STAT L28
                 D QUE NOS L31
                 D QUE STAT L33
                 D QUE STAT L35
                 D QUE STAT L38
                 D QUE NOS L44

     FILE 'HCAPLUS, USPATFULL, TOXCENTER, WPIX, MARPAT' ENTERED AT 13:48:50 ON
     05 OCT 2009
L49           16 DUP REM L19 L23 L26 L31 L33 L35 L44 (7 DUPLICATES REMOVED)
                       ANSWERS '1-3' FROM FILE HCAPLUS
                       ANSWER '4' FROM FILE USPATFULL
                       ANSWERS '5-16' FROM FILE MARPAT
                 SAVE TEMP L49 CHA846MAINP/A

     FILE 'STNGUIDE' ENTERED AT 13:49:07 ON 05 OCT 2009

     FILE 'HCAPLUS, USPATFULL, MARPAT' ENTERED AT 13:49:22 ON 05 OCT 2009
                 D IBIB ED ABS HITIND HITSTR 1-3

     FILE 'STNGUIDE' ENTERED AT 13:49:25 ON 05 OCT 2009

     FILE 'HCAPLUS, USPATFULL, MARPAT' ENTERED AT 13:49:41 ON 05 OCT 2009
                 D IBIB AB HITSTR 4

     FILE 'STNGUIDE' ENTERED AT 13:49:48 ON 05 OCT 2009

     FILE 'HCAPLUS, USPATFULL, MARPAT' ENTERED AT 13:50:05 ON 05 OCT 2009
                 D IBIB ABS HIT 5

     FILE 'STNGUIDE' ENTERED AT 13:50:06 ON 05 OCT 2009

     FILE 'HCAPLUS, USPATFULL, MARPAT' ENTERED AT 13:50:25 ON 05 OCT 2009
                 D IBIB ABS HIT 6-16

     FILE 'STNGUIDE' ENTERED AT 13:50:56 ON 05 OCT 2009
                 D QUE NOS L17
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             D QUE NOS L22
             D QUE NOS L25
             D QUE NOS L30
             D QUE NOS L42
             D QUE L48

     FILE 'HCAPLUS, CASREACT, WPIX, MARPAT' ENTERED AT 13:52:19 ON 05 OCT 2009
L50          11 DUP REM L17 L22 L25 L30 L42 L48 (8 DUPLICATES REMOVED)
                    ANSWERS '1-7' FROM FILE HCAPLUS
                    ANSWER '8' FROM FILE WPIX
                    ANSWERS '9-11' FROM FILE MARPAT
               SAVE TEMP L50 CHA846INV/A

     FILE 'STNGUIDE' ENTERED AT 13:52:39 ON 05 OCT 2009

     FILE 'HCAPLUS, MARPAT, WPIX' ENTERED AT 13:53:07 ON 05 OCT 2009
               D IBIB ED ABS HITIND HITSTR 1-7

     FILE 'STNGUIDE' ENTERED AT 13:53:13 ON 05 OCT 2009

     FILE 'HCAPLUS, MARPAT, WPIX' ENTERED AT 13:53:52 ON 05 OCT 2009
               D IFULL HITSTR 8

     FILE 'STNGUIDE' ENTERED AT 13:53:53 ON 05 OCT 2009

     FILE 'HCAPLUS, MARPAT, WPIX' ENTERED AT 13:54:19 ON 05 OCT 2009
               D IBIB ABS HIT 9-11

     FILE 'STNGUIDE' ENTERED AT 13:54:27 ON 05 OCT 2009

     FILE 'STNGUIDE' ENTERED AT 13:54:58 ON 05 OCT 2009


     FILE HOME

     FILE STNGUIDE
     FILE CONTAINS CURRENT INFORMATION.
     LAST RELOADED: Oct  2, 2009 (20091002/UP).

     FILE ZCAPLUS

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     FILE COVERS 1907 - 5 Oct 2009  VOL 151 ISS 15
     FILE LAST UPDATED: 4 Oct 2009  (20091004/ED)
     REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Aug 2009
     USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Aug 2009

     ZCAplus now includes complete International Patent Classification (IPC)
     reclassification data for the third quarter of 2009.

     CAS Information Use Policies apply and are available at:
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http://www.cas.org/legal/infopolicy.html

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information. This enhancement may impact record import into
database management software. For additional information, refer
to NEWS 9.

FILE HCAPLUS

FILE COVERS 1907 - 5 Oct 2009 VOL 151 ISS 15
FILE LAST UPDATED: 4 Oct 2009 (20091004/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

HCAplus now includes complete International Patent Classification (IPC)
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substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family
of databases have been updated to include new citing references
information. This enhancement may impact record import into
database management software. For additional information, refer
to NEWS 9.

FILE WPIX
FILE LAST UPDATED: 1 OCT 2009 <20091001/UP>
MOST RECENT UPDATE: 200963 <200963/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
>>> Now containing more than 1.4 million chemical structures in DCR <<<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms
and FI-Terms have been updated with reclassifications to
mid-June 2009.
No update date (UP) has been created for the reclassified
documents, but they can be identified by
specific update codes (see HELP CLA for details)<<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
PLEASE VISIT:

http://www.stn-international.com/stn_guide.html

FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
http://scientific.thomsonreuters.com/support/patents/coverage/latestupdate

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0:
http://www.stn-international.com/DWPIAnaVist2_0608.html

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 4 OCT 2009 HIGHEST RN 1187307-68-1
DICTIONARY FILE UPDATES: 4 OCT 2009 HIGHEST RN 1187307-68-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

FILE LREGISTRY
LREGISTRY IS A STATIC LEARNING FILE

CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 1 Oct 2009 (20091001/PD)
FILE LAST UPDATED: 1 Oct 2009 (20091001/ED)
HIGHEST GRANTED PATENT NUMBER: US7596812
HIGHEST APPLICATION PUBLICATION NUMBER: US20090249525
CA INDEXING IS CURRENT THROUGH 1 Oct 2009 (20091001/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 1 Oct 2009 (20091001/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

USPATFULL now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

To ensure comprehensive retrieval of US patent information, including
US patent application information, search USPATFULL in combination with
USPAT2.

FILE CASREACT
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FILE CONTENT:1840 - 4 Oct 2009 VOL 151 ISS 15

New CAS Information Use Policies, enter HELP USAGETERMS for details.

```
*************************************************************
*                                                           *
*     CASREACT now has more than 16.5 million reactions     *
*                                                           *
*************************************************************
```


This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE TOXCENTER

FILE COVERS 1907 TO 29 Sep 2009 (20090929/ED)

The MEDLINE file segment has been reload and updated with the National Library of Medicine's revised 2009 MeSH terms.
See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

The BIOSIS segment of TOXCENTER has been augmented with 13,000 records from 1946 through 1968.

FILE BEILSTEIN
FILE LAST UPDATED ON May 17, 2009

FILE COVERS 1779 TO 2008.
FILE CONTAINS 10,593,281 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

```
*****************************************************************
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.           *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE     *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                   *
* FOR PRICE INFORMATION SEE HELP COST                           *
```

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>>> Price change as of January 1st, 2008: Connect Time and Structure
Search fees re-introduced. See NEWS and HELP COST <<<

FILE CHEMINFORMRX
FILE LAST UPDATED: 9 JUL 2009 <20090709/UP>

>>> CAS Registry Numbers are available for
substances prior to 1995 <<<

FILE MARPAT
FILE CONTENT: 1961-PRESENT VOL 151 ISS 14 (20091002/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090209770 20 AUG 2009
DE 102008054480 16 JUL 2009
EP 2090288 19 AUG 2009
JP 2009193696 27 AUG 2009
WO 2009104248 27 AUG 2009
GB 2457040 05 AUG 2009
FR 2926993 07 AUG 2009
RU 2364600 20 AUG 2009
CA 2653107 08 AUG 2009

The new MARPAT User Guide is now available at:
http://www.cas.org/support/stngen/stndoc/marpat.html.

FILE MEDLINE
FILE LAST UPDATED: 3 Oct 2009 (20091003/UP). FILE COVERS 1949 TO DATE.

MEDLINE and LMEDLINE have been updated with the 2009 Medical Subject
Headings (MeSH) vocabulary and tree numbers from the U.S. National Libra
of Medicine (NLM). Additional information is available at

http://www.nlm.nih.gov/pubs/techbull/nd08/nd08_medline_data_changes_2009.

On February 21, 2009, MEDLINE was reloaded. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

See HELP RANGE before carrying out any RANGE search.

FILE BIOSIS
FILE COVERS 1926 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 30 September 2009 (20090930/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926
through 1968. These records have been re-indexed to match current
BIOSIS indexing.

FILE EMBASE

FILE COVERS 1974 TO 5 Oct 2009 (20091005/ED)

EMBASE was reloaded on March 30, 2008.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

Beginning January 2008, Elsevier will no longer provide EMTREE codes as part of the EMTREE thesaurus in EMBASE. Please update your current-awareness alerts (SDIs) if they contain EMTREE codes.

For further assistance, please contact your local helpdesk.

FILE JAPIO
FILE LAST UPDATED: 30 SEP 2009 <20090930/UP>
MOST RECENT PUBLICATION DATE: 25 JUN 2009 <20090625/PD>
>>> GRAPHIC IMAGES AVAILABLE <<<

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION (SLART) IS AVAILABLE IN THE BASIC INDEX (/BI) FIELD <<<

FILE PASCAL
FILE LAST UPDATED: 5 OCT 2009 <20091005/UP>
FILE COVERS 1977 TO DATE.

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE IN THE BASIC INDEX (/BI) FIELD <<<

FILE CABA
FILE COVERS 1973 TO 1 Oct 2009 (20091001/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

The CABA file was reloaded 7 December 2003. Enter HELP RLOAD for details.

FILE CEABA-VTB
FILE LAST UPDATED: 21 SEP 2009 <20090921/UP>
FILE COVERS 1966 TO DATE

>>> DECHEMA, the producer of CEABA-VTB is using a new classification scheme.
The new classification schemes are available as a PDF file and may be downloaded free-of-charge from:
http://www.stn-international.com/cc-de.html
and
http://www.stn-international.com/cc-en.html<<<

FILE LIFESCI
FILE COVERS 1978 TO 9 Sep 2009 (20090909/ED)

FILE BIOENG
FILE LAST UPDATED: 1 OCT 2009 <20091001/UP>
FILE COVERS 1982 TO DATE

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION AVAILABLE IN

THE BASIC INDEX <<<

FILE BIOTECHNO
FILE LAST UPDATED: 7 JAN 2004 <20040107/UP>
FILE COVERS 1980 TO 2003.
THIS FILE IS A STATIC FILE WITH NO UPDATES

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION AVAILABLE IN /CT AND BASIC INDEX <<<

FILE BIOTECHDS
FILE LAST UPDATED: 2 OCT 2009 <20091002/UP>
FILE COVERS 1982 TO DATE

>>> USE OF THIS FILE IS LIMITED TO BIOTECH SUBSCRIBERS <<<

FILE DRUGU
FILE LAST UPDATED: 1 OCT 2009 <20091001/UP>
>>> DERWENT DRUG FILE (SUBSCRIBER) <<<

>>> FILE COVERS 1983 TO DATE <<<
>>> THESAURUS AVAILABLE IN /CT <<<

FILE DRUGB
>>> FILE COVERS 1964 TO 1982 - CLOSED FILE <<<

FILE VETU
FILE LAST UPDATED: 2 JAN 2002 <20020102/UP>
FILE COVERS 1983-2001

FILE VETB
FILE LAST UPDATED: 25 SEP 94 <940925/UP>
FILE COVERS 1968-1982

FILE SCISEARCH

FILE COVERS 1974 TO 1 Oct 2009 (20091001/ED)

SCISEARCH has been reloaded, see HELP RLOAD for details.

FILE CONFSCI
FILE COVERS 1973 TO 30 Jun 2009 (20090630/ED)

CSA has resumed updates, see NEWS FILE

FILE DISSABS
FILE COVERS 1861 TO 30 SEP 2009 (20090930/ED)

```
      MATERIALS OR THEIR USE.

      FILE RDISCLOSURE
      FILE LAST UPDATED: 11 SEP 2009       <20090911/UP>
      FILE COVERS 1960 TO DATE

      >>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE IN THE
          BASIC INDEX (/BI) AND TITLE (/TI) FIELDS <<<

      >>> IMAGES ARE AVAILABLE ONLINE AND FOR EMAIL-PRINTS <<<

=>
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